10/ 076,573

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	Jun 03	New e-mail delivery for search results now available
NEWS	4	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS	5	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS	6	Aug 26	Sequence searching in REGISTRY enhanced
NEWS	7	Sep 03	JAPIO has been reloaded and enhanced
NEWS	8	Sep 16	Experimental properties added to the REGISTRY file
NEWS	9	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS	10	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS	11	Oct 24	BEILSTEIN adds new search fields
NEWS	12	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS	13	Nov 18	DKILIT has been renamed APOLLIT
NEWS	14	Nov 25	More calculated properties added to REGISTRY
NEWS	15	Dec 04	CSA files on STN
NEWS	16	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS	17	Dec 17	TOXCENTER enhanced with additional content
NEWS	18	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS	19	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS	20	Feb 13	CANCERLIT is no longer being updated
NEWS	21	Feb 24	METADEX enhancements
NEWS	22	Feb 24	PCTGEN now available on STN
NEWS	23	Feb 24	TEMA now available on STN
NEWS	24	Feb 26	NTIS now allows simultaneous left and right truncation
NEWS	25	Feb 26	PCTFULL now contains images
NEWS	26	Mar 04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS	27	Mar 20	EVENTLINE will be removed from STN
NEWS	28	Mar 24	PATDPAFULL now available on STN
NEWS	29	Mar 24	Additional information for trade-named substances without structures available in REGISTRY
NEWS	30	Apr 11	Display formats in DGENE enhanced
NEWS	31	Apr 14	MEDLINE Reload
NEWS	32	Apr 17	Polymer searching in REGISTRY enhanced
NEWS	33	Apr 21	Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS	34	Apr 21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS	35	Apr 28	RDISCLOSURE now available on STN
NEWS	36	May 05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS	37	May 15	MEDLINE file segment of TOXCENTER reloaded
NEWS	38	May 15	Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS	39	May 16	CHEMREACT will be removed from STN
NEWS	40	May 19	Simultaneous left and right truncation added to WSCA
NEWS	41	May 19	RAPRA enhanced with new search field, simultaneous left and right truncation

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),

10/ 076,573

AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 15:21:12 ON 06 JUN 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:21:23 ON 06 JUN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 JUN 2003 HIGHEST RN 526182-51-4
DICTIONARY FILE UPDATES: 5 JUN 2003 HIGHEST RN 526182-51-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

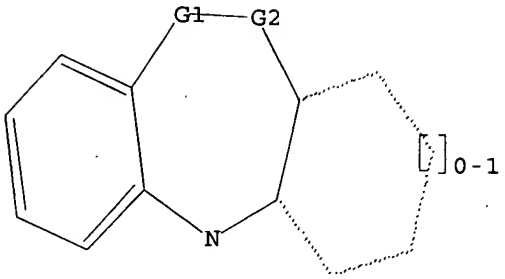
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 10076573.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

10/ 076,573



G1 C,S,N

G2 C,S,SO2

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 ful
FULL SEARCH INITIATED 15:21:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

<  38.3% PROCESSED  400000 ITERATIONS                          1669 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.06

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS    4161

L2          1669 SEA SSS FUL L1

=> s 'benzo[b,f]azepin'
       1598456 'BENZO'
         20272 'B,F'
         62091 'AZEPIN'
L3           12 'BENZO[B,F]AZEPIN'
                ('BENZO'(W)'B,F'(W)'AZEPIN')

=> s 'benzo[b,f]azepine'
       1598456 'BENZO'
         20272 'B,F'
         55346 'AZEPINE'
L4           31 'BENZO[B,F]AZEPINE'
                ('BENZO'(W)'B,F'(W)'AZEPINE')

=> s l3 or l4
L5           43 L3 OR L4

=> s l2 not l5
L6         1664 L2 NOT L5

=> file caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               174.67     174.88

FILE 'CAPLUS' ENTERED AT 15:23:37 ON 06 JUN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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10/ 076,573

FILE COVERS 1907 - 6 Jun 2003 VOL 138 ISS 24
FILE LAST UPDATED: 5 Jun 2003 (20030605/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l6
L7 200 L6

=> d l7 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 200 ANSWERS - CONTINUE? Y/(N):y

L7 ANSWER 1 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:374064 CAPLUS
DOCUMENT NUMBER: 138:376535
TITLE: Organic electroluminescent display having red light-emitting layer
INVENTOR(S): Oh, Hyoung Yun; I, Sun Ku; Park, Chung Geun; So, Jon De; Kim, Myung Seop
PATENT ASSIGNEE(S): LG Electrics Co., Ltd., S. Korea
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003142269	A2	20030516	JP 2002-293373	20021007
EP 1317005	A2	20030604	EP 2002-23135	20021015

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

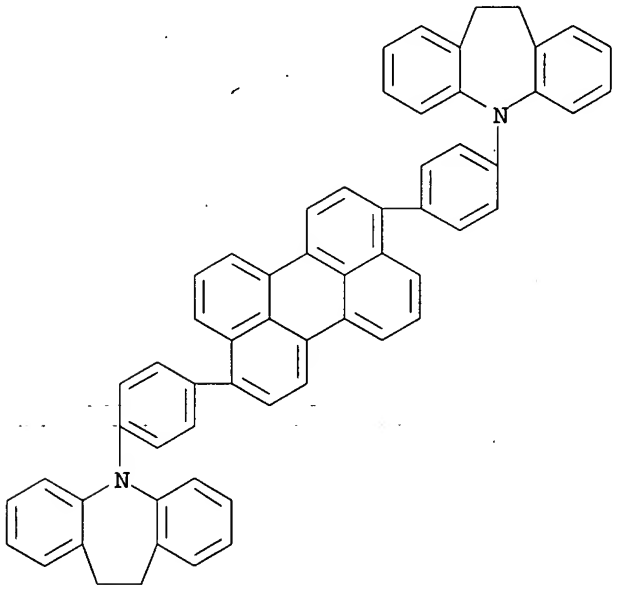
PRIORITY APPLN. INFO.: KR 2001-67267 A 20011030

AB The display has a red light-emitting layer between electrodes, and the layer contains a guest substance of red-emitting substance and .gtoreq.2 host substances. Preferably, one of the host substances is a (substituted) quinoline deriv. or a compd. represented by (L1L2N)m-z-(NL3L4)n [m + n = 1-8; z = A1, A2QA3; A1 = (substituted) arom. hydrocarbylene, heterocyclic group, aliph. hydrocarbylene; A2-3 = (substituted) arom. hydrocarbylene, heterocyclic group,; A1-3 are connected to N via aliph. hydrocarbylene, amido, or imine; Q = (substituted) arom. hydrocarbylene, heterocyclic ring, aliph. hydrocarbylene, Group IIIA, IVA, VA, or VIA element; Q is connected to A2-3 via (substituted) aliph. hydrocarbylene, Group IIIA, IVA, VA, or VIA element, amido, ester, carbonyl, azo, imine; L1-4 = (substituted) arom. hydrocarbyl, heterocyclic group, aliph. hydrocarbyl; silyl, H]. The display emits red light with high luminescent efficiency.

IT **522652-88-6**

RL: DEV (Device component use); USES (Uses)
(host; org. electroluminescent display having red light-emitting layer contg. host substances for high luminescent efficiency)

RN 522652-88-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



L7 ANSWER 2 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:203407 CAPLUS
DOCUMENT NUMBER: 138:238181
TITLE: Preparation of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: U.S. Pat. Appl. Publ., 406 pp., Cont.-in-part of Appl. No. PCT/JP00/09181.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003050320	A1	20030313	US 2001-939374	20010824
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2001247550	A2	20010911	JP 2000-391904	20001225

PRIORITY APPLN. INFO.: JP 1999-369008 A 19991227

WO 2000-JP9181 A2 20001222
JP 2000-391904 A 20001225
JP 2001-193786 A 20010626
OTHER SOURCE(S): MARPAT 138:238181
GI

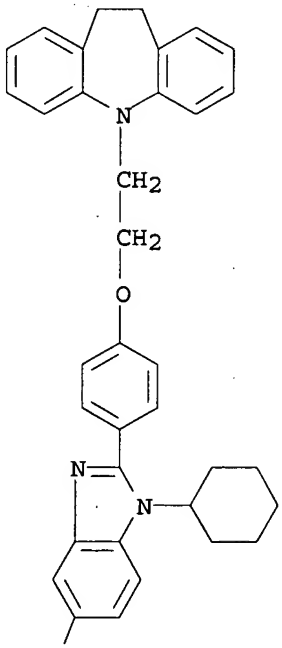
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, S, CR7, etc.; R1-R4 = H, NO2, etc.; ring Cy = (un)substituted cycloalkyl ring, etc.; ring A = Ph, cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, CN, etc.; R7 = H, alkyl] are prepd. and formulated. Compds. I showed HCV polymerase inhibitory activity (data given). E.g., a multi-step synthesis of II.HCl, starting from 2-bromo-5-nitrotoluene and Me 2-(2-fluoro-4-hydroxyphenyl)-1-cyclohexylbenzimidazole-5-carboxylate, was given.

IT **347166-36-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted 1-cyclohexyl-2-phenylbenzimidazole-5-carboxylic acids as remedies for hepatitis C)

RN 347166-36-3 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



HO_2C

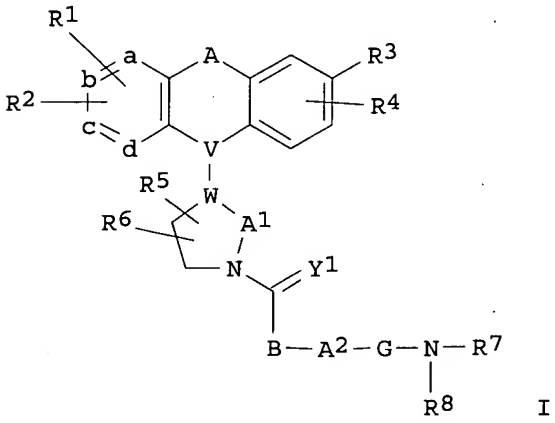
L7 ANSWER 3 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:173572 CAPLUS
DOCUMENT NUMBER: 138:221602
TITLE: Preparation of diarylalkene and diarylalkane derivatives as N-type calcium channel antagonists
INVENTOR(S): Yamamoto, Takashi; Niwa, Seiji; Otani, Kayo; Ohno, Seiji; Koganei, Hajime; Iwayama, Satoshi; Takahara, Akira; Ono, Yukitsugu; Takeda, Tomoko; Fujita, Shinichi; Moki, Keiko
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan; et al.
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003018538	A1	20030306	WO 2002-JP8809	20020830

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-263718 A 20010831
JP 2002-14387 A 20020123
JP 2002-111067 A 20020412
OTHER SOURCE(S): MARPAT 138:221602
GI



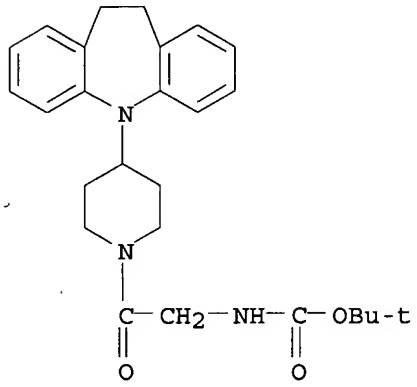
I

AB The title compds. I [A represents CH:CH, etc.; a, b, c, and d each represents CH, etc.; R1, R2, R3, R4, R5, and R6 each represents hydrogen, etc.; V-W represents C:C, etc.; A1 is (CH2)n; n is 0 to 3; Y1 represents oxygen, etc.; B represents (CH2)vCHR21 (v is 0 to 3 and R21 represents hydrogen, lower alkyl, etc.), etc.; G represents CO, a covalent bond, etc.; A2 is (CH2)m; m is 0 to 6; and R7 and R8 each represents hydrogen, lower alkyl, COR18a, COOR20 (R18a and R20 each represents lower alkyl, etc.), etc.] are prepd. I are selective N-type calcium channel antagonists. In an in vitro test, compds. of this invention at 10 .mu.M gave 67% to 85% antagonism of N-type calcium channel.

IT **500894-79-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylalkene and diarylalkane derivs. as N-type calcium channel inhibitors)

RN 500894-79-1 CAPLUS

CN Carbamic acid, [2-[4-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-1-piperidinyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:118638 CAPLUS
DOCUMENT NUMBER: 138:153540
TITLE: Preparation of aminobutylphenothiazines, -iminodibenzyls, and related compounds as chemosensitizing agents against chloroquine resistant plasmodium falciparum
INVENTOR(S): Lin, Ai J.; Guan, Jian; Kyle, Dennis E.; Milhous, Wilbur K.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003032801	A1	20030213	US 2001-849400	20010507

PRIORITY APPLN. INFO.: US 2001-849400 20010507

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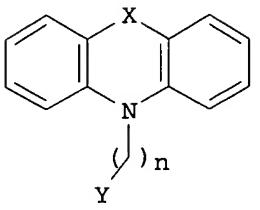
OTHER SOURCE(S): MARPAT 138:153540
GI



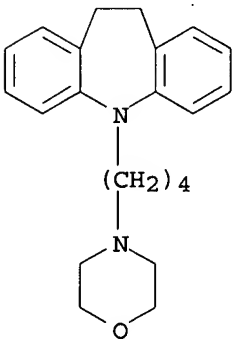
I

AB Title compds. [I; X = (substituted) alkyl, heteroatom; n = 4-6; Y = (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, NR1R2; R1, R2 = H, heteroatom, (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; each ring structure may be substituted], were prepd. Thus, 10-(4-pyrrolidin-1-ylbutyl)phenothiazine (general prepn. given) at 50 ng/mL completely restored the sensitivity of TM91C235 cells to chloroquine.

IT **246041-26-9P**, 5-(4-Morpholin-4-ylbutyl)iminodibenzyl
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compd.; prepn. of aminobutylphenothiazines, -iminodibenzyls, and related compds. as chemosensitizing agents against chloroquine resistant plasmodium falciparum)

RN 246041-26-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[4-(4-morpholinyl)butyl]- (9CI) (CA INDEX NAME)



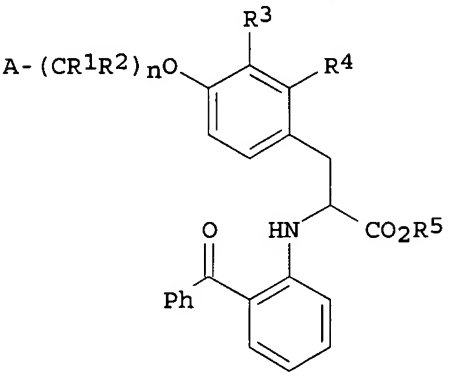
L7 ANSWER 5 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2003:117804 CAPLUS
DOCUMENT NUMBER: 138:137593
TITLE: Preparation of novel N-(2-benzoylphenyl)-L-tyrosine derivatives for use as antidiabetics
INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Mogensen, John Patrick; Pettersson, Ingrid; Sauerberg, Per
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011834	A1	20030213	WO 2002-DK469	20020705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003055076	A1	20030320	US 2002-217594	20020730
PRIORITY APPLN. INFO.:			DK 2001-1156 A	20010730
			US 2001-309951P P	20010803

OTHER SOURCE(S): MARPAT 138:137593

GI



I

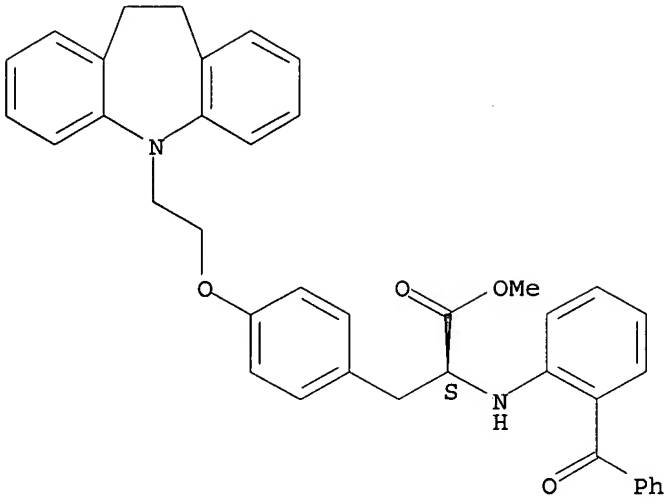
AB Tyrosine derivs. I [A is an (un)substituted fused tricyclic ring system; n = 1-3; R1, R2 = H, halo, (cyclo)alkyl, (cyclo)alkoxy; R3, R4 are H or halo; R5 is H, (cyclo)alkyl] or their pharmaceutically-acceptable salts or solvates, including tautomeric forms, stereoisomers, racemates, and polymorphs, were prepd. for use in pharmaceutically compns. for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR). Thus, N-(2-benzoylphenyl)-O-(2-phenoxazin-10-ylethyl)-L-tyrosine Me ester was prepd. by etherification reaction of N-(2-benzoylphenyl)-L-tyrosine Me ester with 2-phenoxazin-10-ylethanol.

IT **494221-19-1P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of (benzoylphenyl)tyrosine derivs. as antidiabetics)

RN 494221-19-1 CAPLUS

CN L-Tyrosine, N-(2-benzoylphenyl)-O-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



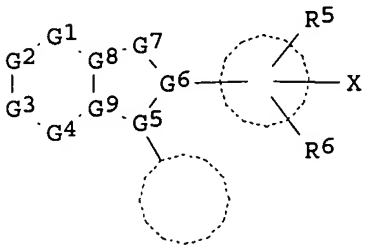
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2003:5773 CAPLUS
DOCUMENT NUMBER: 138:66657
TITLE: Fused cyclic compounds and medicinal use thereof
INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000254	A1	20030103	WO 2002-JP6405	20020626

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-193786 A 20010626
JP 2001-351537 A 20011116
OTHER SOURCE(S): MARPAT 138:66657
GI



I

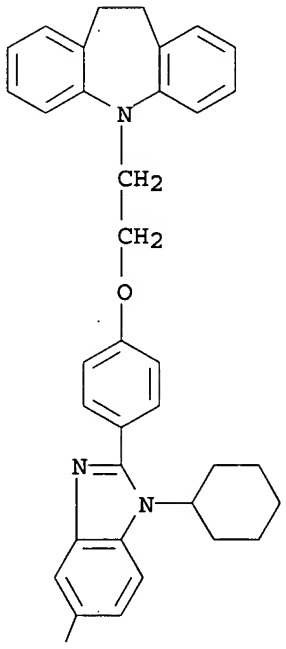
AB Fused cyclic compds. represented by the following general formula [I] or pharmaceutically acceptable salts thereof and remedies for hepatitis C contg. these compds.: I wherein each symbol is as defined in the description. Because of having an effect against hepatitis C virus (HVC) based on an HCV polymerase inhibitory effect, these compds. are useful as remedies or preventives for hepatitis C.

IT **347166-36-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fused cyclic compds. as hepatitis C virus polymerase inhibitors and antiviral agents)

RN 347166-36-3 CAPLUS

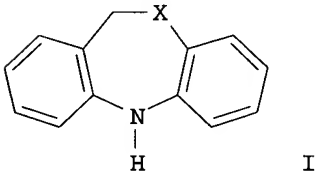
CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

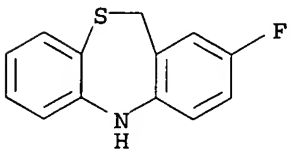
L7 ANSWER 7 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:943513 CAPLUS
DOCUMENT NUMBER: 138:170209
TITLE: An Efficient Assembly of Heterobenzazepine Ring Systems Utilizing an Intramolecular Palladium-Catalyzed Cycloamination
AUTHOR(S): Margolis, Brandon J.; Swidorski, Jacob J.; Rogers, Bruce N.
CORPORATE SOURCE: Medicinal Chemistry, Pharmacia Corporation, Kalamazoo, MI, 49007, USA
SOURCE: Journal of Organic Chemistry (2003), 68(2), 644-647
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:170209
GI



I

AB Azaheterocyclic compds. are interesting and medicinally relevant targets. Herein we disclose an improved synthesis into the oxazepine and thiazepine ring systems, e.g., I [X = O or S]. The key step in the synthesis exploits recent advancements in the palladium-catalyzed amination reaction, which was utilized to form the seven-membered rings. General conditions for this reaction were Pd2dba3, P(t-Bu)3, NaO-t-Bu alone or with K2CO3, in toluene. The scope of the reaction was investigated, and has been shown to be effective on a variety of substrates as illustrated.

IT **497227-68-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of heterobenzazepines via palladium catalyzed intramol. cycloamination of aminoarylthiomethylarylhalides or aminoaryloxomethylarylhalides)
RN 497227-68-6 CAPLUS
CN Dibenzo[b,e][1,4]thiazepine, 2-fluoro-5,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:932237 CAPLUS
DOCUMENT NUMBER: 138:188189
TITLE: Synthesis and optical and electrochemical properties of novel copolymers containing alternating 2,3-divinylquinoxaline and hole-transporting units
AUTHOR(S): Wu, Tzi-Yi; Chen, Yun
CORPORATE SOURCE: Department of Chemical Engineering, National Cheng Kung University, Tainan, 701, Taiwan
SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (2002), 40(24), 4570-4580
CODEN: JPACEC; ISSN: 0887-624X
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

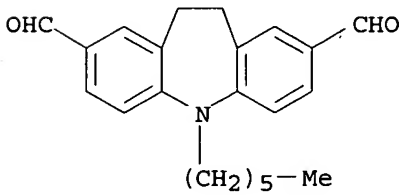
AB For the enhancement of charge affinity, electron-affinitive 2,3-divinylquinoxaline and a series of hole-transporting chromophores (iminodibenzyl, phenothiazine, dihexyloxybenzene, and didodecyloxydistyrylbenzene) were incorporated alternately into the polymeric main chain. The resulting copolymers (P1-P4) were basically amorphous materials and were thermally stable below 300.degree.. The electronic structures, photoluminescence, and electrochem. properties of these copolymers were mainly detd. by the electron-donating chromophores in the backbone. They showed significant pos. solvatochromism in formic acid. An electrochem. study revealed that they exhibited lower band gaps (<2.3 eV) due to alternating donor and acceptor conjugated units (push-pull structure). Single-layer light-emitting diodes of aluminum, P1-P4, and indium tin oxide glass were fabricated, and preliminary electroluminescence spectra showed that P1, P3, and P4 were orange-emitting materials.

IT **497961-42-9P**
RL: DEV (Device component use); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(prepn. and optical and electrochem. properties of copolymers contg. alternating electron-affinitive divinylquinoxaline and hole-transporting units)

RN 497961-42-9 CAPLUS
CN Phosphonic acid, [2,3-quinoxalinediylbis(methylene)]bis-, tetraethyl ester, polymer with 5-hexyl-10,11-dihydro-5H-dibenz[b,f]azepine-2,8-dicarboxaldehyde (9CI) (CA INDEX NAME)

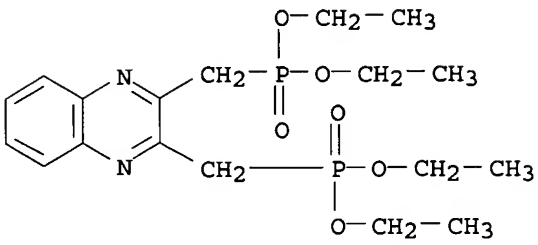
CM 1

CRN 380538-32-9
CMF C22 H25 N O2



CM 2

CRN 99565-79-4
CMF C18 H28 N2 O6 P2



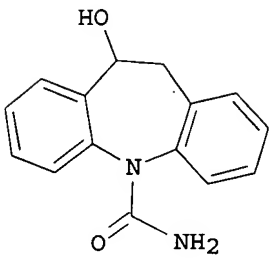
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:927407 CAPLUS
DOCUMENT NUMBER: 138:4538
TITLE: Method for preparation of 10,11-dihydro-10-hydroxy-5H-dibenz/b,f/azepine-5-carboxamide and 10,11-dihydro-10-oxo-5H-dibenz/b,f/azepine-5-carboxamide
INVENTOR(S): Learmonth, David Alexander
PATENT ASSIGNEE(S): Portela & CA SA, Port.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096881	A1	20021205	WO 2002-GB2356	20020522
WO 2002096881	C1	20030227		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-12812 A 20010525
OTHER SOURCE(S): CASREACT 138:4538; MARPAT 138:4538
GI



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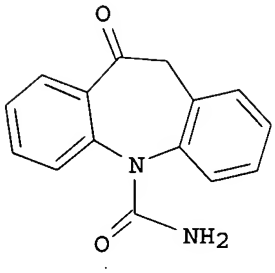


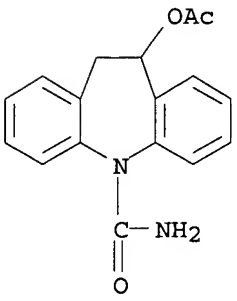
II

AB A method for the prepn. of 10,11-dihydro-10-hydroxy-5H-dibenz/b,f/azepine-5-carboxamide I and 10,11-dihydro-10-oxo-5H-dibenz/b,f/azepine-5-carboxamide II from carbamazepine via a three-step process involving (i) epoxidn. of carbamazepine; (ii) ring-opening of the resulting epoxide and (iii) oxidn. of the resulting alc.

IT **186694-11-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. via acetylation of dihydrohydroxydibenzazepinecarboxamide)

RN 186694-11-1 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:905855 CAPLUS
DOCUMENT NUMBER: 138:303
TITLE: Caspase inhibitors and therapeutic uses
INVENTOR(S): Mortimore, Michael; Miller, Andrew; Studley, John; Charrier, Jean-Damien
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094263	A2	20021128	WO 2002-US16353	20020523
WO 2002094263	A3	20030327		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003092703 A1 20030515 US 2002-153971 20020523

PRIORITY APPLN. INFO.: US 2001-292969P P 20010523

OTHER SOURCE(S): MARPAT 138:303

AB This invention provides compds. which are effective inhibitors of apoptosis and IL-1.beta. secretion. The invention also discusses the therapeutic potential of these compds. in treating diseases like IL-1 mediated disease, apoptosis mediated disease or an inflammatory disease.

IT **476635-44-6**

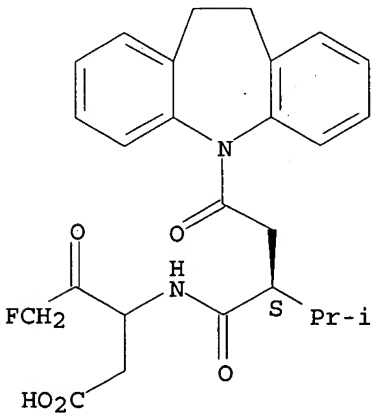
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(caspase inhibitors)

RN 476635-44-6 CAPLUS

CN Pentanoic acid, 3-[[(2S)-4-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-2-(1-methylethyl)-1,4-dioxobutyl]amino]-5-fluoro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 11 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:888715 CAPLUS

DOCUMENT NUMBER: 137:384766

TITLE: Process for preparation of (S)-(+)- and (R)-(-)-10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide

INVENTOR(S): Learmonth, David Alexander

PATENT ASSIGNEE(S): Portela & Cia. SA, Port.

SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

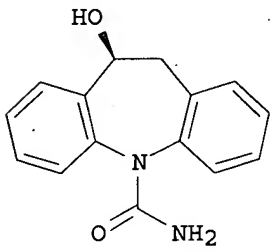
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092572	A1	20021121	WO 2002-GB2176	20020510

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
GB 2377440 A1 20030115 GB 2002-10798 20020510
PRIORITY APPLN. INFO.: GB 2001-11566 A 20010511
OTHER SOURCE(S): CASREACT 137:384766; MARPAT 137:384766
GI



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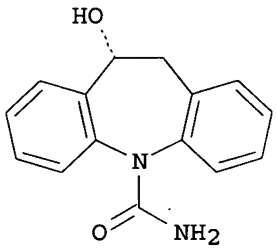

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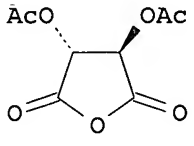


III

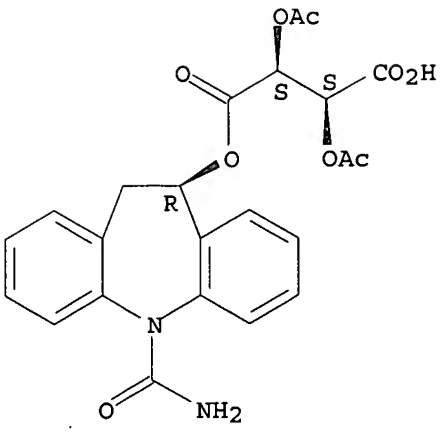
AB This invention provides a safe, economical, scalable, efficient, and high-yielding method for prepn. of optically pure (S)-(+)-10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide (I) and (R)-(-)-10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide (II) by resoln. of the corresponding racemic compd. using a tartaric acid anhydride. For example, L-(+)-tartaric acid was treated with acetic anhydride in the presence of catalytic amt. of sulfuric acid to give acid anhydride III. III was reacted with racemic 10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide in CH2Cl2 in the presence of pyridine and DMAP, followed by hydrolysis in MeOH catalyzed by aq. NaOH to afford I (84%) with 96% optical purity.

IT **475674-44-3P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of optically pure dibenz[b,f]azepinecarboxamide derivs. by resoln. using a tartaric acid anhydride)

RN 475674-44-3 CAPLUS

CN Butanedioic acid, 2,3-bis(acetyloxy)-, mono[(10R)-5-(aminocarbonyl)-10,11-dihydro-5H-dibenz[b,f]azepin-10-yl] ester, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



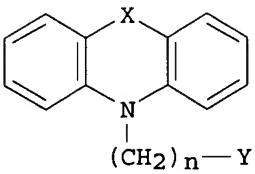
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:868744 CAPLUS
DOCUMENT NUMBER: 137:370096
TITLE: Tricyclic N-(aminoalkyl)-substituted phenothiazines, iminodibenzyls, iminostilbenes, and diphenylamines, active as chemosensitizing agents against chloroquine-resistant Plasmodium falciparum, and methods of making and using thereof
INVENTOR(S): Lin, Ai J.; Guan, Jian; Kyle, Dennis E.; Milhous, Wilbur K.
PATENT ASSIGNEE(S): United States Army Medical Research and Material Command, USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

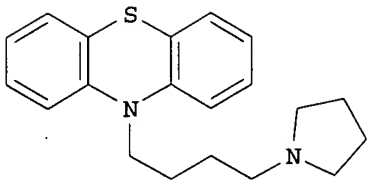
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089810	A1	20021114	WO 2001-US14574	20010507

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2001-US14574 20010507
OTHER SOURCE(S): MARPAT 137:370096
GI



I



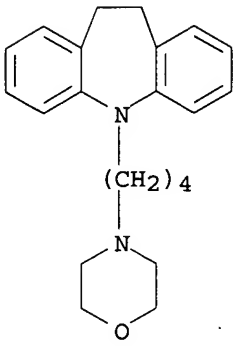
II

AB Title compds. I and pharmaceutically acceptable salts or prodrugs thereof are disclosed [wherein: X is a substituted or unsubstituted alkyl, a heteroatom, or 2 H atoms; n is 4, 5, or 6; Y is a substituted or unsubstituted alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, or NR1R2; wherein R1 and R2 are each independently, H, a heteroatom, substituted or unsubstituted alkyl, cycloalkyl, heterocycloalkyl, aryl, or heteroaryl; and wherein each ring structure is independently substituted or unsubstituted]. Also disclosed are chemosensitizing agents and methods of modulating, attenuating, reversing, or affecting a cell's or organism's resistance to a given drug such as an antimalarial. In particular, a group of compds. I were prepd. and shown to have improved anti-MDR (multidrug resistance) efficacy and reduced side effects (no data) in restoration of the clin. efficacy of antimalarials including mefloquine and chloroquine. Four of the compds. also showed moderate intrinsic antimalarial activity in the absence of chloroquine or mefloquine. Structure-activity relationships, e.g., regarding alkyl chain length, ring rigidity, and amino terminal size, are discussed. For instance, 4-chloro-1-butanol was converted to the THP ether (99%) and then used to N-alkylate phenothiazine (46%), followed by deprotection (100%), conversion of the resultant alc. to a chloride with SOCl2 (62%), and amination of the chloride (34%) to give the pyrrolidine deriv. II. At 50 ng/mL in vitro, II completely restored the sensitivity of TM91C235 cells [a highly drug-resistant malaria isolate from Thailand] to chloroquine, giving 99% cell growth suppression/inhibition. When tested on a different clone of Plasmodium falciparum, II gave superior MDR-reversing activity, with a fractional inhibitory concn. (FIC) of 0.21, using a 1:1 combination of chloroquine and II.

IT **246041-26-9P**, 5-[4-(Morpholin-4-yl)butyl]iminodibenzyl
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of phenothiazines, iminodibenzyls, iminostilbenes, and diphenylamines as antimalarial sensitizing agents for treatment of multidrug-resistant malaria with chloroquine and mefloquine)

RN 246041-26-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[4-(4-morpholinyl)butyl]- (9CI) (CA INDEX NAME)

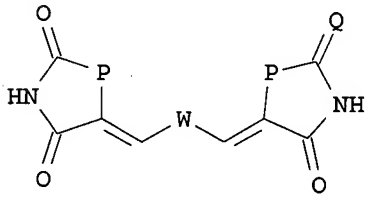


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:847768 CAPLUS
DOCUMENT NUMBER: 137:346151
TITLE: Bis(hetero-5-membered ring) compounds as telomerase inhibitors and their uses as antitumor agents
INVENTOR(S): Sasho, Setsuya; Komatsu, Kazunori; Kobayashi, Yumiko; Yamashita, Nobunori; Asai, Akiyoshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002322161	A2	20021108	JP 2001-127229	20010425

PRIORITY APPLN. INFO.: JP 2001-127229 20010425
OTHER SOURCE(S): MARPAT 137:346151
GI



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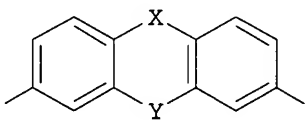

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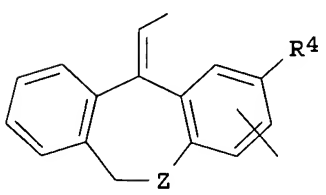

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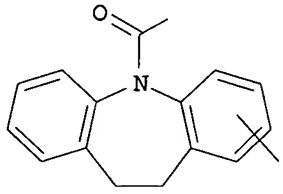


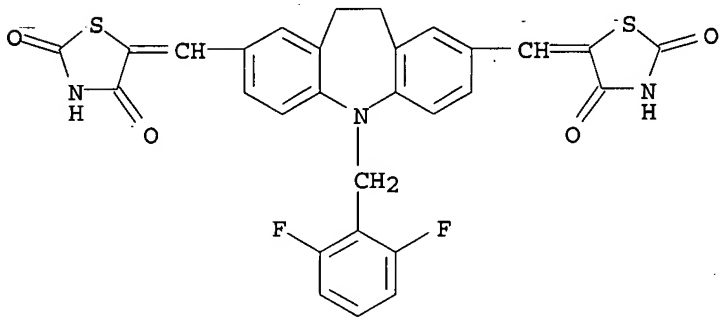
IV

AB The compds. I [W = II [X = NR1, CR2R3; R1 = H, (un)substituted lower alkenyl, (un)substituted aralkyl, (un)substituted heteroarylalkyl; R2, R3 = H, OH, (un)substituted lower alkyl, (un)substituted lower alkoxy, (un)substituted aralkyloxy; if X = NR1, then Y = CH2O, CH2CH2, CH:CH, direct bond; if X = CR2R3, then Y = CH2CH2], III (R4 = H, lower alkyl; Z = O, S), IV; Q = O, S, NH; if W = II or III or W = IV and Q = NH, then P = O, S, or NH; if W = IV and Q = S or O, then P = S or NH] or theor pharmacol. acceptable salts inhibit telomerase and are useful as antitumor agents. IC50 of I (W = II, P = S, Q = O, Y = CH2CH2, X = NCH2C6H3F2-2,6) (prepn. given) was 0.43 .mu.mol/L.

IT **474641-43-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of antitumor bis(hetero-5-membered ring) compds. as telomerase inhibitors)

RN 474641-43-5 CAPLUS

CN 2,4-Thiazolidinedione, 5,5'-[[5-[(2,6-difluorophenyl)methyl]-10,11-dihydro-5H-dibenz[b,f]azepine-2,8-diyl]dimethylidyne]bis- (9CI) (CA INDEX NAME)



L7 ANSWER 14 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:830843 CAPLUS

DOCUMENT NUMBER: 138:89698

TITLE: Stannous Chloride-Mediated Reductive Cyclization-Rearrangement of Nitroarenyl Ketones

AUTHOR(S): Bates, Dallas K.; Li, Kexue

CORPORATE SOURCE: Department of Chemistry, Michigan Technological University, Houghton, MI, 49931, USA

SOURCE: Journal of Organic Chemistry (2002), 67(24), 8662-8665
CODEN: JOCEAH; ISSN: 0022-3263

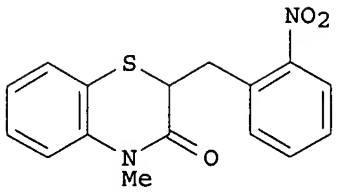
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:89698

GI



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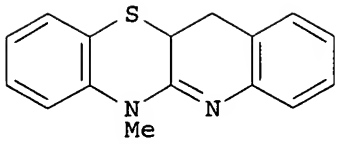
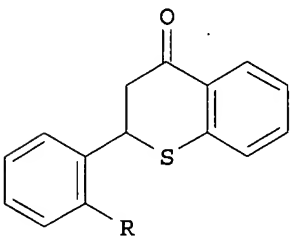
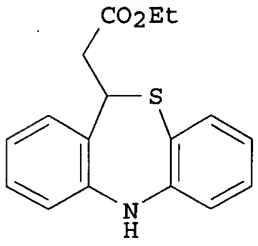


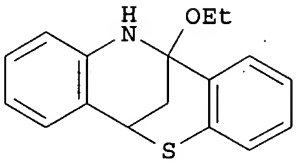
II



III



IV



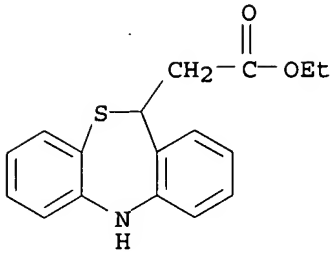
V

AB Cyclization products are produced in excellent yields by using std. reaction conditions for nitroarene redn. to aminoarene with SnCl2. Thus, 4-methyl-2-(2-nitrobenzyl)-2H-1,4-benzothiazin-3(4H)-one (I), upon treatment with SnCl2 in ethanol, did not produce the expected aniline deriv. Instead, 6-methyl-11a,12-dihydro-6H-quino[3,2-b][1,4]benzothiazine (II) was produced in excellent yield, presumably via novel Sn(IV)-mediated amidine formation from the initial aniline redn. product. Under identical reaction conditions, 2-(2-nitrophenyl)-thiochroman-4-one (III, R = NO2) produces Et 5,11-dihydrodibenzo[b,e][1,4]thiazepin-11-ylacetate (IV). A novel semipinacol rearrangement is proposed to account for this extensive skeletal rearrangement. Aniline deriv. III (R = NH2), from III (R = NO2) treated with FeSO4.cntdot.7H2O, forms 12-ethoxy-11,12-dihydro-6H-6,12-methanodibenzo[b,f][1,5]thiazocine (V) upon treatment with SnCl2 in ethanol. Thiophene analogs of III (R = NO2, NH2) react similarly, forming the analogous thiazepine and cyclic N,O-acetal, resp.

IT **483316-94-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of benzothiazepines, benzothiazines, thiazocines, and pyridothiazines via SnCl2-mediated reductive cyclization-rearrangement of nitroarenyl ketones)

RN 483316-94-5 CAPLUS

CN Dibenzo[b,e][1,4]thiazepine-11-acetic acid, 5,11-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

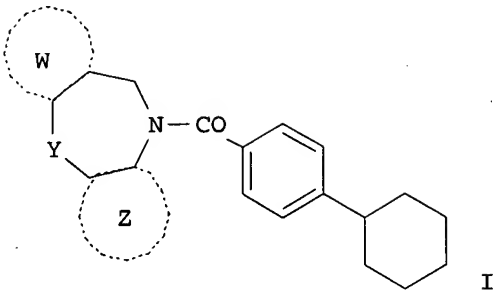


REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:814143 CAPLUS
DOCUMENT NUMBER: 137:325444
TITLE: Preparation of cyclohexylbenzoyl-substituted pyrrolobenzodiazepines and related compounds as vasopressin agonists
INVENTOR(S): Failli, Amedeo Arturo; Shumsky, Jay Scott; Dusza, John Paul; Caggiano, Thomas Joseph; Memoli, Kevin Anthony
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083685	A1	20021024	WO 2002-US11538	20020411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002198191	A1	20021226	US 2002-120917	20020411

PRIORITY APPLN. INFO.: US 2001-283387P P 20010412
OTHER SOURCE(S): MARPAT 137:325444
GI



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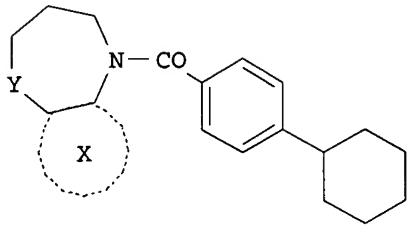


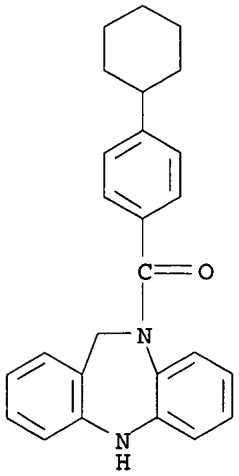
II

AB The present invention provides cyclohexylbenzoyl-substituted pyrrolobenzodiazepines and related compds. (shown as I and II; e.g. 10-(4-cyclohexylbenzoyl)-10,11-dihydro-5H-pyrrolo[2,1-c][1,4]benzodiazepine) wherein Y is NR or -(CH2)n; R is H or alkyl; Z represents optionally substituted Ph or a 6-membered arom. ring having one N atom; W represents a optionally substituted Ph or 5-membered arom. ring having one N atom; X represents an optionally substituted 5-membered arom. ring having one S atom; as well as methods and pharmaceutical compns. using these compds. for inducing temporary delay of urination or treatment of disorders remedied by vasopressin agonist activity, including diabetes insipidus, nocturnal enuresis, nocturia, urinary incontinence, or bleeding and coagulation disorders. Vasopressin V2 agonist effects of 4 I in normal conscious water-loaded rats are reported. Although the methods of prepn. are not claimed, 8 example prepns. are included.

IT **473545-99-2P**, (4-Cyclohexylphenyl)[5,11-dihydro-10H-dibenzo[b,e][1,4]diazepin-10-yl]methanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of cyclohexylbenzoyl-substituted pyrrolobenzodiazepines and related compds. as vasopressin agonists)

RN 473545-99-2 CAPLUS

CN 5H-Dibenzo[b,e][1,4]diazepine, 10-(4-cyclohexylbenzoyl)-10,11-dihydro-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:813939 CAPLUS
DOCUMENT NUMBER: 137:325437
TITLE: Preparation of N-biphenylcarbonyl and N-phenylpyridylcarbonyl substituted bi- and tricyclic azepines and diazepines as vasopressin agonists
INVENTOR(S): Failli, Amedeo Arturo; Dusza, John Paul; Caggiano, Thomas Joseph; Shumsky, Jay Scott; Memoli, Kevin Anthony; Trybulski, Eugene John
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083145	A1	20021024	WO 2002-US11284	20020411
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003018024	A1	20030123	US 2002-121156	20020411

PRIORITY APPLN. INFO.: US 2001-283263P P 20010412
OTHER SOURCE(S): MARPAT 137:325437
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

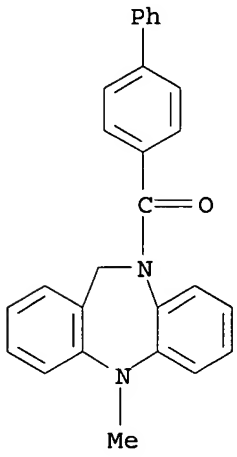
AB The title compds. [I or II; Y = NR, (CH2)n (wherein R = H, alkyl; n = 1);

ring Z = (un)substituted Ph, 6-membered arom. heterocyclyl having one N atom; ring W = (un)substituted Ph, 5-membered arom. (unsatd.) heterocyclyl having one N atom, 6-membered arom. (unsatd.) heterocyclyl having one N atom; ring X = (un)substituted 5-membered arom. (unsatd.) heterocyclyl having one S atom; R1 = III or IV (R2, R7-R9 = H, alkyl, OMe, halo, CF3, SMe, OCF3, SCF3, CN)], useful for treating disorders which are remedied or alleviated by vasopressin receptor agonist activity, including, but not limited to, diabetes insipidus, nocturnal enuresis, nocturia, urinary incontinence, or bleeding and coagulation disorders, were prepd. E.g., a 3-step synthesis of V, starting from Et 4-bromobenzoate and 2-methoxyboronic acid, which showed 67% decrease in urine vol. vs control at 10 mg/kg in Sprague-Dawley rats, was given.

IT **473717-59-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-biphenylcarbonyl and N-phenylpyridylcarbonyl substituted bi- and tricyclic azepines and diazepines as vasopressin agonists)

RN 473717-59-8 CAPLUS

CN 5H-Dibenzo[b,e][1,4]diazepine, 10-([1,1'-biphenyl]-4-ylcarbonyl)-10,11-dihydro-5-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:810018 CAPLUS
DOCUMENT NUMBER: 138:73641
TITLE: Synthesis and characterization of luminescent copolymers containing iminodibenzyl and divinylbenzene chromophores
AUTHOR(S): Wu, Tzi-Yi; Chen, Yun
CORPORATE SOURCE: Department of Chemical Engineering, National Cheng Kung University, Tainan, 701, Taiwan
SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (2002), 40(21), 3847-3857
CODEN: JPACEC; ISSN: 0887-624X
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB New conjugated copolymers contg. alternating N-hexyl-3,8-iminodibenzyl and divinylbenzene chromophores {poly(N-hexyl-3,8-iminodibenzyl-1,2-ethenylene-2,5-dihexyloxy-1,4-phenylene-1,2-ethenylene) (P1) and poly[N-hexyl-3,8-iminodibenzyl-2,5-bis(hexyloxy)cyanoterephthalidene] (P2)} were

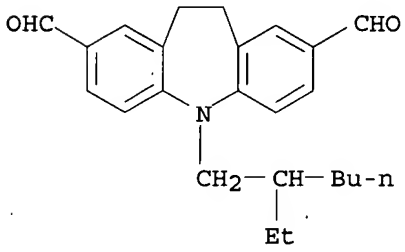
synthesized according to Wittig and Knoevenagel polymn. A copolymer contg. alternating carbazole and divinylbenzene derivs. {poly[9-(2-ethylhexyl)-3,6-carbazole-1,2-ethenylene-2,5-dihexyloxy-1,4-phenylene-1,2-ethenylene] (P3)} was also synthesized for comparison. The copolymers were sol. in common org. solvents such as THF and toluene. Absorption and photoluminescence measurements revealed that cyano substitution at the vinylene moiety in P2 brought about a significant bathochromic shift and led to an electroluminescence color change from green to orange. The band edge energies of the copolymers were estd. from cyclic voltammograms and optical band gaps. P1 and P3 showed similar HOMO (HOMO) and LUMO (LUMO) levels, indicating that the electron-donating abilities of the iminodibenzyl and carbazole chromophores were comparable. However, compared with those of P1 and P3, the HOMO and LUMO levels of P2 were greatly reduced because of conjugating and electron-withdrawing CN groups. The threshold elec. field of an Al/P1/ITO glass single-layer light-emitting diode was approx. 10 .times. 105 V/cm, whereas those for P2 and P3 were 7.5 and 16 .times. 105 V/cm, resp. The electroluminescence emission maxima of P1-P3 were 498, 514, and 559 nm, resp.

IT **482331-62-4P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(comparison compd.; synthesis and characterization of luminescent copolymers contg. iminodibenzyl and divinylbenzene chromophores)

RN 482331-62-4 CAPLUS
CN Phosphonium, [[2,5-bis(hexyloxy)-1,4-phenylene]bis(methylene)]bis[tripheny l-, dibromide, polymer with 5-(2-ethylhexyl)-10,11-dihydro-5H-dibenz[b,f]azepine-2,8-dicarboxaldehyde (9CI) (CA INDEX NAME)

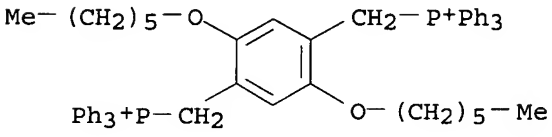
CM 1

CRN 482331-61-3
CMF C24 H29 N O2



CM 2

CRN 165377-28-6
CMF C56 H62 O2 P2 . 2 Br



2 Br⁻

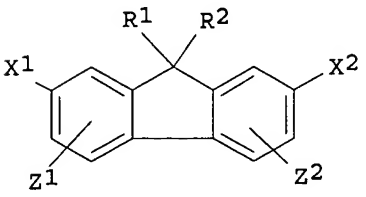
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:672238 CAPLUS
DOCUMENT NUMBER: 137:208163
TITLE: Fluorene derivatives and long-life organic electroluminescent devices therewith
INVENTOR(S): Totani, Yoshiyuki; Shimamura, Takehiko; Tanabe, Yoshimitsu; Ishida, Tsutomu; Nakatsuka, Masakatsu
PATENT ASSIGNEE(S): Mitsui Chemicals Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002249484	A2	20020906	JP 2001-47638	20010223

PRIORITY APPLN. INFO.: JP 2001-47638 20010223
OTHER SOURCE(S): MARPAT 137:208163
GI

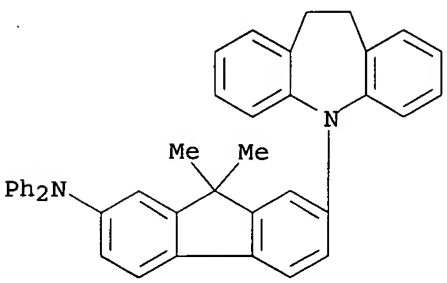


I

AB Fluorene derivs. I [X1 = (10,11-dihydro-)N-dibenzo[b, f]azepinyl; X2 = (10,11-dihydro-)N-dibenzo[b, f]azepinyl, N-carbazolyl, N-phenothiazyl, N-phenoxazinyl, NAr1Ar2 (Ar1, Ar2 = aryl); R1, R2 = H, alkyl, aryl, aralkyl; Z1, Z2 = H, halo, alkyl(oxy), aryl] and org. electroluminescent devices including I in (emission layers or hole-transporting) layers between pair of electrodes, are claimed.

IT **453590-73-3P**
RL: DEV (Device component use); IMF (Industrial manufacture); PREP (Preparation); USES (Uses)
(long-life org. electroluminescent devices contg. novel fluorene derivs.)

RN 453590-73-3 CAPLUS
CN 9H-Fluoren-2-amine, 7-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-9,9-dimethyl-N,N-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 19 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:637647 CAPLUS
DOCUMENT NUMBER: 137:174957
TITLE: Preparation of crystal forms of oxcarbazepine
INVENTOR(S): Aronhime, Judith; Dolitzky, Ben-zion; Berkovich, Yana; Garth, Nissim
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064557	A2	20020822	WO 2002-US4065	20020212
WO 2002064557	A3	20021024		
WO 2002064557	C2	20021128		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003004154	A1	20030102	US 2002-74181	20020212

PRIORITY APPLN. INFO.: US 2001-268314P P 20010212

AB The present invention provides for new crystal forms of oxcarbazepine, more particularly oxcarbazepine Forms B, C, D and E. The present invention further provides processes for the prepn. of these forms. Form B is prepd. by evapg. the solvents from a soln. of oxcarbazepine in toluene and dichloromethane. Form B is also obtained by immediately cooling the soln. of oxcarbazepine and toluene. Cooling the same soln. at a slower rate, but still fairly rapidly, results in oxcarbazepine Form C. Cooling th same soln. at even a slower rate results in another form, oxcarbazepine Form D. Oxcarbazepine Form E, a solvate of chloroform, is obtained by pptg. a soln. of oxcarbazepine and chloroform. The present invention also provides processes for converting one of the newly discovered crystal forms of oxcarbazepine into another crystal form, including Form A, which is in the prior art. These conversions may occur by storage at ambient temp., by heating one particular form or treatment with a protic solvent. Oxcarbazepine (0.15 g) was dissolved in dichloromethane (20 g) at room temp. After complete dissoln., the soln. was added to toluene (170 mL). After stirring for 5 min, the solvent was evapd. until dryness. The resulting material was analyzed by powder x-ray diffraction and found to be form B.

IT **448184-78-9P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of crystal forms of oxcarbazepine)

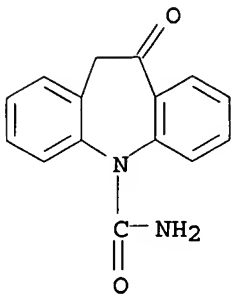
RN 448184-78-9 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-oxo-, compd. with trichloromethane (9CI) (CA INDEX NAME)

CM 1

CRN 28721-07-5

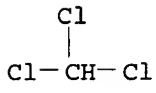
10/ 076,573

CMF C15 H12 N2 O2



CM 2

CRN 67-66-3
CMF C H Cl3



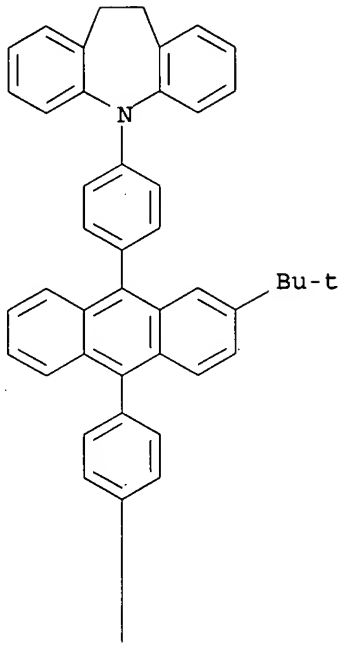
L7 ANSWER 20 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:600244 CAPLUS
DOCUMENT NUMBER: 137:301804
TITLE: Blue-Emitting Anthracenes with End-Capping Diarylamines
AUTHOR(S): Danel, Krzysztof; Huang, Tai-Hsiang; Lin, Jiann T.; Tao, Yu-Tai; Chuen, Chang-Hao
CORPORATE SOURCE: Institute of Chemistry, Academia Sinica, Taipei, WA, 115, USA
SOURCE: Chemistry of Materials (2002), 14(9), 3860-3865
CODEN: CMATEX; ISSN: 0897-4756
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 2-Tert-butyl-9,10-bis(bromoaryl)anthracenes were synthesized from 2-tert-butyl-9,10-anthraquinone. Pd-catalyzed C-N bond formation between these bromo compds. and diarylamines provides stable 2-tert-butyl-9,10-diarylanthracenes contg. two peripheral diarylamines (anth). They possess high thermal decompn. temp. (Td > 450.degree.) and form a stable glass (Tg > 130.degree.). also, they are fluorescent in the blue region with moderate to good quantum efficiencies. Two types of light-emitting diodes (LED) were constructed from anth, (I) ITO/anth/TPBI/Mg:Ag and (II) ITO/anth/Alq3/Mg:Ag, where TPBI and Alq3 are 1,3,5-tris(N-phenylbenzimidazol-2-yl)benzene and tris(8-hydroxyquinolinato)aluminum, resp. In type I devices, the anth function as the hole-transporting and emitting material. In type II devices, emission from Alq3 is obsd. Several blue-light-emitting type I devices exhibit good max. brightness and phys. performance. The relation between the energy levels of the anth and the performance of the light-emitting diode is discussed.

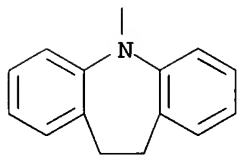
IT **468751-04-4P**
RL: DEV (Device component use); PNU (Preparation, unclassified); PRP (Properties); PREP (Preparation); USES (Uses)
(blue-emitting anthracenes with end-capping diarylamines and their properties and applications)

RN 468751-04-4 CAPLUS
CN 5H-Dibenz[b,f]azepine, 5,5'-[[2-(1,1-dimethylethyl)-9,10-anthracenediyl]di-4,1-phenylene]bis[10,11-dihydro- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



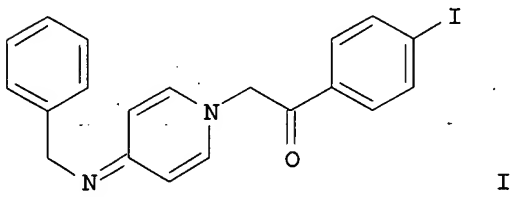
REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:594664 CAPLUS
DOCUMENT NUMBER: 137:150217
TITLE: Aromatic heterocyclic compounds for regulation of cell proliferation and differentiation
INVENTOR(S): Leder, Philip; Fantin, Valeria R.
PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

10/ 076,573

WO 2002060426 A2 20020808 WO 2002-US307 20020103
WO 2002060426 A3 20021205
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-259444P P 20010103
US 2001-297739P P 20010612
OTHER SOURCE(S): MARPAT 137:150217
GI



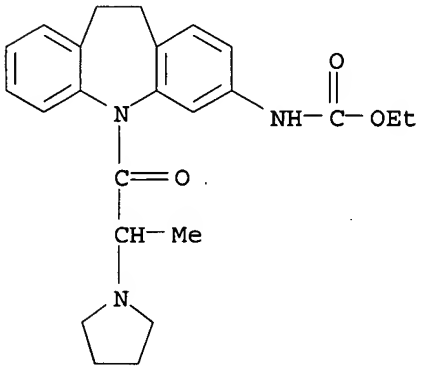
I

AB The invention provides compds. and methods for normalizing the proliferation and/or modulating differentiation and/or inducing the cell death of cells. In a preferred embodiment, the invention provides methods for inhibiting proliferation of hyperproliferative cells, comprising contacting the cells with a compn. comprising a growth inhibiting amt. of one or more arom. heterocyclic compds., e.g. I, derivs. and analogs thereof, and pharmaceutically acceptable salts thereof.

IT **368433-85-6**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(arom. heterocyclic compds. for regulation of cell proliferation and differentiation)

RN 368433-85-6 CAPLUS

CN Carbamic acid, [10,11-dihydro-5-[1-oxo-2-(1-pyrrolidinyl)propyl]-5H-dibenz[b,f]azepin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 22 OF 200 CAPLUS COPYRIGHT 2003 ACS

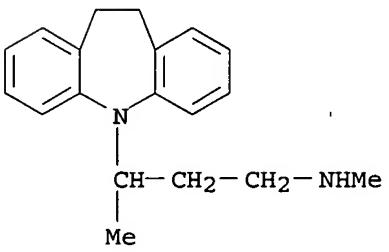
10/ 076,573

ACCESSION NUMBER: 2002:572138 CAPLUS
DOCUMENT NUMBER: 137:272793
TITLE: A 3D QSAR Pharmacophore Model and Quantum Chemical Structure-Activity Analysis of Chloroquine(CQ)-Resistance Reversal
AUTHOR(S): Bhattacharjee, Apurba K.; Kyle, Dennis E.; Vennerstrom, Jonathan L.; Milhous, Wilbur K.
CORPORATE SOURCE: Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910-7500, USA
SOURCE: Journal of Chemical Information and Computer Sciences (2002), 42(5), 1212-1220
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Using CATALYST, a three-dimensional QSAR pharmacophore model for chloroquine(CQ)-resistance reversal was developed from a training set of 17 compds. These included imipramine, desipramine, and 15 of their analogs, some of which fully reversed CQ-resistance, while others were without effect. The generated pharmacophore model indicates that two arom. hydrophobic interaction sites on the tricyclic ring and a hydrogen bond acceptor (lipid) site at the side chain, preferably on a nitrogen atom, are necessary for potent activity. Stereoelectronic properties calcd. by using AM1 semiempirical calcns. were consistent with the model, particularly the electrostatic potential profiles characterized by a localized neg. potential region by the side chain nitrogen atom and a large region covering the arom. ring. The calcd. data further revealed that aminoalkyl substitution at the N5-position of the heterocycle and a secondary or tertiary aliph. aminoalkyl nitrogen atom with a two or three carbon bridge to the heteroarom. nitrogen (N5) are required for potent "resistance reversal activity". Lowest energy conformers for the 17 compds. were detd. and optimized to afford stereoelectronic properties such as MO energies, electrostatic potentials, at. charges, proton affinities, octanol-water partition coeffs. (log P), and structural parameters. For the 17 compds., fairly good correlation exists between resistance reversal activity and intrinsic basicity of the nitrogen atom at the tricyclic ring system, frontier orbital energies, and lipophilicity. Significantly, nine out of 11 of a group of structurally diverse CQ-resistance reversal agents mapped very well on the 3D QSAR pharmacophore model.

IT **369391-51-5**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(3D QSAR pharmacophore model and quantum chem. structure-activity anal. of chloroquine-resistance reversal)

RN 369391-51-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,.gamma.-dimethyl- (9CI) (CA INDEX NAME)



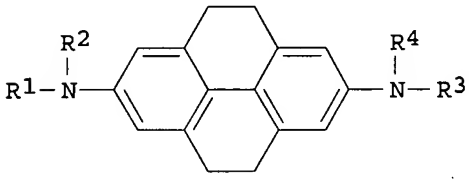
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:538511 CAPLUS
DOCUMENT NUMBER: 137:101222
TITLE: Hole transport compound and organic thin film luminescent component
INVENTOR(S): Ito, Yuichi
PATENT ASSIGNEE(S): Toppan Printing Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002203685	A2	20020719	JP 2000-399866	20001228

PRIORITY APPLN. INFO.: JP 2000-399866 20001228
OTHER SOURCE(S): MARPAT 137:101222
GI

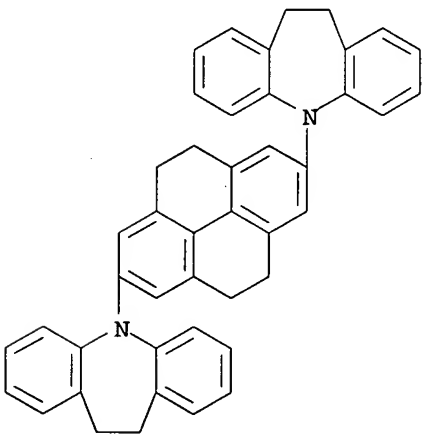


I

AB The invention refers to a tetrahydropyrene hole transport compd. I [R1-2 = Ph, tolyl, naphthyl, biphenyl, 9,9-dimethylfluorene-2-yl, or 4,5,9,10-tetrahydropyrene; and R1,2 and/or R3,4 may be connected and contain at least one carbazoyl or iminobenzyl, and the unconnected Rn = Ph, tolyl, naphthyl, biphenyl, 9,9-dimethylfluorene-2-yl, or 4,5,9,10-tetrahydropyrene] with heat resistance properties.

IT **442544-01-6**
RL: DEV (Device component use); USES (Uses)
(hole transport compd. and org. thin film luminescent component)

RN 442544-01-6 CAPLUS
CN 5H-Dibenz[b,f]azepine, 5,5'-(4,5,9,10-tetrahydro-2,7-pyrenediyl)bis[10,11-dihydro- (9CI) (CA INDEX NAME)

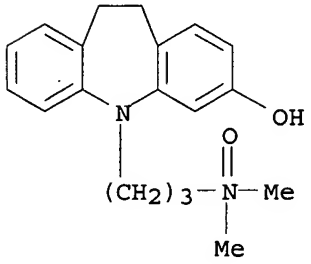


L7 ANSWER 24 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:484227 CAPLUS
DOCUMENT NUMBER: 137:322002
TITLE: Isolation and identification of the photodegradation products of the photosensitizing antidepressant drug clomipramine. Phototoxicity studies on erythrocytes
AUTHOR(S): Canudas, N.; Contreras, C.
CORPORATE SOURCE: Laboratorio de Fotoquimica y Fotobiologia, Departamento de Quimica, Universidad Simon Bolivar, Caracas, Venez.
SOURCE: Pharmazie (2002), 57(6), 405-408
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The isolation and identification of the photodegrdn. products of clomipramine (CIP) in phosphate buffered saline (PBS pH 7.4 and 6.0) soln. and methanol under aerobic conditions were studied. Six compds. were identified and four of them were isolated and characterized by spectroscopic methods. A radical mechanism with the participation of the solvent is proposed for the photodegrdn. of CIP which undergoes homolytic cleavage of the carbon-chlorine bond and also photooxidn. of the amine group. CIP was able to induce photohemolysis when it was irradiated in PBS pH 7.4 and in PBS pH 6.0 contg. a suspension of human red blood cells (RBCs). The photohemolysis expts. in the presence of additives DABCO and GSH showed nearly total inhibition of drug-induced photohemolysis. The efficient inhibition of photohemolysis by the radical scavenger GSH compared with the inhibition show by DABCO suggests a moderate effect by singlet oxygen. Clomipramine-N-oxide was the unique photoproduct able to induce hemolysis and photohemolysis when it was incubated and irradiated with RBCs for 1 h. A mechanism involving singlet oxygen, radicals and photoproducts is suggested for the reported phototoxicity.

IT **473439-10-0P**
RL: FMU (Formation, unclassified); PRP (Properties); PUR (Purification or recovery); FORM (Formation, nonpreparative); PREP (Preparation)
(photoproduct; photosensitizer antidepressant clomipramine photodegrdn. products isolation and identification: phototoxicity study on erythrocytes)

RN 473439-10-0 CAPLUS
CN 5H-Dibenz[b,f]azepin-3-ol, 5-[3-(dimethyloxidoamino)propyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 25 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:438505 CAPLUS
DOCUMENT NUMBER: 137:268557
TITLE: Novel coupling reagents for the sensitive

spectrophotometric determination of nimesulide in pharmaceutical preparations

AUTHOR(S): Nagaraja, P.; Yathirajan, H. S.; Arunkumar, H. R.; Vasantha, R. A.

CORPORATE SOURCE: Department of Studies in Chemistry, University of Mysore, Manasagangotri, Mysore, 570 006, India

SOURCE: Journal of Pharmaceutical and Biomedical Analysis (2002), 29(1-2), 277-282
CODEN: JPBADA; ISSN: 0731-7085

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

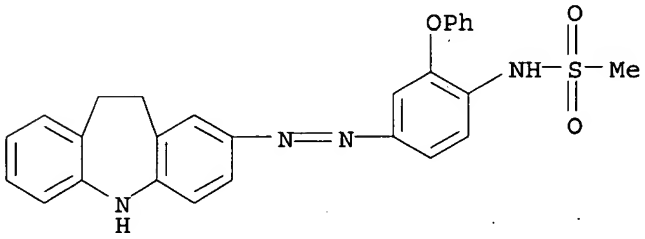
LANGUAGE: English

AB Novel coupling reagents are used for the sensitive spectrophotometric detn. of nimesulide (NIME) in either pure form or in its pharmaceutical prepns. The methods are based on the diazotization of reduced NIME, followed by either coupling with alc. iminodibenzyl (IDB) in acid medium to give a deep blue colored product (.lambda.max of 600 nm) or coupling with 3-aminophenol (AP) in acid medium to produce an orange red colored product (.lambda.max of 470 nm). Both the methods are highly reproducible and have been applied to a wide variety of pharmaceutical prepns. and the results compare favorably with the reported method. Common excipients used as additives in pharmaceutical prepns. do not interfere in the proposed methods.

IT **461652-18-6**
RL: ANT (Analyte); ANST (Analytical study)
(novel coupling reagents for sensitive spectrophotometric detn. of nimesulide in pharmaceutical prepns.)

RN 461652-18-6 CAPLUS

CN Methanesulfonamide, N-[4-[(10,11-dihydro-5H-dibenz[b,f]azepin-2-yl)azo]-2-phenoxyphenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 26 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:405677 CAPLUS
DOCUMENT NUMBER: 137:320228

TITLE: Effects of carbamazepine and novel 10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide derivatives on synaptic transmission in rat hippocampal slices

AUTHOR(S): Cunha, Rodrigo A.; Coelho, Joana E.; Costenla, Ana Rita; Lopes, Luisa V.; Parada, Antonio; De Mendonca, Alexandre; Sebastiao, Ana M.; Ribeiro, J. A.

CORPORATE SOURCE: Laboratory of Neurosciences, Faculty of Medicine, University of Lisbon, Lisbon, 1649-028, Port.

SOURCE: Pharmacology & Toxicology (Oxford, United Kingdom) (2002), 90(4), 208-213
CODEN: PHTOEH; ISSN: 0901-9928

PUBLISHER: Blackwell Publishers Ltd.

DOCUMENT TYPE: Journal

10/ 076,573

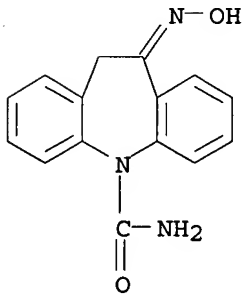
LANGUAGE: English

AB The effects of carbamazepine on synaptic transmission in rat hippocampal slices were compared with those of two novel analogs (BIA2-093 and BIA2-024) with equiv. anticonvulsant efficacy but with fewer side effects. Carbamazepine (10-1000 .mu.M) inhibited in a concn.-dependent manner the field excitatory postsynaptic potential (fPSP) response, with an EC50 of 263 .mu.M, and also attenuated the presynaptic volley with a similar EC50 value. Carbamazepine was more potent to inhibit the NMDA receptor component of the fPSP (fPSPNMDA), with an EC50 of 160 .mu.M. BIA2-093 and BIA2-024 were nearly equipotent with carbamazepine to inhibit synaptic transmission, and displayed similar potency to inhibit the fPSP (EC50 of 145 .mu.M and 205 .mu.M) and fPSPNMDA responses (EC50 of 198 .mu.M and 206 .mu.M). As with carbamazepine, BIA2-093 and BIA2-024 also attenuated the presynaptic volley with EC50 values ranging from 142 to 322 .mu.M. These results indicate that carbamazepine and its analogs mostly inhibit synaptic transmission through inhibition of conduction, although carbamazepine, but not BIA2-093 and BIA2-024, may also depress NMDA receptor-mediated responses.

IT **199997-15-4**, BIA2-024
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of carbamazepine and novel dihydrodibenzazepinecarboxamides on synaptic transmission in rat hippocampus)

RN 199997-15-4 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 27 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:372411 CAPLUS

DOCUMENT NUMBER: 137:109247

TITLE: Design, Synthesis, and Evaluation of New Chemosensitizers in Multi-Drug-Resistant Plasmodium falciparum

AUTHOR(S): Guan, Jian; Kyle, Dennis E.; Gerena, Lucia; Zhang, Quan; Milhous, Wilbur K.; Lin, Ai J.

CORPORATE SOURCE: Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910, USA

SOURCE: Journal of Medicinal Chemistry (2002), 45(13), 2741-2748
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:109247

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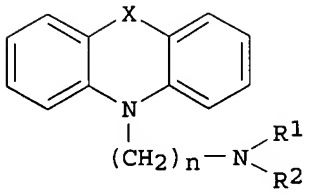

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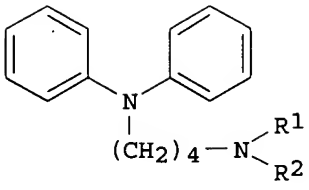


II

AB A series of new chemosensitizers (modulators) against chloroquine-resistant Plasmodium falciparum were designed and synthesized in an attempt to prep. modulators with enhancing drug-resistant reversing efficacy and minimal side effects. Phenothiazine, iminodibenzyl, and iminostilbene arom. amine ring systems I (X = S, CH2CH2, CH:CH; n = 4-6; R1, R2 = Me, Et, PhCH2; R1R2N = pyrrolinyl) and diphenylamines II (R1 = R2 = Et, R1R2N = pyrrolinyl) were examd. Various tertiary amino groups including either noncyclic or cyclic aliph. amines were introduced to explore the steric tolerance at the end of the side chain. The new compds. showed better drug-resistant reversing activity in chloroquine-resistant than in mefloquine-resistant cell lines and were generally more effective against chloroquine-resistant P. falciparum isolates from Southeast Asian (W2 and TM91C235) than those from South America (PC49 and RCS). Structure-activity relationship studies revealed that elongation of the alkyl side chain of the mol. retained the chemosensitizing activity, and analogs with four-carbon side chains showed superior activity. Furthermore, new modulators with phenothiazine ring exhibited the best chemosensitizing activity among the four different ring systems examd. Terminal amino function has limited steric tolerance as evidenced by the dramatic lose of the modulating activity, when the size of substituent at the amino group increases. The fractional inhibitory concn. (FIC) index of the best new modulator I (X = S, n = 4, R1R2N = pyrrolinyl) is 0.21, which is superior to that of verapamil (0.51), one of the best-known multi-drug-resistant reversing agents. Some of the analogs displayed moderate intrinsic in vitro antimalarial activity against a W-2 clone of P. falciparum.

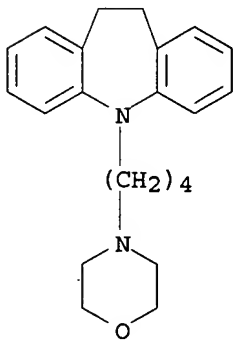
IT **246041-26-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of antimalarial drug chemosensitizing aminoalkyl phenothiazines, benzazepines, and diphenylamines)

RN 246041-26-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[4-(4-morpholinyl)butyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS

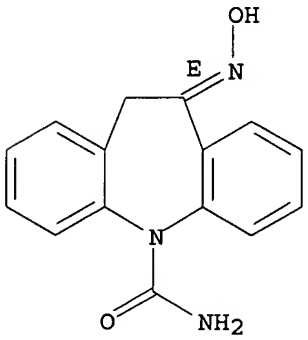
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 28 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:325900 CAPLUS
DOCUMENT NUMBER: 137:257231
TITLE: Synthesis, anticonvulsant properties and pharmacokinetic profile of novel 10,11-dihydro-10-oxo-5H-dibenz/b,f/azepine-5-carboxamide derivatives
AUTHOR(S): Learmonth, David A.; Benes, Jan; Parada, Antonio; Hainzl, Dominik; Beliaev, Alexander; Bonifacio, Maria Joao; Matias, Pedro M.; Carrondo, Maria A.; Garrett, Jose; Soares-Da-Silva, Patricio
CORPORATE SOURCE: Department of Research & Development, Laboratory of Chemistry, BIAL, S. Mamede do Coronado, 4785, Port.
SOURCE: European Journal of Medicinal Chemistry (2001), 36(3), 227-236
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of novel derivs. of oxcarbazepine, 10,11-dihydro-10-oxo-5H-dibenz/b,f/azepine-5-carboxamide was synthesized and evaluated for their anticonvulsant activity and sodium channel blocking properties. One of the oxime was found to be the most active compd. from this series, displaying greater potency than its geometric isomer and exhibiting also the highest protective index value. Importantly, the metabolic profile of some compds. differs from the already established dibenz/b,f/azepine-5-carboxamide drugs which undergo rapid and complete conversion in vivo to several biol. active metabolites. One of the compd. is metabolized to only a very minor extent leading to the conclusion that the obsd. anti-convulsant effect is solely attributable to it. It is concluded that some the compds. may be very effective controlling seizures and that the low toxicity and consequently high protective index should provide the compds. with an improved side-effect profile.

IT **461670-31-5P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis, anticonvulsant properties and pharmacokinetic profile of novel 10,11-dihydro-10-oxo-5H-dibenz/b,f/azepine-5-carboxamide derivs.)
RN 461670-31-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)-, (10E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

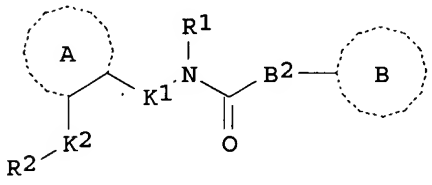


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

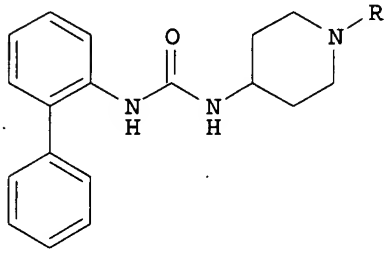
L7 ANSWER 29 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:315471 CAPLUS
DOCUMENT NUMBER: 136:325431
TITLE: Preparation of 2-biphenyl 4-piperidinyl ureas having muscarinic receptor antagonist activity
INVENTOR(S): Mammen, Mathai; Oare, David
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U. S. Ser. No.456,170, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002049195	A1	20020425	US 2000-732514	20001207

PRIORITY APPLN. INFO.: US 1999-456170 B2 19991207
OTHER SOURCE(S): MARPAT 136:325431
GI



I



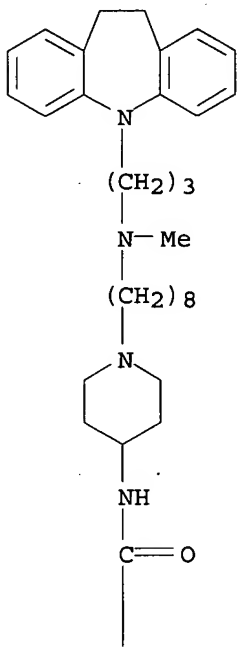
II

AB The title compds. L1XL2 [L1 = I (wherein A = (hetero)aryl; B2 = NRa; Ra = H, alkyl, etc.; R1 = H, alkyl; R2 = heteroaryl, etc.; K1 = a bond, alkylene; K2 = a bond, CO, SOn, etc.; n = 0-2; B = heterocycloamino, heteroarylamino); X = a linker; L2 = an org. group comprising at least one primary, secondary, or tertiary amine] which are muscarinic receptor antagonists and agonists (biol. data given), were prepd. and formulated. E.g., a 2-step prepn. of the intermediate II [R = H] starting with biphenyl-2-isocyanate and 4-amino-N-benzylpiperidine, was given. Mass spec data for 643 compds. II [R = XL2] such as II [X = CH2CH(OH)CH2; L2 = 4-[2-(N-phenyl-N-methylamino)-2-oxoethyl]piperazin-1-yl], were presented.

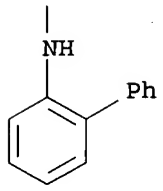
IT **344432-44-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-biphenyl 4-piperidinyl ureas having muscarinic receptor

antagonist activity)
RN 344432-44-6 CAPLUS
CN Urea, N-[1,1'-biphenyl]-2-yl-N'-[1-[8-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]methylamino]octyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



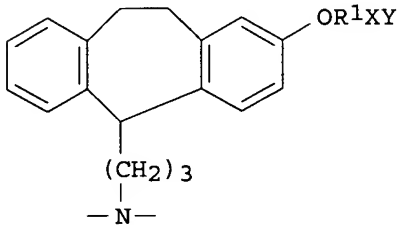
PAGE 2-A



L7 ANSWER 30 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:271072 CAPLUS
DOCUMENT NUMBER: 136:289038
TITLE: Tricyclic antidepressant derivatives and immunoassay
INVENTOR(S): Ghoshal, Mitali; Tsai, Jane S. C.; Vitone, Stephen
PATENT ASSIGNEE(S): Roche Diagnostics Corporation, USA
SOURCE: U.S., 27 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

US 6368814 B1 20020409 US 2000-747809 20001222
EP 1216994 A2 20020626 EP 2001-130018 20011218
EP 1216994 A3 20030326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2002302471 A2 20021018 JP 2001-386768 20011219
PRIORITY APPLN. INFO.: US 2000-747809 A 20001222
OTHER SOURCE(S): MARPAT 136:289038
GI



I

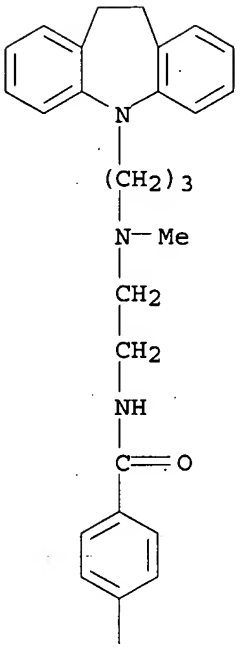
AB The invention is directed to novel tricyclic antidepressant drug derivs. synthesized for covalent attachment to proteins or polypeptide antigens for use in the prepn. of antibodies or receptors to tricyclic antidepressant drugs and tricyclic antidepressant metabolites. The new derivs. are characterized by a satd. double bond on the amitriptyline portion of the mol. and are represented by the structure (I) where R1 is a satd. or unsatd., substituted or unsubstituted, straight or branched chain of 0-10 carbon or heteroatoms, X is a linker group consisting of 0-2 substituted or unsubstituted arom. rings, and Y is an activated ester or NH-Z, where Z is a poly(amino acid). The novel tricyclic antidepressant activated hapten derivs. are useful for prepg. tracers and conjugates for tricyclic antidepressant immunoassays, including an enzyme immunoassay and a microparticle capture inhibition assay using an antibody produced from the novel immunogen with a conjugate derivatized either at the N-1 position of imipramine or at the C-2 position of dihydroamitriptyline.

IT **408502-81-8P**
RL: ANT (Analyte); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(tricyclic antidepressant derivs. and immunoassay)

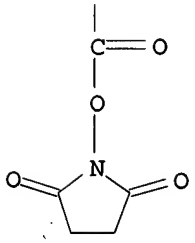
RN 408502-81-8 CAPLUS

CN Benzamide, N-[2-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]methylamino]ethyl]-4-[[(2,5-dioxo-1-pyrrolidinyl)oxy]carbonyl]-(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

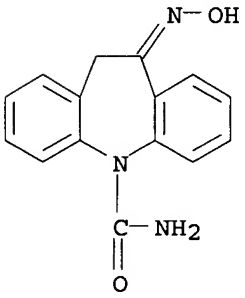
L7 ANSWER 31 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:257421 CAPLUS
DOCUMENT NUMBER: 137:149748
TITLE: Metabolism of 10,11-dihydro-10-hydroxyimino-5H-dibenz/b, f/azepine-5-carboxamide, a potent anti-epileptic drug
AUTHOR(S): Hainzl, D.; Loureiro, A. I.; Parada, A.; Soares-da-Silva, P.
CORPORATE SOURCE: Department of Research & Development, Laboratorios Bial, Mamede do Coronado, 4745-457, Port.
SOURCE: Xenobiotica (2002), 32(2), 131-140
CODEN: XENOBH; ISSN: 0049-8254
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 10,11-Dihydro-10-hydroxyimino-5H-dibenzo/b, f/azepine-5-carboxamide (BIA 2-024) is a new anti-epileptic drug similar to oxcarbazepine (OXC) in

structure and efficacy, but with a preferred pharmacodynamic profile. It possesses high in vitro activity, but since oximes are usually metabolized to their corresponding ketones, it is important to know whether its in vivo potency is a result of acting as a prodrug of OXC or if it is acting on its own. The drug was given orally to rats, mice and rabbits, the metabolites identified and pharmacokinetic profiles compared between those species. Furthermore, the pharmacokinetic profile of the main metabolite was established in the rat. The results were compared to in vitro metab. studies with liver microsomes from different mammalian species and humans. In an atypical reaction for oximes, BIA 2-024 in rats was rapidly (tmax = 2 h) metabolized to the non-active 10-nitro-deriv. (BIA 2-254), whereas rabbits and particularly mice oxidized the oxime moiety to a much lower extent. BIA 2-254 was then transformed to OXC and subsequently to the 10-hydroxy deriv. and other minor metabolites. In vitro data showed a very similar cross-species behavior as the in vivo results; human liver microsomes catalyzed the oxidn. of BIA 2-024 to the nitro metabolite only at a low rate, and the same was obsd. for the subsequent metab. to OXC. The results allow prediction of the in vivo metab. of BIA 2-024 in humans, where this drug is most likely absorbed efficiently and excreted mainly as the parent compd. with a relatively low hepatic clearance. With the exception of rat, BIA 2-024 does not act as a prodrug of OXC.

IT **199997-15-4**, BIA 2-024
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(metab. of 10,11-dihydro-10-hydroxyimino-5H-dibenz/b, f/azepine-5-carboxamide, a potent anti-epileptic drug)

RN 199997-15-4 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:239958 CAPLUS

DOCUMENT NUMBER: 137:87727

TITLE: Mechanisms of action of carbamazepine and its derivatives, oxcarbazepine, BIA 2-093, and BIA 2-024

AUTHOR(S): Ambrosio, Antonio F.; Soares-Da-Silva, Patricio; Carvalho, Caetana M.; Carvalho, Arselio P.

CORPORATE SOURCE: Department of Cell Biology, Center for Neuroscience of Coimbra, Department of Zoology, University of Coimbra, Coimbra, 3004-517, Port.

SOURCE: Neurochemical Research (2002), 27(1/2), 121-130
CODEN: NEREDZ; ISSN: 0364-3190

PUBLISHER: Kluwer Academic/Plenum Publishers

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

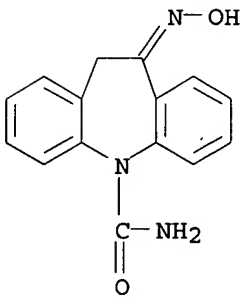
10/ 076,573

AB A review. Carbamazepine (CBZ) has been extensively used in the treatment of epilepsy, as well as in the treatment of neuropathic pain and affective disorders. However, the mechanisms of action of this drug are not completely elucidated and are still a matter of debate. Since CBZ is not very effective in some epileptic patients and may cause several adverse effects, several antiepileptic drugs have been developed by structural variation of CBZ, such as oxcarbazepine (OXC), which is used in the treatment of epilepsy since 1990. (S)-(-)-10-acetoxy-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-093) and 10,11-dihydro-10-hydroxyimino-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-024), which were recently developed by BIAL, are new putative antiepileptic drugs, with some improved properties. In this review, we will focus on the mechanisms of action of CBZ and its derivs., OXC, BIA 2-093 and BIA 2-024. The available data indicate that the anticonvulsant efficacy of these AEDs is mainly due to the inhibition of sodium channel activity.

IT **199997-15-4**, BIA 2-024
RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(mechanisms of action of carbamazepine and derivs., oxcarbazepine, BIA 2-093, and BIA 2-024)

RN 199997-15-4 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 108 THERE ARE 108 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 33 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:168642 CAPLUS

DOCUMENT NUMBER: 137:190832

TITLE: Iminodibenzyl as a novel coupling agent for the spectrophotometric determination of sulfonamide derivatives

AUTHOR(S): Nagaraja, Padmarjaiah; Sunitha, Kallanchira R.; Vasantha, Ramanathapura A.; Yathirajan, Hemmige S.

CORPORATE SOURCE: Department of Studies in Chemistry, University of Mysore, Mysore, India

SOURCE: European Journal of Pharmaceutics and Biopharmaceutics (2002), 53(2), 187-192
CODEN: EJPBEL; ISSN: 0939-6411

PUBLISHER: Elsevier Science Ireland Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

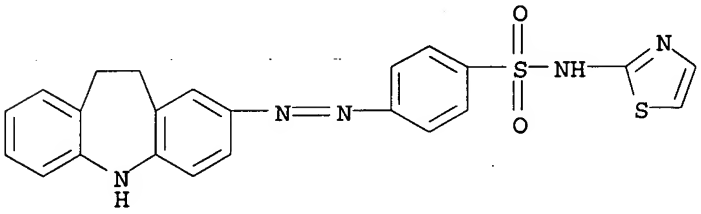
AB A rapid, selective and simple spectrophotometric method for the detn. of sulfa-drugs was described. The method is based on the formation of violet colored azo product by the diazotization of sulfonamides, viz. sulfathiazole (SFT), sulfadiazine (SFD), sulfacetamide (SFA),

sulfamethoxazole (SFMx), sulfamerazine (SFMr), sulfaguanidine (SFG) and sulfadimidine (SFDd) followed by a coupling reaction with iminodibenzyl in alc. medium. Absorbance of the resulting violet azo product is measured at 570-580 nm and is stable for 24 h at 27.degree.C. Beer's law was obeyed in the concn. range of 0.05-6.0 .mu.g ml-1 at the wavelength of max. absorption. The method was successfully employed for the detn. of sulfonamides in various pharmaceutical prepns. and common excipients used as additives in pharmaceuticals do not interfere in the proposed method. The method offers the advantages of simplicity, rapidity and sensitivity without the need for extn. or heating. A reaction mechanism is proposed for the formation of the violet azo product.

IT **449772-18-3**
RL: ANT (Analyte); ANST (Analytical study)
(detn. of sulfonamide derivs. by spectrophotometry using iminodibenzyl as coupling agent)

RN 449772-18-3 CAPLUS

CN Benzenesulfonamide, 4-[(10,11-dihydro-5H-dibenz[b,f]azepin-2-yl)azo]-N-2-thiazolyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 34 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:149897 CAPLUS

DOCUMENT NUMBER: 137:341961

TITLE: Novel reagents for the sensitive spectrophotometric determination of flutamide, an anticancer drug in pharmaceutical preparations

AUTHOR(S): Nagaraja, Padmarajaiah; Arun Kumar, Hassan R.; Vasantha, Ramanathapura A.; Yathirajan, Hemmige S.

CORPORATE SOURCE: Department of Studies in Chemistry, University of Mysore, Manasagangotri, Mysore, 570 006, India

SOURCE: International Journal of Pharmaceutics (2002), 235(1-2), 113-120
CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

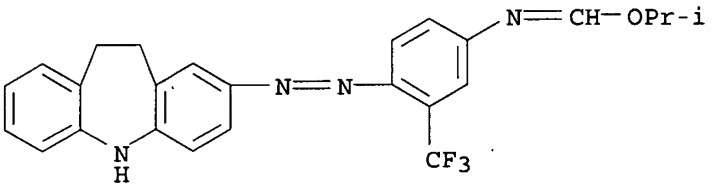
AB Simple and sensitive spectrophotometric methods for the detn. of flutamide (FLA) in either pure form or in its pharmaceutical prepns. are described. The first method is based on the diazotization of reduced FLA, followed by coupling with alc. iminodibenzyl (IDB) in acid medium to give a purple colored product having a .lambda.max of 570 nm. In the second method, the diazotization of reduced FLA followed by coupling with 4-amino-5-hydroxy-2,7-naphthalenedisulfonic acid monosodium salt (AHND) in a buffer medium of pH 12, gives a red colored product having a .lambda.max of 520 nm. Common excipients used as additives in pharmaceutical prepns. do not interfere in the proposed methods. Both the methods are highly reproducible and have been applied to a wide variety of pharmaceutical prepns. and the results compare favorably with the reported method.

IT **474044-11-6P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(novel reagents for sensitive spectrophotometric detn. of flutamide, an anticancer drug in pharmaceutical prepns.)

RN 474044-11-6 CAPLUS

CN Methanimidic acid, N-[4-[(10,11-dihydro-5H-dibenz[b,f]azepin-2-yl)azo]-3-(trifluoromethyl)phenyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 35 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:136165 CAPLUS

DOCUMENT NUMBER: 137:6160

TITLE: Synthesis and antibacterial activity of new 9-aminoacridine, 10,11-dihydro-5H-dibenz[b,f]azepine, polyfluorinated 5,6-dihydro-1,3,5-oxadiazine derivatives

AUTHOR(S): Torgun, I. N.; Sydorenko, S. V.; Zykova, I. E.; Yudin, S. M.; Kryukova, L. Yu.; Krylov, I.; Kryukov, L. N.; Kuznetsov, S. L.; Vorontsov, E. A.; Rezvan, S. P.; Grudinina, S. A.

CORPORATE SOURCE: Center of Medical, Biological and Ecological Problems Russian Academy of Natural Sciences, National Research Centre of Antibiotics, Moscow, Russia

SOURCE: Antibiotiki i Khimioterapiya (2001), 46(10), 6-10
CODEN: ANKHEW; ISSN: 0235-2990

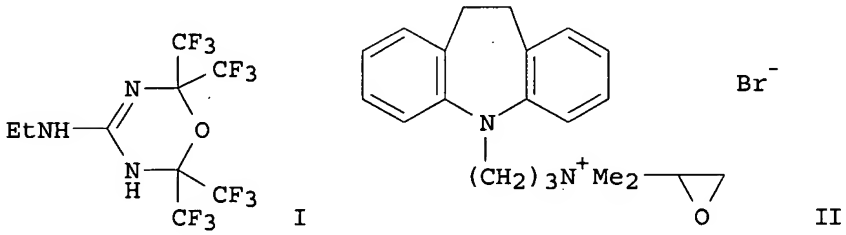
PUBLISHER: Izdatel'skii Dom "Krasnaya Ploshchad"

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 137:6160

GI



I II

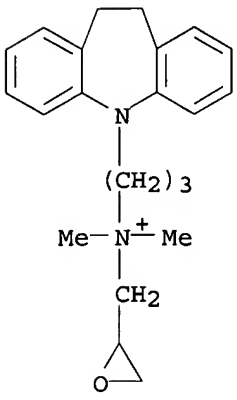
AB Title compds. such as I and II were prepd. and screened for antibacterial activity. The oxadiazines showed activity against gram-pos. microorganisms including methicillin-resistant staphylococci. Special attention was paid to the activity of iminodibenzyl derivs. against multiresistant gram-neg. microorganisms.

IT **431943-46-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antibacterial activity of)

RN 431943-46-3 CAPLUS

10/ 076,573

CN 5H-Dibenz[b,f]azepine-5-propanaminium, 10,11-dihydro-N,N-dimethyl-N-(oxiranylmethyl)-, bromide (9CI) (CA INDEX NAME)



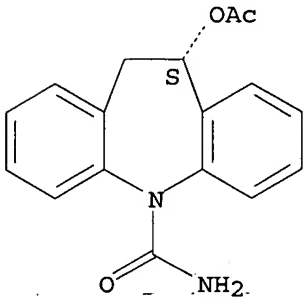
L7 ANSWER 36 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:124848 CAPLUS
DOCUMENT NUMBER: 137:179711
TITLE: Interaction of the novel anticonvulsant, BIA 2-093, with voltage-gated sodium channels: Comparison with carbamazepine
AUTHOR(S): Bonifacio, M. J.; Sheridan, R. D.; Parada, A.; Cunha, R. A.; Patmore, L.; Soares-da-Silva, P.
CORPORATE SOURCE: Department of Research and Development, BIAL, Mamede do Coronado, 4745-457, Port.
SOURCE: Epilepsia (2001), 42(5), 600-608
CODEN: EPILAK; ISSN: 0013-9580
PUBLISHER: Blackwell Science, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB BIA 2-093 [(S)-(-)-10-acetoxy-10,11-dihydro-5H-dibenz/b,f/azepine-5-carboxamide] is endowed with an anticonvulsant potency similar to that of carbamazepine (CBZ), but produces less cognitive and motor impairment. This study evaluated whether voltage-gated sodium channels (VGSCs) are a primary locus for the action of BIA 2-093. We used the whole-cell voltage-clamp technique in the mouse neuroblastoma cell line NIE-115 to investigate the effects of BIA 2-093 and CBZ on VGSCs, displacement of [3H]-batrachotoxinin A 20-.alpha.-benzoate ([3H]-BTX), and [3H]-saxitoxin to define their relative potency to bind to rat brain sodium channels, and inhibition of uptake of 22Na by rat brain cortical synaptosomes stimulated by veratridine as a measure of sodium entry. The inhibitory potencies of BIA 2-093 and CBZ increased as the holding potential was made less neg. (-100, -90, -80, and -70 mV) with median inhibitory concn. (IC50) values (in .mu.M) of, resp., 4,337, 618, 238, and 139 for BIA 2-093, and 1,506, 594, 194, and 101 for CBZ. BIA 2-093 displayed a similar potency in displacing [3H]-BTX (IC50 values, 222 vs. 361 .mu.M; $p > 0.05$) and inhibiting the uptake of 22Na (IC50 values, 36 vs. 138 .mu.M; $p > 0.05$). Both drugs failed to displace [3H]-saxitoxin in concns. up to 300 .mu.M. Thus, BIA 2-093, like CBZ, inhibits sodium currents in a voltage-dependent way by an interaction predominantly with the inactivated state of the channel and interacts with neurotoxin receptor site 2, but not with receptor site 1. BIA 2-093 displayed a potency blocking VGSCs similar to that of CBZ.

10/ 076,573

IT **236395-14-5**, BIA 2-093
RL: BSU (Biological study, unclassified); DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(interaction of the novel anticonvulsant, BIA 2-093, with voltage-gated sodium channels and comparison with carbamazepine)
RN 236395-14-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro-, (10S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

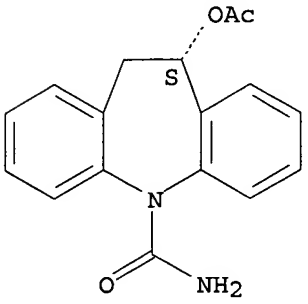
L7 ANSWER 37 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:89166 CAPLUS
DOCUMENT NUMBER: 137:103780
TITLE: The novel anticonvulsant BIA 2-093 inhibits transmitter release during opening of voltage-gated sodium channels: a comparison with carbamazepine and oxcarbazepine
AUTHOR(S): Parada, Antonio; Soares-da-Silva, Patricio
CORPORATE SOURCE: Department of Research and Development, BIAL, S. Mamede do Coronado, 4785, Port.
SOURCE: Neurochemistry International (2002), 40(5), 435-440
CODEN: NEUIDS; ISSN: 0197-0186
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB (S)-(-)-10-acetoxy-10,11-dihydro-5H-dibenz/b,f/azepine-5-carboxamide (BIA 2-093) is endowed with high anticonvulsant activity and shares with carbamazepine (CBZ) and oxcarbazepine (OXC) the capability to inhibit voltage-gated sodium channels (VGSC). The present study was aimed to compare the effects of BIA 2-093, CBZ and OXC on the release of glutamate, aspartate, .gamma.-aminobutyric acid (GABA) and dopamine from striatal slices induced by the VGSC opener veratrine. The release of glutamate, aspartate, GABA and aspartate by veratrine from rat striatal slices was a concn. and time dependent process. All the three dibenzazepine carboxamide derivs., BIA 2-093, CBZ and OXC inhibited in a concn. dependent manner (from 30 to 300 .mu.M) the veratrine-induced release of glutamate, aspartate, GABA and dopamine. CBZ, OXC and BIA 2-093 were endowed with similar potencies in inhibiting veratrine-induced transmitter release. It is concluded that BIA 2-093, CBZ and OXC inhibit veratrine-induced transmitter release, which is in agreement with their capability to block VGSC. This property may be of importance for the anticonvulsant effects of BIA 2-093.
IT **236395-14-5**, BIA 2-093
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anticonvulsant BIA 2-093 inhibits transmitter release during opening of voltage-gated sodium channels)

RN 236395-14-5 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro-, (10S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 38 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:72099 CAPLUS

DOCUMENT NUMBER: 136:118467

TITLE: Preparation of indoloquinazolinones as PARP inhibitors

INVENTOR(S): Zimmermann, Kaspar; Portmann, Robert; Rigel, Dean Franklin

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

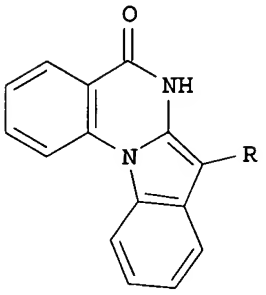
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006284	A1	20020124	WO 2001-EP8192	20010716
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1303517	A1	20030423	EP 2001-957972	20010716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

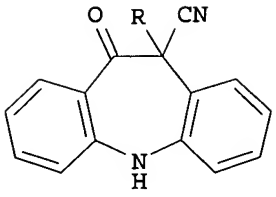
PRIORITY APPLN. INFO.: GB 2000-17508 A 20000717
WO 2001-EP8192 W 20010716

OTHER SOURCE(S): MARPAT 136:118467

GI



I



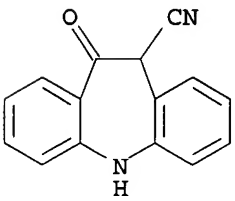
II

AB The title compds. [I; R = (CH2)nX (wherein n = 1-3; X = alkyl, alkoxy, CO2H, etc.), CH2CONR1R2 (R1, R2 = H, OH, alkyl, etc.; or NR1R2 = morpholino, alkylpiperazinyl, pyrrolidinyl, etc.)], useful as pharmaceuticals, for use in the treatment of any state assocd. with high levels of activated PARP, were prepd. by reacting II with NaOMe. Thus, treating 10-oxo-10,11-dihydro-dibenzo[b,f]azepine-5-carbonitrile with tBuOK in 1,2-dichloroethane followed by reacting the resulting 11-oxo-10,11-dihydro-5H-dibenzo[b,f]azepine-10-carbonitrile with EtI in K2CO3, and then after removal of solid, addn. of NaOMe afforded I [R = Et]. Biol. data for one of the title compds. I were given.

IT **391671-05-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of indoloquinazolinones as PARP inhibitors)

RN 391671-05-9 CAPLUS

CN 5H-Dibenz[b,f]azepine-10-carbonitrile, 10,11-dihydro-11-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 39 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:59016 CAPLUS

DOCUMENT NUMBER: 136:257030

TITLE: Novel Tricyclic-.alpha.-alkyloxyphenylpropionic Acids: Dual PPAR.alpha./.gamma. Agonists with Hypolipidemic and Antidiabetic Activity

AUTHOR(S): Sauerberg, Per; Pettersson, Ingrid; Jeppesen, Lone; Bury, Paul S.; Mogensen, John P.; Wassermann, Karsten; Brand, Christian L.; Sturis, Jeppe; Woeldike, Helle F.; Fleckner, Jan; Andersen, Anne-Sofie T.; Mortensen, Steen B.; Svensson, L. Anders; Rasmussen, Hanne B.; Lehmann, Soren V.; Polivka, Zdenek; Sindelar, Karel; Panajotova, Vladimira; Ynddal, Lars; Wulff, Erik M.

CORPORATE SOURCE: Novo Nordisk Park, Novo Nordisk A/S, Malov, 2760, Den.

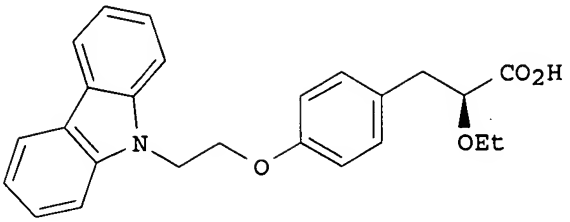
SOURCE: Journal of Medicinal Chemistry (2002), 45(4), 789-804
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



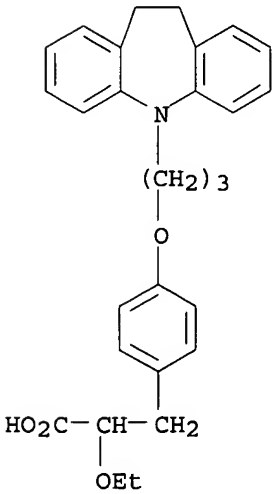
I

AB Tricyclic .alpha.-ethoxy phenylpropionic acid derivs. such as nonracemic carbazoleethoxypropionic acid I were prepd. and tested for their PPAR.alpha. and PPAR.gamma. agonist activities as potential antihyperlipidemic and antidiabetic agents. Mol. mechanics and X-ray crystallog. data of the complex of the PPAR.gamma. receptor with I were obtained. Db/db mice treated with I showed improved insulin sensitivity over treatment with either pioglitazone or rosiglitazone, suggesting in vivo PPAR.gamma. activity. Rats fed a high-cholesterol diet and treated with I also showed decreased plasma triglycerides and cholesterol after 4 days treatment, indicating in vivo PPAR.alpha. activity. Pharmacokinetics of selected compds. suggested that extended drug exposure improved the in vivo activity of in vitro active compds.

IT **265301-15-3P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and PPAR.alpha. and PPAR.gamma. agonist activity of tricyclic .alpha.-ethoxyphenylpropionic acids prepd. as potential antihyperlipidemic and antidiabetic agents)

RN 265301-15-3 CAPLUS

CN Benzenepropanoic acid, 4-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 40 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:10247 CAPLUS

10/ 076,573

DOCUMENT NUMBER: 136:74317
TITLE: Cosmetic compositions containing iminodibenzyl or fluorene derivatives
INVENTOR(S): Bajor, John Steven; Pocalyko, David Joseph
PATENT ASSIGNEE(S): Unilever Plc, UK; Unilever Nv; Hindustan Lever Ltd.
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

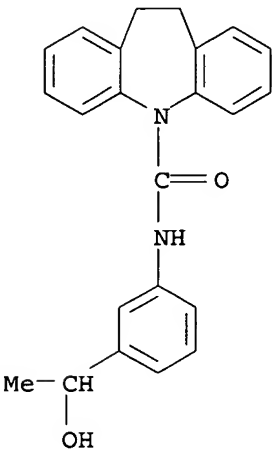
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000186	A2	20020103	WO 2001-EP6373	20010605
WO 2002000186	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028804	A1	20020307	US 2001-873159	20010601
US 6355687	B1	20020312		

PRIORITY APPLN. INFO.: US 2000-215648P P 20000630

AB Cosmetic methods and compns. contg. selected iminodibenzyl or fluorene derivs. are described. When used for skin or hair care, the compns. provide control of sebum secretion from sebocytes, improved oil control and improved feel, and prevent shine and stickiness. Thus, a iminodibenzyl deriv. (1 .mu.M) and retinol (1 .mu.M) in a cosmetic compn. showed sebum suppression activity.

IT **384847-27-2**
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(cosmetic compns. contg. iminodibenzyl or fluorene derivs.)

RN 384847-27-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-N-[3-(1-hydroxyethyl)phenyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 41 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:923564 CAPLUS

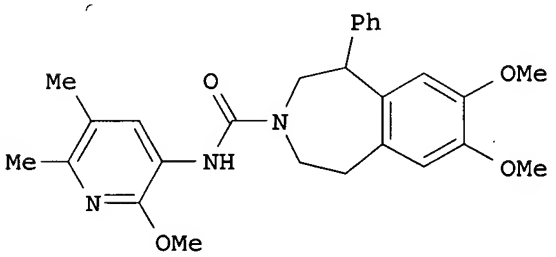
10/ 076,573

DOCUMENT NUMBER: 136:53769
TITLE: Preparation of ureas as anti-cancer agents
INVENTOR(S): Kim, Joong Young; Yoon, Byung Hoon; Hwang, Sun Kyung; Oh, Chul Min; Park, Mee Seon; Song, Kyoung Ok; Oh, Seong Soo
PATENT ASSIGNEE(S): Chaconne NSI Co., Ltd., S. Korea
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095856	A2	20011220	WO 2001-KR1017	20010613
WO 2001095856	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001064376	A5	20011224	AU 2001-64376	20010613
US 2002019389	A1	20020214	US 2001-880823	20010614

PRIORITY APPLN. INFO.:
KR 2000-32925 A 20000615
KR 2000-32927 A 20000615
KR 2000-32930 A 20000615
KR 2000-45427 A 20000805
WO 2001-KR1017 W 20010613

OTHER SOURCE(S): MARPAT 136:53769
GI

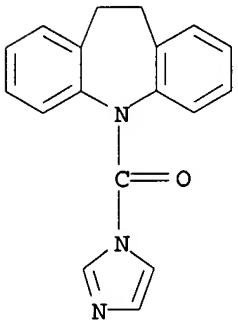


II

AB The title compds. BYC(:X)Het [I; X = O, S, NH, N(CN); Y = a bond, NH, O, S; B = alkyl, (un)substituted 3-pyridyl, diphenylmethyl, imidazol-1-yl, etc.; Het = (un)substituted 4-phenylpiperazino, 3H-benzazepin-3-yl, 4,4-diphenylpiperidino, etc.] and their pharmaceutically acceptable acid addn. salts, useful as anti-cancer agents, were prepd. Thus, reacting N-(5,6-dimethyl-2-methoxypyridin-3-yl)phenylcarbamate with 7,8-dimethoxy-1-phenyl-2,3,4,5-tetrahydro-3H-benzazepine in the presence of DBU in THF afforded 82% II. The anti-cancer activity of all exemplified compds. I was evaluated in vitro using A549 (lung cancer), SUN638 (gastric cancer), HCT116 (rectal cancer), and A431 (ovarian cancer) cell lines. Compds. I showed a superior anti-cancer activity in all mentioned above cell lines (detailed data given).

10/ 076,573

IT **381249-31-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ureas as anti-cancer agents)
RN 381249-31-6 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-(1H-imidazol-1-ylcarbonyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 42 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:895650 CAPLUS
DOCUMENT NUMBER: 136:37404
TITLE: Preparation of phenyl amides and ureas as neuropeptide Y5 receptor antagonists
INVENTOR(S): Dugar, Sundeep; Neustadt, Bernard R.; Stamford, Andrew W.; Wu, Yusheng
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S., 42 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6329395	B1	20011211	US 1999-326575	19990607

PRIORITY APPLN. INFO.: US 1998-88422P P 19980608
OTHER SOURCE(S): MARPAT 136:37404
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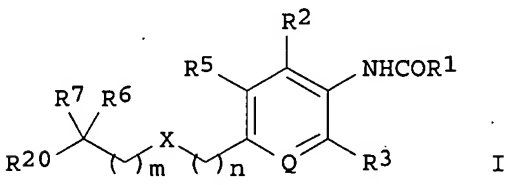


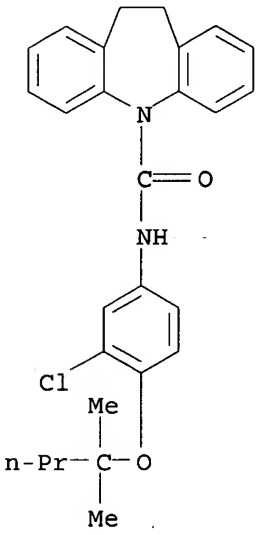
I

AB The title compds. [I; m, n = 0-2, provided that the sum m + n = 0-3; Q = CR4, N; X = O, S, SO, etc.; R1 = (un)substituted aryl, heteroaryl, amino, etc.; R2-R5 = H, alkyl, (un)substituted cycloalkyl, etc.; R6, R7 = H, alkyl, alkenyl, etc.; CR6R7 = 3-7-membered carbocyclic ring, 4-7-membered heterocyclic ring; R20 = alkyl, cycloalkyl, hydroxyalkyl, etc.], useful in the treatment of eating disorders and diabetes, were prepd. Thus, amidation of 4-[1,1-dimethylbutylthio]aniline with trimethylacetyl

chloride in CH2Cl2 afforded 76% I [Q = CH; R1 = Me3C; R2 = R3 = R5 = H; R6 = R7 = Me; R20 = Pr; X = S; m = n = 0] which showed Ki of 3 nM against human NPY5 receptor binding.

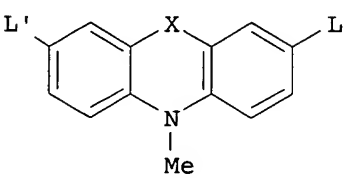
IT **252346-34-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of Ph amides and ureas as neuropeptide Y5 receptor antagonists)

RN 252346-34-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, N-[3-chloro-4-(1,1-dimethylbutoxy)phenyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 43 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:884634 CAPLUS
DOCUMENT NUMBER: 136:200235
TITLE: C-phosphorylation of 5,10-dimethyl-5,10-dihydrophenazine and its carbo- and heteroanalogs
AUTHOR(S): Ivonin, Sergei P.; Kopteva, Svetlana D.; Serdyuk, Viktor N.; Tolmachev, Andrei A.; Pinchuk, Aleksandr M.
CORPORATE SOURCE: Department of Chemistry, Dnepropetrovsk State University, Dnepropetrovsk, 320625/10, Ukraine
SOURCE: Heteroatom Chemistry (2001), 12(7), 652-657
CODEN: HETCE8; ISSN: 1042-7163
PUBLISHER: John Wiley & Sons, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English.
GI



I

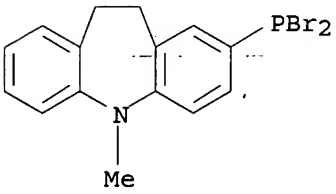
10/ 076,573

AB This study covers phosphorylation of heterocyclic analogs of N-methyldiphenylamine, e.g., I (L = L' = H, X = NMe, O, S, CH2CH2), with P tribromide in pyridine soln. The reaction proceeds regioselectively in accordance with the orienting effect of the amino group. Mono and bis-phosphorylated derivs. of the heterocycles, e.g., I (L = PBr2, L' = H; L = L' = PBr2, resp.), were isolated and characterized. It is pointed out that the heterocyclic systems under study exhibit reduced reactivity in electrophilic phosphorylation as compared to N-methyldiphenylamine. The results of calcns. by the PM3 method are reported for the starting mols. and their .sigma.-complexes.

IT **400723-88-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and condensation reaction with morpholine and sulfur to give dimorpholinothiophosphonate)

RN 400723-88-8 CAPLUS

CN Phosphonous dibromide, (10,11-dihydro-5-methyl-5H-dibenz[b,f]azepin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

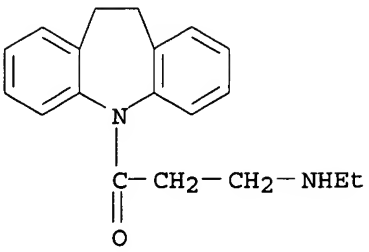
L7 ANSWER 44 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:780018 CAPLUS
DOCUMENT NUMBER: 136:128575
TITLE: A new class of antiarrhythmic-Defibrillatory agents
AUTHOR(S): Levy, Ofra; Erez, Mordechai; Varon, Dalia; Keinan, Ehud
CORPORATE SOURCE: Technion-Israel Institute of Technology, Department of Chemistry and Institute of Catalysis Science and Technology, Technion City, Haifa, 32000, Israel
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(22), 2921-2926
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Novel dibenzoazepine and 11-oxo-dibenzodiazepine derivs. are shown to be effective ventricular defibrillating drug candidates. They exhibit significant in vivo defibrillatory activity with no obsd. changes in ECG either before or after the VF event. These compds. also exhibit antifibrillatory activity by elevating the fibrillation threshold potential, all suggesting that such drugs could be used to treat VF either by themselves or together with elec. defibrillators.

IT **328405-82-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dibenzoazepine and oxo-dibenzodiazepine derivs. as new class of antiarrhythmic-ventricular defibrillatory agents)

RN 328405-82-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[3-(ethylamino)-1-oxopropyl]-10,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



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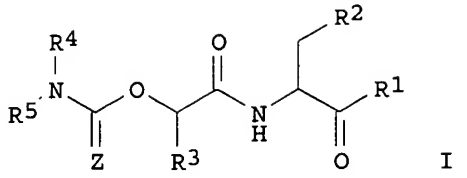
REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 45 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:730702 CAPLUS
DOCUMENT NUMBER: 135:273216
TITLE: Preparation of carbamate caspase inhibitors
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Kay, David; Knegtel, Ronald; Golec, Julian; Mortimore, Michael; Studley, John
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072707	A2	20011004	WO 2001-US10182	20010329
WO 2001072707	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028803	A1	20020307	US 2001-821161	20010329
EP 1268425	A2	20030102	EP 2001-922868	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009588	A	20030204	BR 2001-9588	20010329
NO 2002004661	A	20021126	NO 2002-4661	20020927

PRIORITY APPLN. INFO.: US 2000-192826P P 20000329
WO 2001-US10182 W 20010329
OTHER SOURCE(S): MARPAT 135:273216
GI



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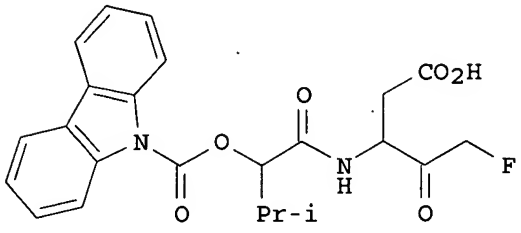


II

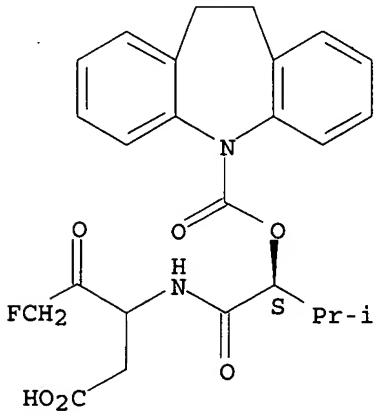
AB Carbamate derivs. I [Z is O, S; R1 is H, CHN2, R (R is C1-12 aliph., aryl, aralkyl, heterocyclyl, orheterocyclylalkyl), CH2OR, CH2SR, or CH2Y (Y is an electroneg. leaving group); R2 is CO2H, CH2CO2H or esters, amides or isosteres; R3 is a group capable of fitting into the S2 subsite of a caspase enzyme; R4R5N is a mono-, bi- or tricyclic heterocyclic ring system] were prepd. as caspase inhibitors. The compds. are effective inhibitors of apoptosis and IL-1.beta. secretion. Thus, compd. II was prepd. by amidation of (S)-3-methyl-2-(carbazole)carbamoyloxybutyric acid (prepn. given) with 3-amino-5-fluoro-4-hydroxypentanoic acid tert-Bu ester, followed by oxidn. of the hydroxy group using Dess-Martin periodinane and ester cleavage.

IT **363155-16-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carbamate caspase inhibitors)

RN 363155-16-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10,11-dihydro-, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/ 076,573

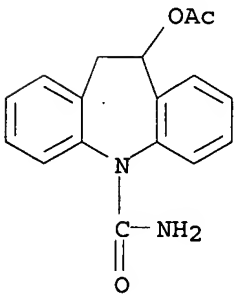
ACCESSION NUMBER: 2001:718997 CAPLUS
DOCUMENT NUMBER: 135:278027
TITLE: Zero-order sustained release delivery system for carbamazepine derivatives
INVENTOR(S): Katzhendler, Ifat; Friedman, Michael
PATENT ASSIGNEE(S): Yissum Research Development Company of the Hebrew University of Jerusalem, Israel
SOURCE: U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 436,886, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6296873	B1	20011002	US 2000-539504	20000331
US 5980942	A	19991109	US 1998-12265	19980123

PRIORITY APPLN. INFO.: US 1997-35892P P 19970123
US 1998-12265 A1 19980123
US 1999-436886 B2 19991109

AB A zero-order sustained-release delivery system for delivery of carbamazepine or a deriv. thereof is disclosed. A polymeric matrix formulation of carbamazepine comprises hydrophilic polymer or hydrophilic/hydrophilic polymer mixt. which permits carbamazepine or carbamazepine deriv. to be released from the polymer matrix in zero-order release kinetics. Carbamazepine (200/mg) and hydroxypropyl methylcellulose (HPMC) in different amts. were thoroughly mixed using a pestle and a mortar to produce different HPMC/carbamazepine ratios. Cylindrical tablets were prepd. by direct compression of drug-polymer blends contg. 200 mg carbamazepine. When NaCl, PEG 4,000 or PEG 20,000 were incorporated into the dry matrix, they were sieved through a 60 mesh sieve and thoroughly mixed with the drug and polymer using a pestle and mortar. Hydroxypropyl methylcellulose was added in an amt. from 0-99% per tablet. Dissoln rate of the tablets were measured.

IT **186694-11-1**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(zero-order sustained release delivery system for carbamazepine derivs.)
RN 186694-11-1 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

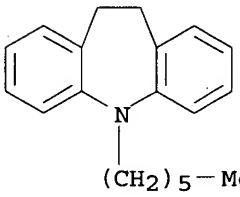
L7 ANSWER 47 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:674728 CAPLUS

10/ 076,573

DOCUMENT NUMBER: 136:38001
TITLE: Synthesis, optical and electrochemical properties of luminescent copolymers containing N-hexyl-3,8-iminodibenzyl chromophores
AUTHOR(S): Chen, Y.; Wu, T.-Y.
CORPORATE SOURCE: Department of Chemical Engineering, National Cheng Kung University, Tainan, 701, Taiwan
SOURCE: Polymer (2001), 42(25), 09895-09901
CODEN: POLMAG; ISSN: 0032-3861
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Novel copolymers carrying N-hexyl-3,8-iminodibenzyl chromophores were synthesized by polycondensation of N-hexyl-3,8-diformyliminodibenzyl with 1,4-xylylene-bis(diethylphosphonate) via the Horner (P1) reaction or with 1,4-phenylenediacetonitrile via the Knoevenagel reaction (P2). The reduced viscosities of P1 and P2 are 1.17 and 0.43 dL/g, resp. The P2 with electron-withdrawing CN groups can be dissolved in common org. solvents such as chloroform, THF, and toluene. Absorption, fluorescence, and cyclic voltammetric methods were used to investigate their optical and electrochem. properties. The photoluminescence wavelength maxima of P1 and P2 are 494 (blue-green) and 542 nm (yellow-green), resp. The oxidn. potential of model N-hexyliminodibenzyl (1.33 V) is much smaller than that of conventional 9-hexylcarbazole (1.73 V), indicating iminodibenzyl is an effective chromophore in raising HOMO level. Comparing with P1 (HOMO: 4.96 eV, LUMO: 2.41 eV), incorporation of CN groups in P2 readily lowers the energy levels of HOMO (5.15 eV) and LUMO (2.84 eV). The energy barrier between an aluminum cathode (.PHI.=4.3 eV) and P2 is narrowed significantly so that improved charge injection can be attained.

IT **380538-31-8P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; in synthesis of monomers for prepn. of luminescent copolymers contg. N-hexyl-3,8-iminodibenzyl chromophores)
RN 380538-31-8 CAPLUS
CN 5H-Dibenz[b,f]azepine, 5-hexyl-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 48 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:631910 CAPLUS
DOCUMENT NUMBER: 135:195510
TITLE: Preparation of carbamazepine
INVENTOR(S): Citterio, Attilio; Breviglieri, Gabriele; Bruno, Giacomo
PATENT ASSIGNEE(S): Farchemia S.r.l., Italy
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

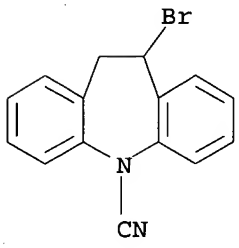
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1127877	A2	20010829	EP 2001-103475	20010214
EP 1127877	A3	20021127		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6384217	B1	20020507	US 2001-788048	20010217

PRIORITY APPLN. INFO.: IT 2000-MI345 A 20000225
OTHER SOURCE(S): CASREACT 135:195510; MARPAT 135:195510

AB The title process comprises a method which does not employ 9,10-unsatd. precursors. Thus, 5-cyano-10,11-dihydro-5H-dibenz[b,f]azepine was brominated and the product hydroxylated to give 5-cyano-10 hydroxy-10,11-dihydro-5H-dibenz[b,f]azepine which was converted to the title compd.

IT **356760-07-1P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of carbamazepine from 5-cyano-10,11-dihydro-5H-dibenz[b,f]azepine)

RN 356760-07-1 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carbonitrile, 10-bromo-10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 49 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:623566 CAPLUS
DOCUMENT NUMBER: 135:329126
TITLE: Structural analysis of chloroquine resistance reversal by imipramine analogs
AUTHOR(S): Bhattacharjee, Apurba K.; Kyle, Dennis E.; Vennerstrom, Jonathan L.
CORPORATE SOURCE: Department of Medicinal Chemistry, Walter Reed Army Institute of Research, Washington, DC, 20307-5100, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(9), 2655-2657
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

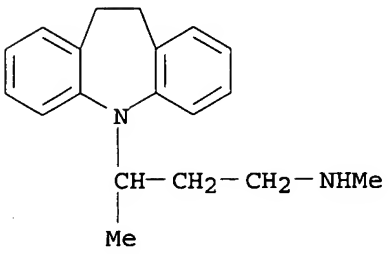
AB For imipramine, desipramine, and 8 analogs of these well-known drugs, an N-5-aminoalkyl substitution was a min. but insufficient structural feature assocd. with chloroquine resistance reversal. Although a 2nd distal aliph. N atom was unnecessary for resistance reversal, the direction of the dipole moment vector was crit.

IT **369391-51-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(structural anal. of chloroquine resistance reversal by imipramine analogs)

RN 369391-51-5 CAPLUS

10/ 076,573

CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,.gamma.-dimethyl- (9CI) (CA INDEX NAME)



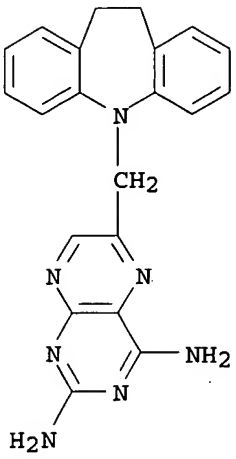
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 50 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:623542 CAPLUS
DOCUMENT NUMBER: 136:212608
TITLE: Isolation of rat dihydrofolate reductase gene and characterization of recombinant enzyme
AUTHOR(S): Wang, Yangzhou; Bruenn, Jeremy A.; Queener, Sherry F.; Cody, Vivian
CORPORATE SOURCE: Structural Biology Department, Hauptman Woodward Medical Research Institute, Buffalo, NY, 14203, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(9), 2517-2523
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB While assays of many antifolate inhibitors for dihydrofolate reductase (DHFR) have been performed using rat DHFR as a target, neither the sequence nor the structure of rat DHFR is known. The isolation of the rat DHFR gene through screening of a rat liver cDNA library is now reported. The rat liver DHFR gene has an open reading frame of 561 bp encoding a protein of 187 amino acids. Comparisons of the rat enzyme with those from other species indicate a high level of conservation at the primary sequence level and more so for the amino acid residues comprising the active site of the enzyme. Expression of the rat DHFR gene in bacteria produced a recombinant protein with high enzymic activity. The recombinant protein also paralleled the human enzyme with respect to the inhibition by most of the antifolates tested with PT652 and PT653 showing a reversal in their patterns. The results indicated that rat DHFR can be used as a model to study antifolate compds. as potential drug candidates. However, variations between rat and human DHFR enzymes, coupled with unique features in the inhibitors, could lead to the obsd. differences in enzyme sensitivity and selectivity.

IT **251658-84-1**
RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibition by; isolation of rat dihydrofolate reductase gene and characterization of recombinant enzyme)

RN 251658-84-1 CAPLUS
CN 2,4-Pteridinediamine, 6-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)methyl]- (9CI) (CA INDEX NAME)

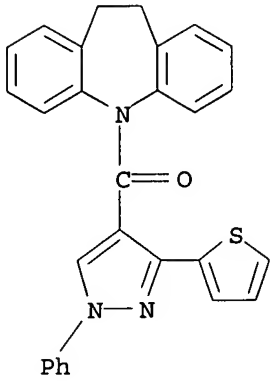


REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 51 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:620087 CAPLUS
DOCUMENT NUMBER: 135:371677
TITLE: 4-Functionally substituted 3-heterylpyrazoles: III. 3-Aryl(heteryl)pyrazole-4-carboxylic acids and their derivatives
AUTHOR(S): Bratenko, M. K.; Chornous, V. A.; Vovk, M. V.
CORPORATE SOURCE: Bukovinskaya State Medical Academy, Chernovtsy, 58000, Ukraine
SOURCE: Russian Journal of Organic Chemistry (Translation of Zhurnal Organicheskoi Khimii) (2001), 37(4), 552-555
CODEN: RJOCEQ; ISSN: 1070-4280
PUBLISHER: MAIK Nauka/Interperiodica Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 3-Aryl(heteryl)-4-formylpyrazoles were cleanly oxidized by potassium permanganate in water-pyridine medium to afford in high yield 3-aryl(heteryl)pyrazole-4-carboxylic acids, that were further converted into the corresponding chlorides and amides.

IT **367512-28-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of functionally substituted (phenyl)pyrazolecarboxamides and their derivs.)
RN 367512-28-5 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[[1-phenyl-3-(2-thienyl)-1H-pyrazol-4-yl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 52 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:618456 CAPLUS
DOCUMENT NUMBER: 135:175432
TITLE: Receptor ligands
INVENTOR(S): Rosenberg, Martin; Widdowson, Katherine Louisa
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont. of U.S. Ser. No. 963,835, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

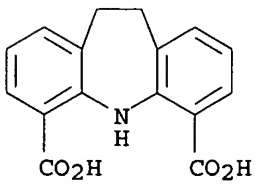
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001016569	A1	20010823	US 2001-804852	20010313

PRIORITY APPLN. INFO.: US 1996-30391P P 19961105
US 1997-963835 B1 19971104

AB Non-antibody multimeric receptor ligands, methods for making and identifying them and their use for agonizing or antagonizing multimeric receptors.

IT **355805-80-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(spacer; multimeric receptor ligands in relation to agonist and antagonist activity and spacer prepn.)

RN 355805-80-0 CAPLUS
CN 5H-Dibenz[b,f]azepine-4,6-dicarboxylic acid, 10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 53 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:594095 CAPLUS
DOCUMENT NUMBER: 135:203279

10/ 076,573

TITLE: Crystal structure of bis[(10,11-dihydro-dibenzo[b,f]azepin-5-yl)-2-methylpropyldimethylammonium] tetrachlorocuprate(II), (C20H27N2)2[CuCl4]

AUTHOR(S): Kamel, L. T.; El Essawi, M.; Wartchow, R.; Berthold, H. J.

CORPORATE SOURCE: Chemistry Department, University of Cairo, Egypt

SOURCE: Zeitschrift fuer Kristallographie - New Crystal Structures (2001), 216(3), 359-360
CODEN: ZKNSFT; ISSN: 1433-7266

PUBLISHER: R. Oldenbourg Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The title compd. is monoclinic, space group I2/a, a 13.206(3), b 9.370(2), c 33.354(8) .ANG., .beta. 97.95(3).degree.; Z = 8; Rgt(F) = 0.076, wRgt(F2) = 0.078, wRall(F2) = 0.127; T = 300 K. At. coordinates are given. The trimipraminium cation dues not form a coordination complex with Cu2+, but a salt-like compd. contg. the [CuCl4]2- anion and 2 sym. equiv. monovalent cations of the org. amine.

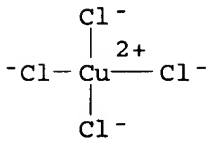
IT **356068-42-3**
RL: PRP (Properties)
(crystal structure of)

RN 356068-42-3 CAPLUS

CN Cuprate(2-), tetrachloro-, (T-4)-, dihydrogen, compd. with 10,11-dihydro-N,N,.beta.-trimethyl-5H-dibenz[b,f]azepine-5-propanamine (1:2) (9CI) (CA INDEX NAME)

CM 1

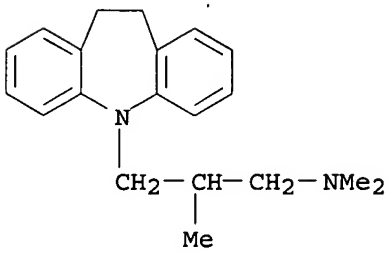
CRN 47984-60-1
CMF Cl4 Cu . 2 H
CCI CCS



2 H^+

CM 2

CRN 739-71-9
CMF C20 H26 N2



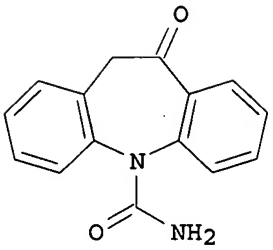
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 54 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:581847 CAPLUS
DOCUMENT NUMBER: 135:166785
TITLE: Preparation of dibenzo[b,f]azepine derivatives
INVENTOR(S): Fuenfschilling, Peter; Kaufmann, Daniel; Lohse, Olivier; Beutler, Ulrich; Zaugg, Werner
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056992	A2	20010809	WO 2001-EP1330	20010207
WO 2001056992	A3	20020124		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2001007922	A	20021022	BR 2001-7922	20010207
EP 1265868	A2	20021218	EP 2001-915203	20010207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
NO 2002003575	A	20020726	NO 2002-3575	20020726
US 2003032800	A1	20030213	US 2002-182980	20020802

PRIORITY APPLN. INFO.: GB 2000-2740 A 20000207
WO 2001-EP1330 W 20010207

OTHER SOURCE(S): CASREACT 135:166785; MARPAT 135:166785
GI



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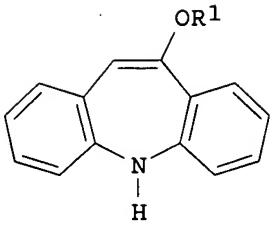

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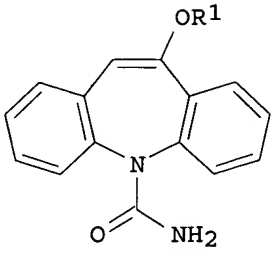


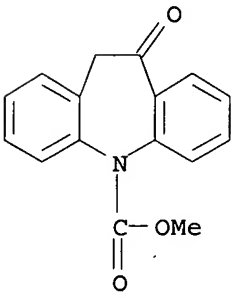
III

AB The invention relates to new processes for the prepn. of the pharmaceutical oxcarbazepine I, as well as novel intermediates prepd. by or used for said processes, and the prepn. of said intermediates. Thus, carbamoylation of II [R1 = alkyl] (prepn. given for R1 = Me) with a metal cyanate in AcOH followed by hydrolysis of III affords the dibenzo[b,f]azepine I.

IT **353497-31-1P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of dibenzo[b,f]azepine derivs.)

RN 353497-31-1 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxylic acid, 10,11-dihydro-10-oxo-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 55 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:572875 CAPLUS

DOCUMENT NUMBER: 136:160351

TITLE: Thermal and biocidal activity of Pd(II) complexes with nitrogen containing ligands

AUTHOR(S): Naik, H. S. Bhojya

CORPORATE SOURCE: Department of Studies and Research in Industrial Chemistry, Kuvempu University, Karnataka, India

SOURCE: Journal of Saudi Chemical Society (2001), 5(1), 37-46
CODEN: JSCSFO; ISSN: 1319-6103

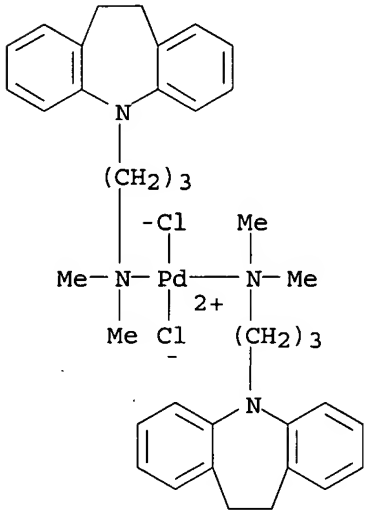
PUBLISHER: Saudi Chemical Society

DOCUMENT TYPE: Journal
LANGUAGE: English

AB New complexes of Pd (II) with doxepin, dothiepin, diphenhydramine and imipramine were synthesized and characterized by elemental analyses, IR, 1H-NMR and electronic spectra, TGA, mol. wt. detn., cond. measurements and magnetic susceptibility data. From spectral data, square planer structures are proposed for all the new complexes. The thermal degrdn. of complexes in N atm. was studied by TGA technique from ambient temp. to 700.degree.. The data were processed to yield various kinetic and thermodn. parameters following Broido method. The energies of activation, Ea for the decompn. of complexes are in the range 24.2-133.3 kJ mol-1. The complexes exhibit enhanced antimicrobial properties compared to free ligands.

IT **394218-64-5P**
RL: BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(prepn., antimicrobial activity, and kinetics and thermodn. of thermal decompn.)

RN 394218-64-5 CAPLUS
CN Palladium, dichlorobis(10,11-dihydro-N,N-dimethyl-5H-dibenz[b,f]azepine-5-propanamine-.kappa.NN5)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 56 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:526067 CAPLUS
DOCUMENT NUMBER: 135:107243
TITLE: Preparation of tricyclic heterocycles for pharmaceutical use as herpes antiviral agents
INVENTOR(S): Booth, Richard John; Josyula, Vara Prasad Venkata Nagendra; Meyer, Annette Lynn; Steinbaugh, Bruce Allan
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001051479	A2	20010719	WO 2000-US32571	20001130
WO 2001051479	A3	20020214		
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1248777	A2	20021016	EP 2000-980882	20001130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000016937	A	20021231	BR 2000-16937	20001130

PRIORITY APPLN. INFO.: US 2000-174883P P 20000107
WO 2000-US32571 W 20001130

OTHER SOURCE(S): MARPAT 135:107243

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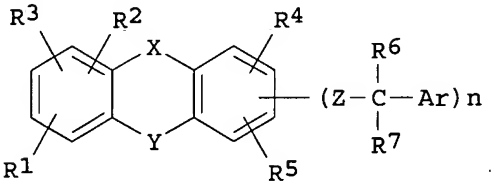

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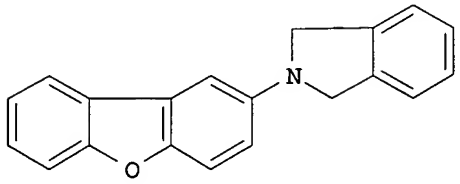


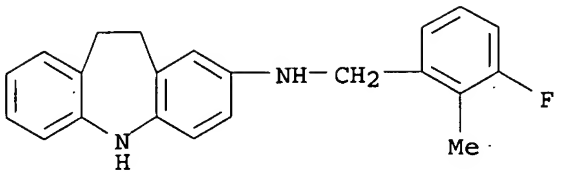
II

AB Tricyclic heterocycles, such as I [Ar = Ph, substituted Ph, benzoheterocyclyl, heterocyclyl; X, Y, Z = O, (CH2)m, S, SO, SO2, NH, NR8; R1-5 = H, OH, NH2, CN, NO2, CF3, OCF3, halogen, dialkylamino, alkoxy, aminoalkyl, aminoaryl, aryl, heterocyclyl; R6, R7 = H, CF3, alkyl, cycloalkyl, halogen, alkoxy, aminoalkyl, aminoaryl, heterocyclyl; R8 = H, Ph, alkyl, cycloalkyl, substituted Ph; m = 1-3, n = 0-2], having useful antiviral activity against viruses of the herpes family were prepd. for pharmaceutical use. Thus, dibenzofuran II was prepd. by cyclocondensation of 2-dibenzofuranamine and 1,2-bis(bromomethyl)benzene in CH2Cl2 using Et3N. The prepd. heterocycles were tested for antiviral efficacy against HSV-1 using a yield redn. assay.

IT **350020-84-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tricyclic heterocycles for pharmaceutical use as herpes antiviral agents)

RN 350020-84-7 CAPLUS

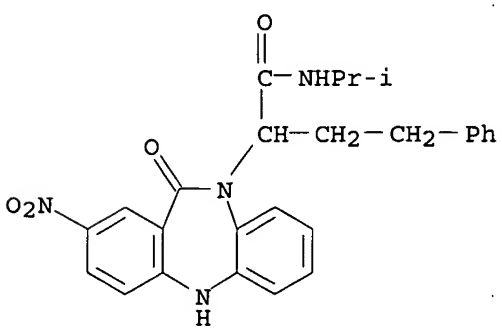
CN 5H-Dibenz[b,f]azepin-2-amine, N-[(3-fluoro-2-methylphenyl)methyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 57 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:498884 CAPLUS
DOCUMENT NUMBER: 135:331409
TITLE: MCC/SNAr methodology. Part 1: Novel access to a range of heterocyclic cores
AUTHOR(S): Tempest, P.; Ma, V.; Kelly, M. G.; Jones, W.; Hulme, C.
CORPORATE SOURCE: Department of Combinatorial Chemistry, AMGEN Inc., Thousand Oaks, CA, 91320, USA
SOURCE: Tetrahedron Letters (2001), 42(30), 4963-4968
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The novel soln.-phase syntheses of arrays of biol. relevant indazolinones, benzazepines and benzoxazepines, utilizing multi-component condensation (MCC)/SNAr methodol. is reported. Reaction of com. available 2-fluoro-5-nitrobenzoic acid with an aldehyde, isonitrile and a primary amine tethered to a Boc-protected internal amino or hydroxyl nucleophile, affords the Ugi product in good yield. Subsequent acid treatment followed by proton scavenging using polymer-supported reagents promotes cyclization of internal amino nucleophiles to a variety of ring sizes. Base treatment alone is sufficient to generate benzoxazepines. Interestingly, this method also introduces a highly efficient two-step route to benzimidazoles.

IT **370069-08-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(soln.-phase prepn. of heterocyclic compds. by multi-component condensation using polymer-supported reagents)
RN 370069-08-2 CAPLUS
CN 10H-Dibenzo[b,e][1,4]diazepine-10-acetamide, 5,11-dihydro-N-(1-methylethyl)-2-nitro-11-oxo-.alpha.-(2-phenylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

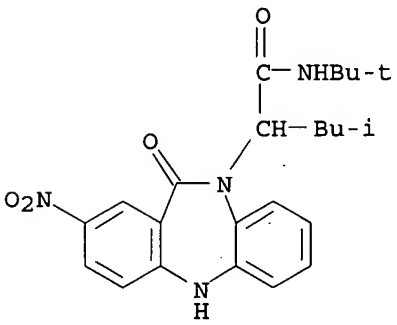
L7 ANSWER 58 OF 200 CAPLUS COPYRIGHT 2003 ACS

10/ 076,573

ACCESSION NUMBER: 2001:498883 CAPLUS
DOCUMENT NUMBER: 135:344419
TITLE: Two-step solution-phase synthesis of novel benzimidazoles utilizing a UDC (Ugi/de-Boc/cyclize) strategy
AUTHOR(S): Tempest, P.; Ma, V.; Thomas, S.; Hua, Z.; Kelly, M. G.; Hulme, C.
CORPORATE SOURCE: Department of Combinatorial Chemistry, AMGEN Inc., Thousand Oaks, CA, 91320, USA
SOURCE: Tetrahedron Letters (2001), 42(30), 4959-4962
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The novel soln.-phase synthesis of an array of biol. relevant benzimidazoles in a simple two-step procedure is revealed. Transformations are carried out in excellent yield by condensation of mono-Boc protected ortho-phenylenediamine and supporting Ugi reagents. Subsequent acid treatment and evapn. affords benzimidazoles in good to excellent yield. The described protocol represents a highly attractive soln.-phase procedure for the rapid generation of benzimidazole libraries.

IT **371158-10-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzimidazoles by Ugi multi-component condensation-cyclization strategy)
RN 371158-10-0 CAPLUS
CN 10H-Dibenzo[b,e][1,4]diazepine-10-acetamide, N-(1,1-dimethylethyl)-5,11-dihydro-.alpha.-(2-methylpropyl)-2-nitro-11-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 59 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:489404 CAPLUS
DOCUMENT NUMBER: 135:76901
TITLE: Preparation of quinazoline and quinoline derivatives as remedies for diseases mediated by autophosphorylation of PDGF receptors
INVENTOR(S): Ueno, Kimihisa; Ogawa, Akira; Ohta, Yoshihisa; Nomoto, Yuji; Takasaki, Kotaro; Kusaka, Hideaki; Yano, Hiroshi; Suzuki, Chiharu; Nakanishi, Satoshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047931 A1		20010705	WO 2000-JP9160	20001222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR

PRIORITY APPLN. INFO.: JP 1999-366313 19991224

OTHER SOURCE(S): MARPAT 135:76901

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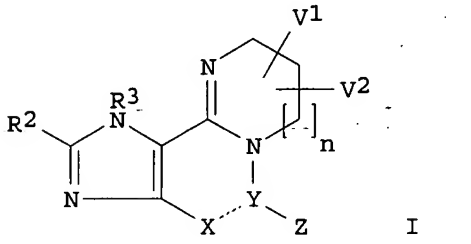

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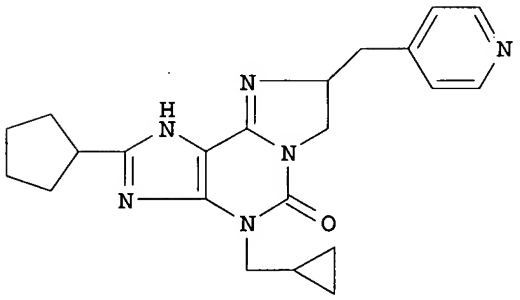


II

AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH,CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclylalkyl] and pharmaceutically acceptable salts are prepd. as remedies for diseases mediated by autophosphorylation of PDGF receptors. Thus, the title claimed compd. II was prepd. and biol. tested.

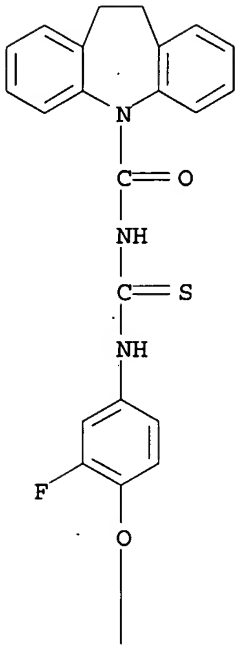
IT **347160-05-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

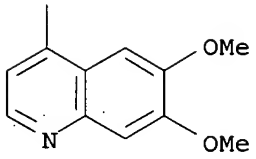
RN 347160-05-8 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, N-[[[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-3-fluorophenyl]amino]thioxomethyl]-10,11-dihydro- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 60 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:489372 CAPLUS
DOCUMENT NUMBER: 135:92649
TITLE: Preparation of quinazoline and quinoline derivatives as remedies for diseases mediated by autophosphorylation of PDGF receptors
INVENTOR(S): Sakai, Teruyuki; Senga, Teruhumi; Furuta, Takayuki; Miwa, Atushi
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 1068 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047890	A1	20010705	WO 2000-JP9157	20001222

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 2001022232	A5	20010709	AU 2001-22232	20001222
EP 1243582	A1	20020925	EP 2000-985844	20001222

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:	JP 1999-377486	A 19991224
	JP 1999-374494	A 19991228
	JP 2000-177790	A 20000614
	WO 2000-JP9157	W 20001222

OTHER SOURCE(S): MARPAT 135:92649

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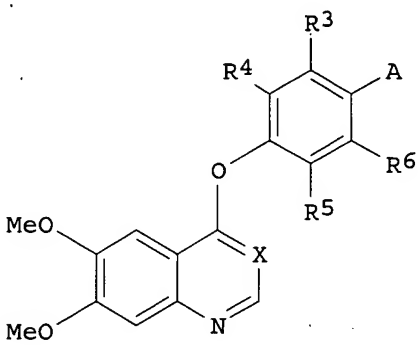

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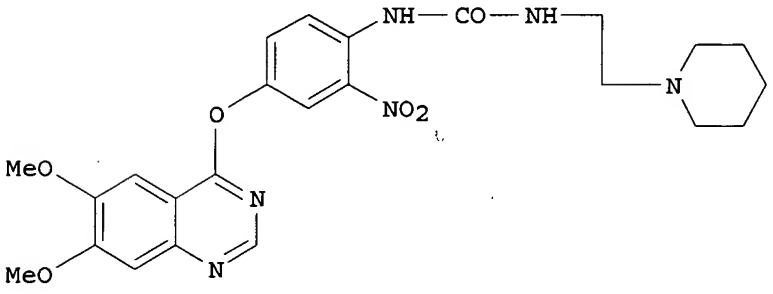


II

AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH,CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclylalkyl] and pharmaceutically acceptable salts are prepd. as remedies for diseases mediated by autophosphorylation of PDGF receptors, particularly useful as intimal thickening inhibitors. Thus, the title claimed compd. II was prepd. and biol. tested.

IT **347160-05-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

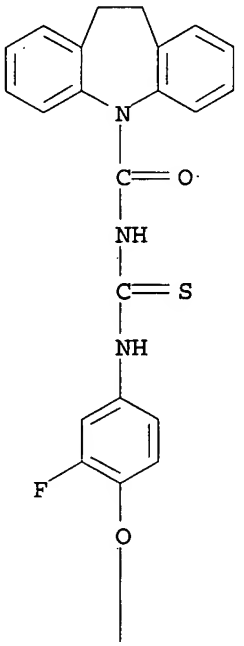
10/ 076,573

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

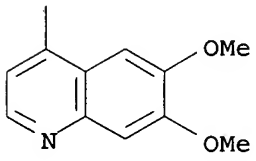
RN 347160-05-8 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, N-[[[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-3-fluorophenyl]amino]thioxomethyl]-10,11-dihydro- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 61 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:489367 CAPLUS

DOCUMENT NUMBER: 135:76874

TITLE: Preparation of heterocyclic compounds as remedies for hepatitis C

INVENTOR(S): Hashimoto, Hiromasa; Mizutani, Kenji; Yoshida, Atsuhito

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

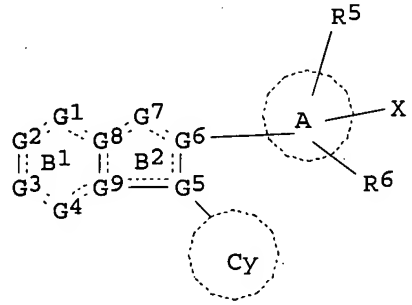
10/ 076,573

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047883	A1	20010705	WO 2000-JP9181	20001222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1162196	A1	20011212	EP 2000-987728	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008525	A	20020102	BR 2000-8525	20001222
NZ 514403	A	20021025	NZ 2000-514403	20001222
NO 2001004134	A	20011022	NO 2001-4134	20010824
US 2003050320	A1	20030313	US 2001-939374	20010824

PRIORITY APPLN. INFO.: JP 1999-369008 A 19991227
WO 2000-JP9181 W 20001222
JP 2000-391904 A 20001225
JP 2001-193786 A 20010626

OTHER SOURCE(S): MARPAT 135:76874
GI



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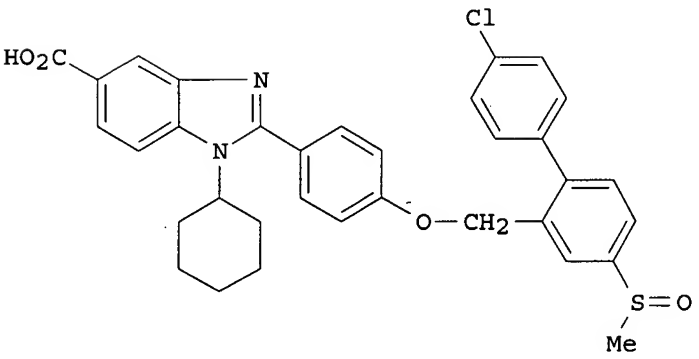


II

AB The title compds. I [the dotted line in rings B1 and B2 indicates a single or double bond; G1 = N, CR1; G2 = N, CR2, G3 = N, CR3; G4 = N, CR4; G5, G6, G8, G9 = C, N; G7 = O, etc.; R1 - R4 = H, nitro, etc.; ring Cy =

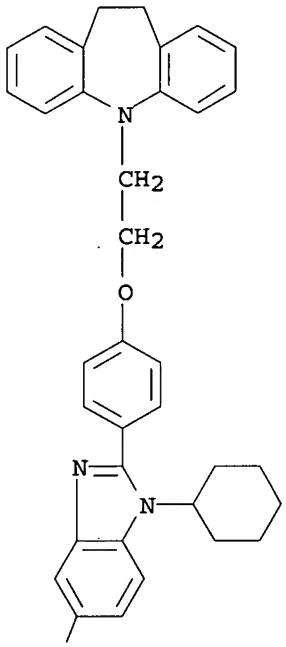
(un)substituted cycloalkyl ring, etc.; ring A = C3-C8 cycloalkyl, etc. R5, R6 = H, halo, etc.; X = H, cyano, etc.] are prepd. The benzimidazole deriv. II in vitro showed IC50 of 0.011 .mu.M against hepatitis C virus polymerase. A formulation is given.

IT **347166-36-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as remedies for hepatitis C)

RN 347166-36-3 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-cyclohexyl-2-[4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 62 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:445017 CAPLUS

DOCUMENT NUMBER: 135:189274

TITLE: Preparation, characterization, and thermodynamic studies of promazine, chlorpromazine, promethazine, imipramine, and ciprofloxacin ion-associates with some metal complex ions

AUTHOR(S): El-Ansary, A. L.; El-Hawary, W. F.; Issa, Y. M.; Ahmed, A. F.

CORPORATE SOURCE: Chemistry Department, Faculty of Science, Cairo University, Giza, Egypt

10/ 076,573

SOURCE: Synthesis and Reactivity in Inorganic and Metal-Organic Chemistry (2001), 31(3), 441-456
CODEN: SRIMCN; ISSN: 0094-5714
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The ion-assoc. complexes of Promazine (Prom.Cl), Chlorpromazine (Chlorprom.Cl), Promethazine (Prometh.Cl), Impiramine (Imip.Cl) and Ciprofloxacin (Cipro.Cl) hydrochlorides with K3Fe(CN)6, (NH4)[Cr(NH3)2(SCN)4] and Na3[Co(NO2)6] were prepd. The pptd. ion-assocs. were subjected to elemental analyses, IR spectral studies, TGA and detn. of the metal content for elucidation of their structures. The solubilities of the solid ion-assoc. complexes were studied and their soly. products were detd. at different temps. at the optimum conditions of pH and ionic strength for their quant. pptn.

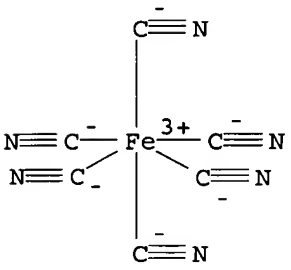
IT **354986-01-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and soly. product and thermodn. of formation)

RN 354986-01-9 CAPLUS

CN Ferrate(3-), hexakis(cyano-.kappa.C)-, (OC-6-11)-, trihydrogen, compd. with 10,11-dihydro-N,N-dimethyl-5H-dibenz[b,f]azepine-5-propanamine (1:3) (9CI) (CA INDEX NAME)

CM 1

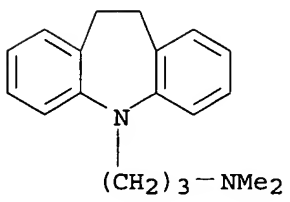
CRN 17126-46-4
CMF C6 Fe N6 . 3 H
CCI CCS



3 H^+

CM 2

CRN 50-49-7
CMF C19 H24 N2



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

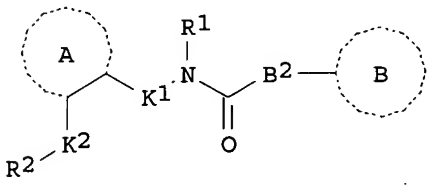
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 63 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:435045 CAPLUS
DOCUMENT NUMBER: 135:46100
TITLE: Preparation of 2-biphenyl 4-piperidinyl ureas having muscarinic receptor antagonist activity
INVENTOR(S): Mammen, Mathai; Oare, David
PATENT ASSIGNEE(S): Advanced Medicine, Inc., USA
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001042213	A1	20010614	WO 2000-US33155	20001207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000015963	A	20020806	BR 2000-15963	20001207
EP 1235803	A1	20020904	EP 2000-982493	20001207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003516391	T2	20030513	JP 2001-543514	20001207
NO 2002002683	A	20020702	NO 2002-2683	20020606

PRIORITY APPLN. INFO.: US 1999-456170 A2 19991207
WO 2000-US33155 W 20001207

OTHER SOURCE(S): MARPAT 135:46100
GI



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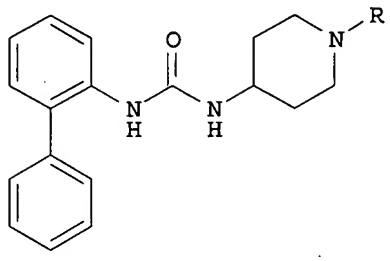


III

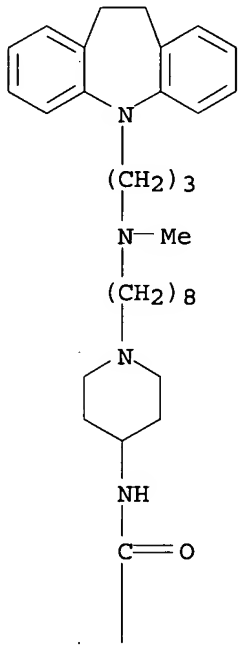
AB The title compds. L1XL2 [I; L1 = II (wherein A = (hetero)aryl; B2 = NRa; Ra = H, alkyl, etc.; R1 = H, alkyl; R2 = heteroaryl, etc.; K1 = a bond, alkylene; K2 = a bond, CO, SOn, etc.; n = 0-2; B = heterocycloamino, heteroarylamino); X = a linker; L2 = an org. group comprising at least one primary, secondary, or tertiary amine] which are muscarinic receptor antagonists and agonists (biol. data given), were prepd. and formulated. E.g., a 2-step prepn. of the intermediate III [R = H] starting with biphenyl-2-isocyanate and 4-amino-N-benzylpiperidine, was given. Mass spec data for 643 compds. III [R = XL2] were presented.

IT **344432-44-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-biphenyl 4-piperidinyl ureas having muscarinic receptor antagonist activity)

RN 344432-44-6 CAPLUS

CN Urea, N-[1,1'-biphenyl]-2-yl-N'-[1-[8-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]methylamino]octyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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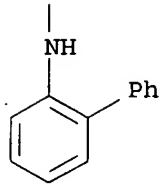


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

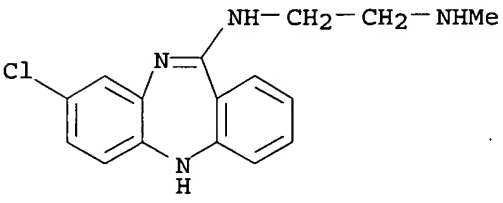
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 64 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:392453 CAPLUS
DOCUMENT NUMBER: 135:174640
TITLE: Isolation and identification of clozapine metabolites in patient urine
AUTHOR(S): Schaber, Gisela; Wiatr, Gerlinde; Wachsmuth, Helmut; Dachtler, Markus; Albert, Klaus; Gaertner, Ines; Breyer-Pfaff, Ursula
CORPORATE SOURCE: Department of Toxicology, University of Tuebingen, Tuebingen, D-72074, Germany
SOURCE: Drug Metabolism and Disposition (2001), 29(6), 923-931
CODEN: DMDSAI; ISSN: 0090-9556
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Biotransformation products of the atypical neuroleptic clozapine were isolated from urine samples of three schizophrenic patients by solid-phase extn., liq.-liq. extn. for the sepn. of nonpolar and polar metabolites, and thin-layer chromatog. followed by final purifn. by high-performance liq. chromatog. Their structures were elucidated by mass spectrometry and 1H NMR spectroscopy and in some cases by enzymic deconjugation. Besides the known metabolites desmethylclozapine, clozapine N-oxide, 8-deschloro-8-hydroxyclozapine, and 8-deschloro-8-hydroxydesmethylclozapine, the unpolar fraction contained 7-hydroxyclozapine and a compd. in which the piperazine ring of clozapine was partially degraded to an ethylenediamine deriv. Novel metabolites identified in the polar fraction were the sulfate and glucuronide conjugates of 7-hydroxyclozapine N-oxide, 8-deschloro-8-hydroxyclozapine-O-glucuronide, and the O-glucuronide of N-hydroxydesmethylclozapine; further conjugates were tentatively identified as 9-hydroxydesmethylclozapine-O-sulfate and 6-hydroxyclozapine-O-sulfate. In addn., the previously described conjugates 7-hydroxydesmethylclozapine-O-sulfate, 7-hydroxyclozapine-O-glucuronide and -O-sulfate, 8-deschloro-8-hydroxydesmethylclozapine-O-glucuronide, and the quaternary ammonium glucuronide of clozapine were detected.

IT **355005-36-6**
RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)
(isolation and identification of clozapine metabolites in patient urine)

RN 355005-36-6 CAPLUS
CN 1,2-Ethanediamine, N-(8-chloro-5H-dibenzo[b,e][1,4]diazepin-11-yl)-N'-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 65 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:392066 CAPLUS

10/ 076,573

DOCUMENT NUMBER: 135:5537
TITLE: Synthesis and use of N-substituted dibenzazaheterocyclic carboxylic acids and derivatives thereof for treatment of pain, hyperalgesia and inflammatory conditions
INVENTOR(S): Dorwald, Florenzio Zaragossa; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Jorgensen, Tine Krogh; Olsen, Uffe Bang; Andersen, Henrik Sune; Treppendahl, Svend; Zdenek, Polivka; Alexandra, Silhankova; Karel, Sindelar
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: U.S., 19 pp., Cont.-in-part of U.S. 5,874,428.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6239148	B1	20010529	US 1998-55574	19980406
US 5595989	A	19970121	US 1995-367648	19950103
ZA 9500031	A	19960704	ZA 1995-31	19950104
US 5688788	A	19971118	US 1995-444140	19950518
US 5693649	A	19971202	US 1995-544502	19951018
US 5712292	A	19980127	US 1995-544905	19951018
US 5721254	A	19980228	US 1995-544500	19951018
US 5795888	A	19980818	US 1995-544682	19951018
US 5668129	A	19970916	US 1996-626745	19960327
US 5874428	A	19990223	US 1996-623289	19960328
ZA 9602732	A	19961024	ZA 1996-2732	19960404
US 6043239	A	20000328	US 1998-12918	19980123

PRIORITY APPLN. INFO.:

DK 1994-19	A	19940104
DK 1994-1290	A	19941109
US 1995-367648	A3	19950103
DK 1995-405	A	19950407
DK 1995-1005	A	19950911
US 1995-544682	A2	19951018
US 1996-623289	A2	19960328

OTHER SOURCE(S): MARPAT 135:5537
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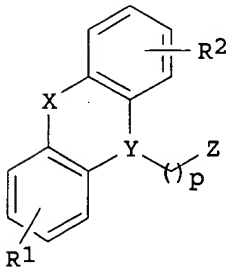

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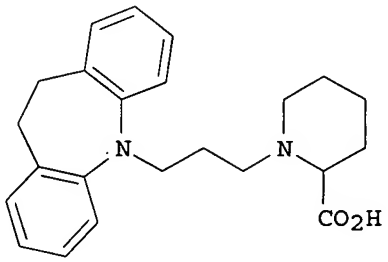


II

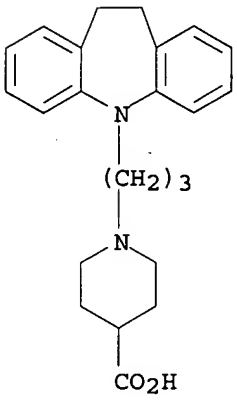
AB Compds. I are synthesized and used as analgesics [wherein; R1,R2 = H, halo, CF3, amino, OH, alkyl or alkoxy; Y = CH or C=CH-; X = (CH2)2, CH2-CO, CO CH2 or CH=CH; p = 1-3; Z = (partially unsatd.)(unsubstituted)piperidin-1-yl]. Twenty-seven synthetic examples were provided. Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was N-acylated

by ClCH2CH2COCl and the reduced product aminated by Et 2-piperidinecarboxylate HCl and base to give, after sapon., title compd. II. Compds. I inhibited a formalin-induced pain response in mice (hot plate test); e.g. II inhibited pain by 36% at a dose of 0.1 mg/kg. An exemplary tablet formulation (claimed 0.5 - 1000 mg a.i./unit dose) for compds. I is provided.

IT **183785-31-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and use of N-substituted dibenzazaheterocyclic carboxylic acids and derivs. thereof for treatment of pain, hyperalgesia and inflammatory conditions)

RN 183785-31-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 66 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:375007 CAPLUS

DOCUMENT NUMBER: 135:137390

TITLE: Hexamethonium-type allosteric modulators of the muscarinic receptors bearing lateral dibenzazepine moieties

AUTHOR(S): Li, Ruanto; Trankle, Christian; Mohr, Klaus; Holzgrabe, Ulrike

CORPORATE SOURCE: Department of Organic Chemistry, School of Pharmaceutical Sciences, Beijing Medical University, Beijing, 100083, Peop. Rep. China

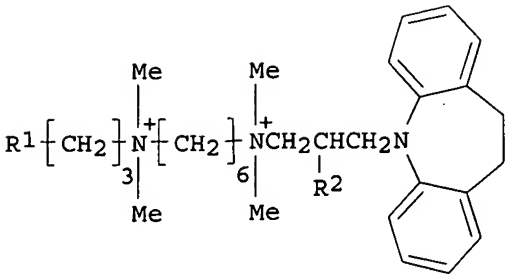
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2001), 334(4), 121-124
CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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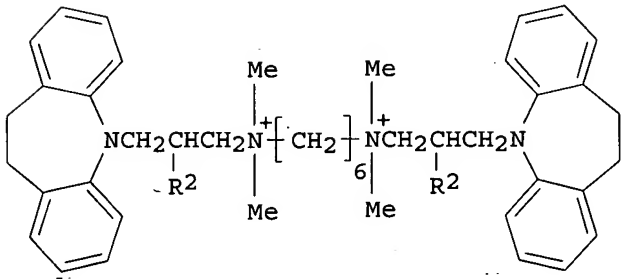


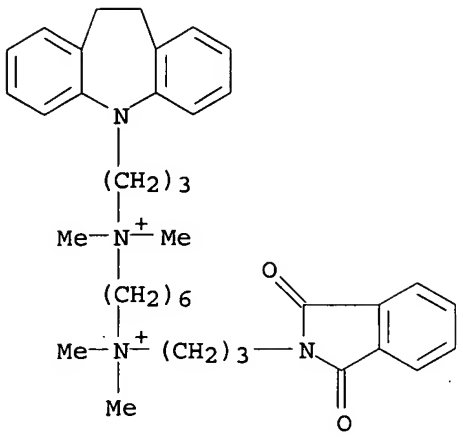
II

AB Alkane-bisammonium compds. carrying lateral phthalimido substituents are known to have a high affinity for the allosteric binding site of the acetylcholine M2 receptor. The purpose of this study was to replace the lateral phthalimido moieties with rigid tricyclic skeletons of a large vol. in order to learn more about the function of the lateral heterocycles. In addn., Me groups were introduced into the lateral connecting chains. Thus, phthalimido and dibenzazepine ammonium compds. I (R1 = phthalimido, R2 = H, Me; R1 = 10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl, R2 = Me) and II (R2 = H, Me) were prepd. Allosteric inhibition of the dissocn. of [3H]N-methylscopolamine from the M2 receptors in porcine cardiac homogenates served to indicate binding of the test compds. to the allosteric site. The phthalimido groups could be replaced with dibenzazepine moieties without any loss in potency. Interestingly, the addnl. Me group in the lateral spacer seems to have a significant influence on the allosteric behavior.

IT **351860-15-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and M2 receptor allosteric modulating activity of alkane-bisammonium phthalimido and benzazepine compds.)

RN 351860-15-6 CAPLUS

CN 1,6-Hexanediaminium, N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-N'-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-N,N,N',N'-tetramethyl-, dibromide (9CI) (CA INDEX NAME)



●2 Br⁻

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 67 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:368136 CAPLUS
DOCUMENT NUMBER: 135:131732
TITLE: Synthesis of Novel .gamma.-Aminobutyric Acid (GABA) Uptake Inhibitors. 5.Preparation and Structure-Activity Studies of Tricyclic Analogues of Known GABA Uptake Inhibitors
AUTHOR(S): Andersen, Knud Erik; Sorensen, Jan L.; Lau, Jesper; Lundt, Behrend F.; Petersen, Hans; Huusfeldt, Per O.; Suzdak, Peter D.; Swedberg, Michael D. B.
CORPORATE SOURCE: Health Care Discovery, Novo Nordisk A/S, Malov, DK 2760, Den.
SOURCE: Journal of Medicinal Chemistry (2001), 44(13), 2152-2163
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB On the basis of the SAR of a series of known .gamma.-aminobutyric acid (GABA) uptake inhibitors, including SKF 89976, new tricyclic analogs have been prepd. These novel compds. are derivs. of nipecotic acid, guvacine, and homo-.beta.-proline, substituted at the nitrogen of these amino acids by various lipophilic moieties such as (10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)alkoxyalkyl or (10,11-dihydro-5H-dibenzo[a,d]cycloheptен-5-ylidene)alkoxyalkyl. The in vitro values for inhibition of [3H]-GABA uptake in rat synaptosomes was detd. for each compd. in this new series, and it was found that several of the novel compds. showed a high potency comparable with that of several ref. compds. Several of the novel compds. were also evaluated for their ability in vivo to inhibit clonic seizures induced by a 15 mg/kg (i.p.) dose of Me 6,7-dimethoxy-4-ethyl-.beta.-carboline-3-carboxylate (DMCM). One compd., (R)-1-(2-(2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy)ethyl)-3-piperidinecarboxylic acid, was selected for further biol. investigations and showed a protective index comparable to or slightly better than that of the recently launched anticonvulsant tiagabine ((R)-1-(4,4-bis(3-methyl-2-thienyl)-3-butenyl)-3-piperidinecarboxylic acid).

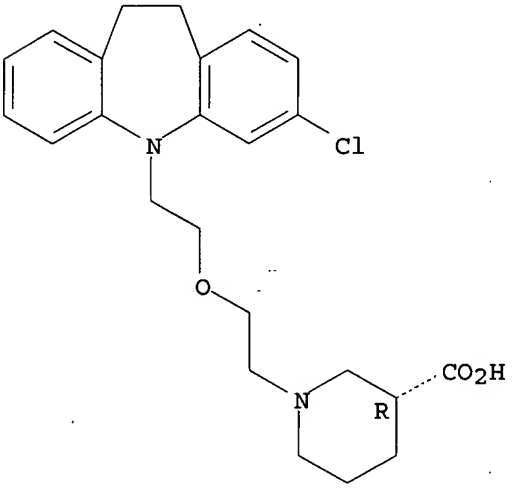
IT **192764-62-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and structure-activity studies on tricyclic analogs of known GABA uptake inhibitors)

RN 192764-62-8 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[2-(3-chloro-10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]ethyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 68 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:343843 CAPLUS

DOCUMENT NUMBER: 135:116552

TITLE: Pharmacokinetic interaction between imipramine and carbamazepine in patients with major depression

AUTHOR(S): Szymura-Oleksiak, Joanna; Wyska, Elzbieta; Wasieczko, Andrzej

CORPORATE SOURCE: Department of Pharmacokinetics and Physical Pharmacy, Jagiellonian University, Krakow, 30-688, Pol.

SOURCE: Psychopharmacology (Berlin, Germany) (2001), 154(1), 38-42
CODEN: PSCHDL; ISSN: 0033-3158

PUBLISHER: Springer-Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Despite the fact that carbamazepine (CBZ) is frequently added to the existing tricyclic antidepressant (TCA) therapy, to date little is known about serum levels of pharmacol. active hydroxy metabolites of TCAs, as well as about possible changes in free (non-protein-bound) concns. of these drugs and their metabolites during such combination treatment of depression. The aim of this study was to evaluate the effect of CBZ on steady-state total and free serum concns. of imipramine (IMI) and its metabolites, desipramine (DMI), 2-hydroxyimipramine and 2-hydroxydesipramine, in depressed patients. In addn., the free and total

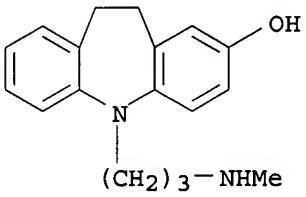
serum concns. of CBZ and 10,11-epoxycarbamazepine were measured. Thirteen patients with DSM-III-R diagnosis of major depression were enrolled in the study. All patients hospitalized at the Department of Psychiatry, Collegium Medicum, Jagiellonian University were treated with IMI at a dose of 2 mg/kg per day for 3 wk, after which CBZ at a dose of 400 mg/day was added. Steady-state serum concns. of IMI, CBZ and their metabolites were assayed by HPLC. Free drug concns. were measured by ultrafiltration. After 2 wk of combination therapy a significant decrease in mean steady-state total serum concns. of IMI (from 168.84.+-.102.18 to 98.12.+-.43.79 ng/mL) and DMI (from 293.89.+-.171.93 to 221.85.+-.153.21 ng/mL) was obsd. Simultaneously, steady-state serum concns. of total hydroxy metabolites and free IMI and its metabolites, measured just before and 2 wk after CBZ were started, did not differ significantly. In consequence, a significant increase in free fraction of the parent drug was obsd. (3.36.+-.3.24% vs. 5.75.+-.3.60%). Also free fraction of DMI tended to be higher after CBZ addn. CBZ affects not only the metab. of IMI and its metabolites, but also their protein binding. Therefore, despite considerable redns. in total serum levels of IMI and DMI, but when the unchanged free fraction concn. of these compds. is maintained, a dosage elevation of IMI does not seem to be necessary after CBZ addn. to TCA therapy.

IT **350687-80-8**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacokinetic interaction between imipramine and carbamazepine in patients with major depression)

RN 350687-80-8 CAPLUS
CN 5H-Dibenz[b,f]azepin-2-ol, 10,11-dihydro-5-[3-(methylamino)propyl]-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

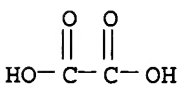
CM 1

CRN 1977-15-7
CMF C18 H22 N2 O



CM 2

CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

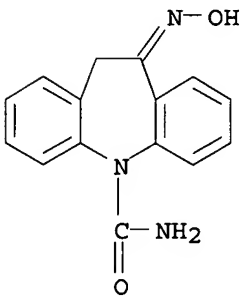
L7 ANSWER 69 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:293377 CAPLUS

10/ 076,573

DOCUMENT NUMBER: 135:132297
TITLE: Inhibition of glutamate release by BIA 2-093 and BIA 2-024, two novel derivatives of carbamazepine, due to blockade of sodium but not calcium channels
AUTHOR(S): Ambrosio, A. F.; Silva, A. P.; Malva, J. O.; Soares-da-Silva, P.; Carvalho, A. P.; Carvalho, C. M.
CORPORATE SOURCE: Center for Neuroscience of Coimbra, Department of Cell Biology, University of Coimbra, Coimbra, 3004-517, Port.
SOURCE: Biochemical Pharmacology (2001), 61(10), 1271-1275
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We investigated the mechanism(s) of action of two new putative antiepileptic drugs (AEDs), (S)-(-)-10-acetoxy-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-093) and 10,11-dihydro-10-hydroxyimino-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-024), by comparing their effects on the release of endogenous glutamate in hippocampal synaptosomes, with those of carbamazepine (CBZ) and oxcarbazepine (OXC). The AEDs inhibited the release of glutamate evoked by 4-aminopyridine (4-AP) or veratridine in a concn.-dependent manner, being CBZ more potent than the other AEDs. Using conditions of stimulation (30 mM KCl), where Na+ channels are inactivated, the AEDs did not inhibit either the Ca2+-dependent or -independent release of glutamate. The results indicate that BIA 2-093 and BIA 2-024 have sodium channel-blocking properties, but CBZ and OXC are more potent than the new AEDs. Moreover, the present data also indicate that Ca2+ channels coupled to the exocytotic release of glutamate and the activity of the glutamate transporter were not affected by the AEDs.

IT **199997-15-4**, BIA 2-024
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of glutamate release by carbamazepine derivs. BIA 2-093 and BIA 2-024 due to blockade of sodium but not calcium channels)
RN 199997-15-4 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 70 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:293197 CAPLUS
DOCUMENT NUMBER: 136:226260
TITLE: Metabolism of two new antiepileptic drugs and their principal metabolites S(+)- and R(-)-10,11-dihydro-10-hydroxy carbamazepine

10/ 076,573

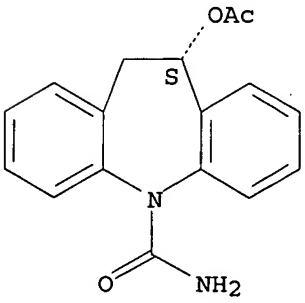
AUTHOR(S): Hainzl, D.; Parada, A.; Soares-da-Silva, P.
CORPORATE SOURCE: Department of Research and Development, Laboratorios Bial, A Av. da Siderurgia Nacional, Mamede do Coronado, 4745-457, Port.
SOURCE: Epilepsy Research (2001), 44(2-3), 197-206
CODEN: EPIRE8; ISSN: 0920-1211
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB BIA 2-093 and BIA 2-059 are two stereoisomers under development as new antiepileptic drugs. They act as prodrugs for the corresponding hydroxy derivs. (S(+)- or R(-)-10,11-dihydro-10-hydroxy carbamazepine, resp.) which are known to be the active metabolites of the antiepileptic drug oxcarbazepine (OXC). The purpose of this study was to define the metabolic pathway esp. in terms of stereoselectivity, and to est. the possibility of racemization in humans. For in vivo studies, the rat, mouse and rabbit were chosen as models in order to cover a broad spectrum of metabolic activity. In addn., incubations with liver microsomes from these three species plus dog and monkey were compared to results obtained with human liver microsomes. It was found that both drugs were almost instantly hydrolyzed to the corresponding 10-hydroxy compds. in mice, rats and rabbits. Mice and rabbits were not able to oxidize the 10-hydroxy compds. to OXC in significant amts. In the rat, BIA 2-093 also gave origin to OXC, whereas BIA 2-059 resulted in the formation of OXC and the trans-diol metabolite in equal amts. It could be shown that the rat is able to reduce the formed OXC in liver to S(+)-10-hydroxy metabolite, resulting in a loss of enantiomeric purity after treatment with BIA 2-059 rather than in the case of BIA 2-093. Human liver microsomes hydrolyzed BIA 2-093 and BIA 2-059 to their corresponding 10-hydroxy compds. and to OXC in a very small extent with BIA 2-093 only. Therefore, BIA 2-093 and BIA 2-059 seem to be preferable drugs over OXC since they most likely exhibit a 'cleaner' metab. From a therapeutic point of view BIA 2-059 would be less appropriate than BIA 2-093 for the purpose of treating epileptic patients due to its propensity to undergo inactivation to the trans-diol.

IT **236395-14-5**, BIA 2-093
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(BIA 2-093; antiepileptic prodrugs BIA 2-093 and BIA 2-059 metab. in liver)

RN 236395-14-5 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro-, (10S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 71 OF 200 CAPLUS

10/ 076,573

ACCESSION NUMBER: 2001:247437 CAPLUS
DOCUMENT NUMBER: 134:273348
TITLE: Organic electroluminescent device
INVENTOR(S): Tagami, Sanae; Ikeda, Hidetsugu; Hosokawa, Chishio; Arakane, Takashi
PATENT ASSIGNEE(S): Idemitsu Kosan Co., Ltd., Japan
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

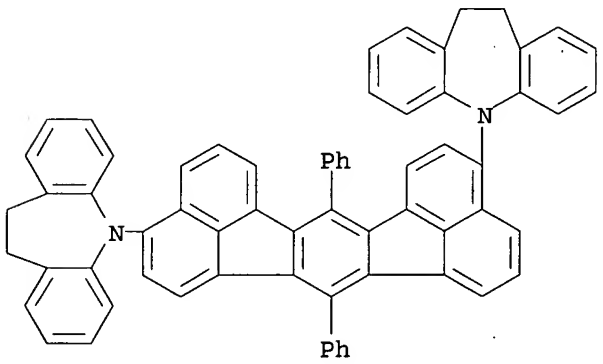
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023497	A1	20010405	WO 2000-JP6658	20000927
W: CN, IN, JP, KR				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1138745	A1	20011004	EP 2000-962882	20000927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2003054200	A1	20030320	US 2002-244164	20020916

PRIORITY APPLN. INFO.: JP 1999-279462 A 19990930
WO 2000-JP6658 W 20000927
US 2000-675201 A3 20000929

AB The invention refers to an org. electroluminescent device contg. a compd. with a fluoranthan skeleton and at least one substituted amine or alkenyl.

IT **331965-35-6**
RL: DEV (Device component use); USES (Uses)
(org. electroluminescent device)

RN 331965-35-6 CAPLUS
CN 5H-Dibenz[b,f]azepine, 5,5'-(7,14-diphenylacenaphtho[1,2-k]fluoranthene-3,10-diyl)bis[10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

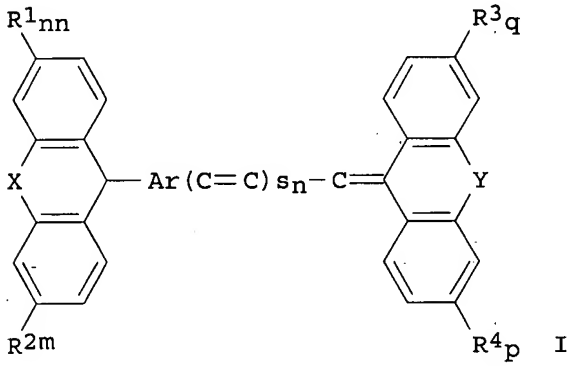
L7 ANSWER 72 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:237908 CAPLUS
DOCUMENT NUMBER: 134:252275
TITLE: Preparation of triarylamine structure-containing trisubstituted ethylenes as charge-transporting agents
INVENTOR(S): Sato, Tadahisa; Motogi, Masuji
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF

10/ 076,573

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001089680	A2	20010403	JP 1999-268837	19990922

PRIORITY APPLN. INFO.: JP 1999-268837 19990922
OTHER SOURCE(S): MARPAT 134:252275
GI

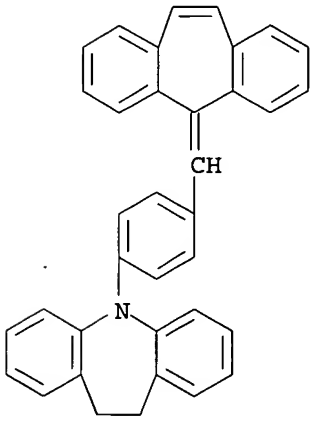


I

AB Title compds. I (X = single bond, C2H4; Y = single bond, C2H4, CH:CH; Ar = arylene; R1-R4 = H, halo, alkyl, aryl, alkoxy, aryloxy, substituted amino; nn, m, p, q = 1-4; n = 0, 1) are prepd. as charge-transporting agents for electrophotog. photoreceptors or electroluminescent devices (no data). 5-[(4-Iodophenyl)methylene]-5H-dibenzo[a,d]cycloheptene (prepn. given) was treated with 10,11-dihydro-5H-dibenz[b,f]azepine in the presence of Cu and K2CO3 in o-C6H4Cl2 under reflux for 50 h to give 36.8% I (X = C2H4, Y = CH:CH, Ar = p-C6H4, R1-R4 = H, n = 0).

IT **331663-98-0P**
RL: SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(prepn. of triarylamine structure-contg. triarylethylenes as charge-transporting agents)

RN 331663-98-0 CAPLUS
CN 5H-Dibenz[b,f]azepine, 5-[4-(5H-dibenzo[a,d]cyclohepten-5-ylidenemethyl)phenyl]-10,11-dihydro- (9CI) (CA INDEX NAME)

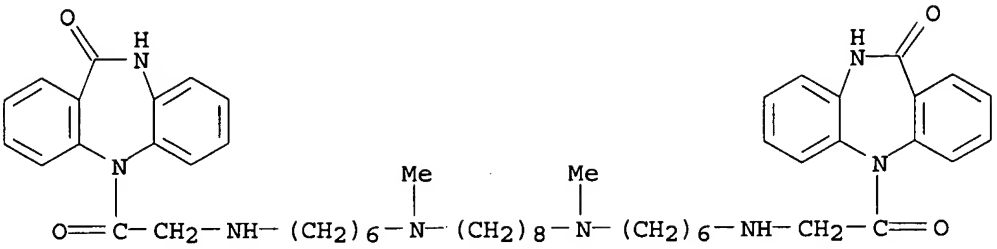


L7 ANSWER 73 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:216424 CAPLUS
DOCUMENT NUMBER: 135:40884
TITLE: Analysis of the muscarinic receptor subtype mediating inhibition of the neurogenic contractions in rabbit isolated vas deferens by a series of polymethylene tetra-amines
AUTHOR(S): Budriesi, R.; Cacciaguerra, S.; Di Toro, R.; Bolognesi, M. L.; Chiarini, A.; Minarini, A.; Rosini, M.; Spampinato, S.; Tumiatti, V.; Melchiorre, C.
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Bologna, Bologna, 40126, Italy
SOURCE: British Journal of Pharmacology (2001), 132(5), 1009-1016
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The pharmacol. characteristics of the presynaptic muscarinic receptor subtype, which mediates inhibition of the neurogenic contractions in the prostatic portion of rabbit vas deferens, have been investigated by using a series of polymethylene tetra-amines, which were selected for their ability to differentiate among muscarinic receptor subtypes. It was found that all tetra-amines antagonized McN-A-343-induced inhibition in elec. stimulated rabbit vas deferens in a competitive manner and with affinity values (pA2) ranging between 6.27.+-.0.09 (spirotramine) and 8.51.+-.0.02 (AM170). Competition radioligand binding studies, using native muscarinic receptors from rat tissues (M1, cortex; M2, heart; M3, submaxillary gland) or from NG 108-15 cells (M4) and human cloned muscarinic M1-M4 receptors expressed in CHO-K1 cells, were undertaken with the same tetra-amines employed in functional assays. All antagonists indicated a one-site fit. The affinity ests. (pKi) of tetra-amines calcd. in binding assays using native receptors were similar to those obtained using cloned receptors. Among these compds. some displayed selectivity between muscarinic receptor subtypes, indicating that they may be valuable tools in receptor characterization. Spirotramine was selective for M1 receptors vs. all other subtypes (pKi native: M1, 7.32.+-.0.10; M2, 6.50.+-.0.11; M3, 6.02.+-.0.13; M4, 6.28.+-.0.16; pKi cloned: M1, 7.69.+-.0.08; M2, 6.22.+-.0.14; M3, 6.11.+-.0.16; 6.35.+-.0.11) whereas CC8 is highly selective for M2 receptors vs. the other subtypes (pKi native: M1, 7.50.+-.0.04; M2, 9.01.+-.0.12; M3, 6.70.+-.0.08; M4, 7.56.+-.0.04; pKi cloned: M1, 7.90.+-.0.20; M2, 9.04.+-.0.08; M3, 6.40.+-.0.07; M4, 7.40.+-.0.04). Furthermore, particularly relevant for this investigation were tetra-amines dipitramine and AM172 for their ability to significantly differentiate M1 and M4 receptors. Th11e apparent affinity values (pA2) obtained for tetra-amines in functional studies using the prostatic portion of rabbit vas deferens correlated most closely with the values (pKi) obtained at either native or human recombinant muscarinic M4 receptors. This supports the view that the muscarinic receptor mediating inhibition of neurogenic contractions of rabbit vas deferens may not belong to the M1 type but rather appears to be of the M4 subtype.

IT **214751-07-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(anal. of muscarinic receptor subtype mediating inhibition of neurogenic contractions in rabbit isolated vas deferens by a series of polymethylene tetra-amines)

RN 214751-07-2 CAPLUS
CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,5'-(10,19-dimethyl-1,28-dioxo-3,10,19,26-tetraazaoctacosane-1,28-diyl)bis[5,10-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 74 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:167774 CAPLUS
DOCUMENT NUMBER: 134:207730
TITLE: Preparation of N-aminoacyldibenzazepines and analogs as defibrillating agents
INVENTOR(S): Erez, Mordechai; Levy, Ofra; Keinan, Ehud
PATENT ASSIGNEE(S): Technion Research and Development Foundation Ltd., Israel
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001015656	A2	20010308	WO 2000-IL510	20000827
WO 2001015656	A3	20010830		

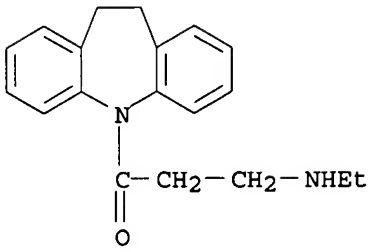
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IL 1999-131685 A 19990901
OTHER SOURCE(S): MARPAT 134:207730

AB RR1 [I; R = (un)substituted 10,11-dihydro-5H-dibenz[b,f]azepin-5-yl; R1 = (un)satd. alkyl, amino-alc. (sic), diamino (sic), cycloalkyl, CO(CH2)nNR'R'', (CH2)nCH(OH)CH2NR'R''; R',R'' = H, halogen (sic), OH, alkyl, etc.] were prepd. Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was N-acylated by ClCH2CH2COCl to give I [R = 10,11-dihydro-5H-dibenz[b,f]azepin-5-yl, R1 = COCH2CH2R2](II; R2 = Cl) which was converted to, e.g., II.HCl (R2 = Me). Data for biol. activity of I were given.

IT **328405-82-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-aminoacyldibenzazepines and analogs as defibrillating agents)

RN 328405-82-9 CAPLUS
CN 5H-Dibenz[b,f]azepine, 5-[3-(ethylamino)-1-oxopropyl]-10,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 75 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:132748 CAPLUS
DOCUMENT NUMBER: 134:178816
TITLE: Preparation of amino acid derivatives as pharmaceuticals for treatment of neurological and neuropsychiatric disorders
INVENTOR(S): Ognyanov, Vassil Iliya; Borden, Laurence A.; Bell, Stanley Charles; Zhang, Jing
PATENT ASSIGNEE(S): Allelix Neuroscience Inc., USA
SOURCE: U.S., 52 pp., Cont.-in-part of U. S. Ser. No.656,063, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6191165	B1	20010220	US 1997-866007	19970530
US 2001012857	A1	20010809	US 2001-757011	20010109

PRIORITY APPLN. INFO.:

US 1996-41503P	P	19960531
US 1996-41504P	P	19960531
US 1996-655912	B2	19960531
US 1996-656063	B2	19960531
US 1997-44387P	P	19970227
US 1997-70900P	P	19970227
US 1997-808754	B2	19970227
US 1997-808755	A2	19970227
US 1997-807682	A2	19970228
US 1997-866007	A3	19970530

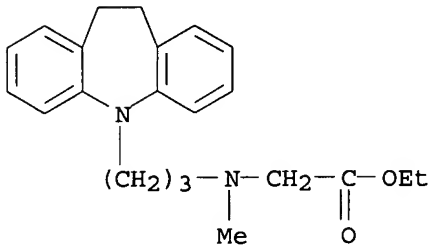
OTHER SOURCE(S): MARPAT 134:178816

AB Amino acid derivs. R2RxRyXR1NR3(R3*)nCR4R4*R5 [X = N, C (R2 not present when X = N); R2 = H, alkyl, alkoxy, cyano, alkanoyl, etc.; Rx, Ry = aryl, heteroaryl, adamantyl, or nonarom. ring linked to X via a single bond, alkylene, etc.; R1 = alkylene, iminooxyethylene, etc.; R3 = H, alkyl, (un)substituted Ph or phenylalkyl, etc.; R3* = alkyl, O; n = 0, 1; R4, R4* = H, alkyl, hydroxyalkyl; R5 = (un)substituted carbamoyl, carboxy, aminosulfonyl, phosphoryl, etc.] were prepd. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders. Thus, N-(4,4-diphenyl-3-butenyl)glycine Et ester was by alkylation of glycine Et ester hydrochloride with 4-bromo-1,1-diphenyl-1-butene. Binding assays to measure interaction of compds. with the glycine site on the NMDA receptor are illustrated.

IT **200005-20-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

RN 200005-20-5 CAPLUS
CN Glycine, N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-N-methyl-, ethyl ester (9CI) (CA INDEX NAME)



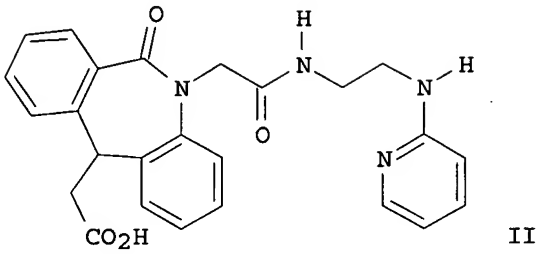
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 76 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:115130 CAPLUS
DOCUMENT NUMBER: 134:178474
TITLE: Preparation of oxobenzazepinealkanoates and analogs as integrin receptor antagonists
INVENTOR(S): Kling, Andreas; Geneste, Herve; Lange, Udo; Lauterbach, Arnulf; Graef, Claudia Isabella; Subkowski, Thomas; Holzenkamp, Uta; Mack, Helmut; Sadowski, Jens; Hornberger, Wilfried; Laux, Volker
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001010847	A2	20010215	WO 2000-EP7440	20000801
WO 2001010847	A3	20011101		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19936780	A1	20010215	DE 1999-19936780	19990809
EP 1202988	A2	20020508	EP 2000-958347	20000801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000013265	A	20020514	BR 2000-13265	20000801
JP 2003506441	T2	20030218	JP 2001-515313	20000801
BG 106395	A	20021229	BG 2002-106395	20020206
NO 2002000644	A	20020318	NO 2002-644	20020208

PRIORITY APPLN. INFO.: DE 1999-19936780 A 19990809
WO 2000-EP7440 W 20000801

OTHER SOURCE(S): MARPAT 134:178474
GI



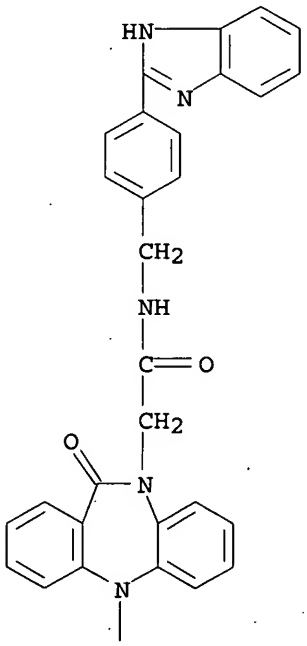
II

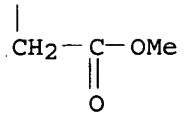
AB RZZ1R1 [I; R = group contg, .gtoreq.1 non-H H-bonding atom; R1 = CO2H, or group hydrolizable to CO2H; Z = e.g., (hetero)annelated 2-oxo-1-benzazepin-1,5-diyl; Z1 = bond, (un)substituted NHCH2, -OCH2, -alkylene, -CH:CH, etc.] were prepd. Thus, Me 11-methoxycarbonylmethyl-6-oxo-6,11-dihydro-5H-dibenz[b,e]azepine-5-acetate (prepn. given) was amidated by N-(2-aminoethyl)pyridine-2-amine to give, after sapon., title compd. II. Data for biol. activity of I were given.

IT **326399-88-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of oxobenzazepinealkanoates and analogs as integrin receptor antagonists)

RN 326399-88-6 CAPLUS

CN 5H-Dibenzo[b,e][1,4]diazepine-5-acetic acid, 10-[2-[[[4-(1H-benzimidazol-2-yl)phenyl]methyl]amino]-2-oxoethyl]-10,11-dihydro-11-oxo-, methyl ester (9CI) (CA INDEX NAME)





L7 ANSWER 77 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:112009 CAPLUS
DOCUMENT NUMBER: 134:285537
TITLE: Behavior of Tricyclic Antidepressants in Aqueous Solution: Self-Aggregation and Association with .beta.-Cyclodextrin
AUTHOR(S): Junquera, E.; Romero, J. C.; Aicart, E.
CORPORATE SOURCE: Departamento de Quimica Fisica I Facultad de Ciencias Quimicas, Universidad Complutense, Madrid, 28040, Spain
SOURCE: Langmuir (2001), 17(6), 1826-1832
CODEN: LANGD5; ISSN: 0743-7463
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cond. measurements have been carried out to study the behavior of the aq. solns. of three tricyclic antidepressant drugs (TCAs), imipramine, desipramine, and amitriptyline hydrochlorides, in the absence and in the presence of .beta.-cyclodextrin (.beta.-CD) at 25.degree.C. The TCAs studied herein have been found to show an aggregation behavior in aq. soln. A model has been proposed to det. the aggregation no. of small aggregates from cond. measurements. Several parameters, such as the aggregation no., Nag, the crit. aggregation concn., cac, and the dissocn. degree of the aggregates, .beta., have been detd. In the presence of .beta.-CD, the TCAs form inclusion complexes with 1:1 stoichiometries and binding consts. in the range of 1500-3000 M-1. The ionic molar conductivities of the TCA+ ion, free in soln., .lambda.TCA0+, assocd. with the .beta.-CD, .lambda.CD/TCA0+, and self-aggregated, .lambda.ag0, have been calcd. as well. The effect of .beta.-CD on the aggregation behavior of the drugs has been evaluated by detg. the apparent crit. aggregation concn., cac* (the cac for the ternary .beta.-CD/TCA/H2O systems), and the dissocn. degree. Complementary measurements of pH, UV-vis, and fluorescence as well as a preliminary simulation of the complexes from manual docking studies were done to support some evidence.

IT **333780-87-3**
RL: FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)
(self-aggregation and assocn. with .beta.-cyclodextrin of tricyclic antidepressants in aq. soln.)

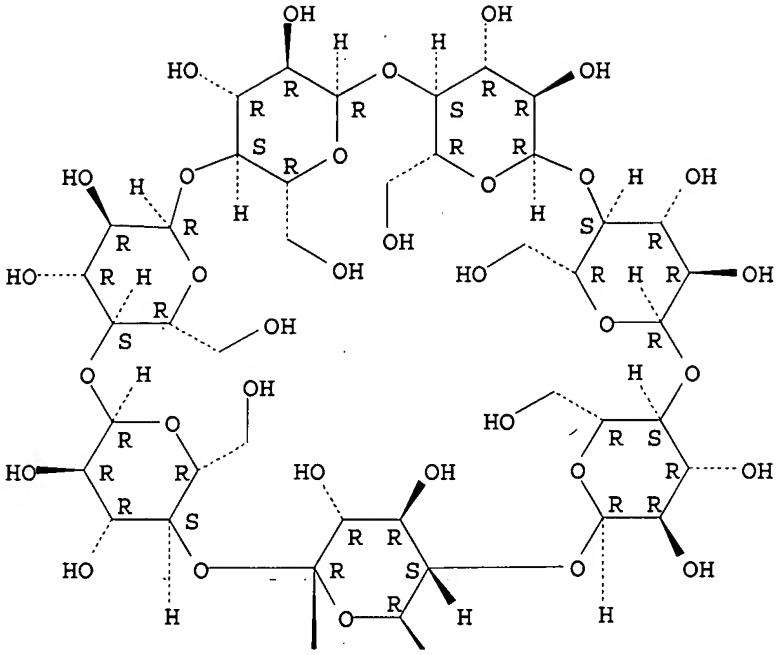
RN 333780-87-3 CAPLUS
CN .beta.-Cyclodextrin, compd. with 10,11-dihydro-N-methyl-5H-dibenz[b,f]azepine-5-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

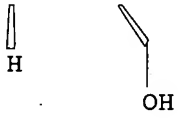
CRN 7585-39-9
CMF C42 H70 O35

Absolute stereochemistry.

PAGE 1-A

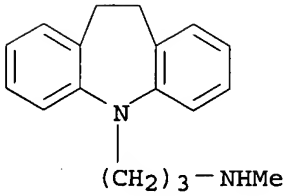


PAGE 2-A



CM 2

CRN 50-47-5
CMF C18 H22 N2



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

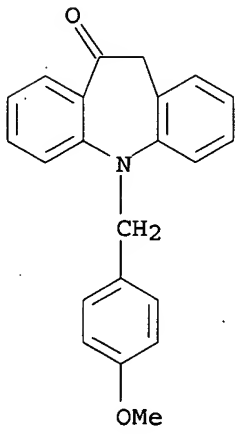
L7 ANSWER 78 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:47292 CAPLUS
DOCUMENT NUMBER: 134:266193
TITLE: New synthesis of oxcarbazepine via remote metalation of protected N-(ortho-tolyl)anthranilamide derivatives
AUTHOR(S): Lohse, O.; Beutler, U.; Funfschilling, P.; Furet, P.; France, J.; Kaufmann, D.; Penn, G.; Zaugg, W.

CORPORATE SOURCE: Novartis Pharma AG, Chemical and Analytical Development, Basel, CH-4002, Switz.
SOURCE: Tetrahedron Letters (2001), 42(3), 385-389
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:266193

AB Benzyl- and allyl-protected N-tol-2-ylanthranilamides were efficiently prepd. by Buchwald-Hartwig C-N cross coupling reactions, followed by protection of the amino group. Under directed remote metalation conditions, protected dibenzoazepinones were obtained in good yields. Deprotection of the amine and conversion to an urea furnished a new and efficient synthesis of the antiepileptic drug Trileptal.

IT **332081-68-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of oxcarbazepine via remote metalation of protected N-tolylanthranilamides)

RN 332081-68-2 CAPLUS
CN 10H-Dibenz[b,f]azepin-10-one, 5,11-dihydro-5-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

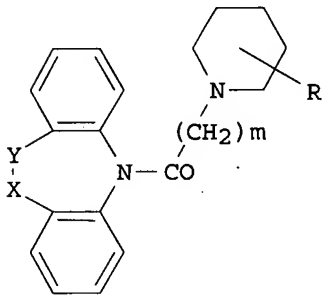


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

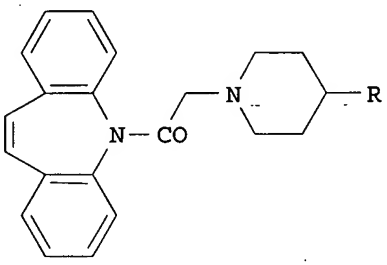
L7 ANSWER 79 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:31490 CAPLUS
DOCUMENT NUMBER: 134:100776
TITLE: Preparation of 5H-dibenz[b,f]azepines for pharmaceutical use as selective M2 muscarinic receptor antagonists
INVENTOR(S): Terni, Patrizia Maria Luisa; Mandelli, Giacomina Roberta; Maiorana, Stefano; Imbimbo, Bruno Pietro
PATENT ASSIGNEE(S): Mediolanum Farmaceutici S.p.A., Italy
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001002386	A1	20010111	WO 2000-EP6020	20000628

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
IT 99MI1452 A1 20010102 IT 1999-MI1452 19990701
PRIORITY APPLN. INFO.: IT 1999-MI1452 A 19990701
OTHER SOURCE(S): MARPAT 134:100776
GI



I



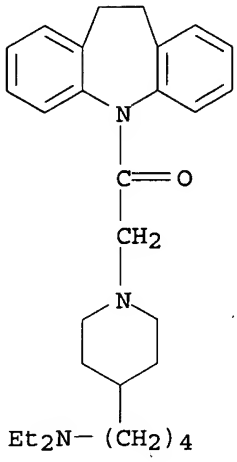
II

AB 5H-dibenzo[b,f]azepines, such as I [R = (CH2)nNR1R2; R1 = H, Ph, benzyl, phenethyl, alkyl, etc.; R2 = Ph, benzyl, phenethyl, alkyl, etc.; XY = CH2-CH2, CH=CH, CH=CR3; R3 = OH, OPh, alkoxy; n, m = 1 - 10], were prepd. for use as selective M2 muscarinic receptor antagonists and can be used in the treatment of cardiovascular disorders, particularly bradycardias and bradyarrhythmias and in the treatment of cognitive disorders such as Alzheimer's disease. Thus, 5H-dibenzo[b,f]azepine II [R = (CH2)4NEt2] was prepd. via a multistep synthetic sequence starting from 1-benzyl-4-piperidone, tri-Et 4-phosphonocrotonate, and 5-(chloroacetyl)-5H-dibenz[b,f]azepine. The prepd. 5H-dibenzo[b,f]azepines were tested for muscarinic receptor binding affinity and were found to have selectivity for the M2 receptor.

IT **316363-32-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5H-dibenz[b,f]azepines for pharmaceutical use as selective M2 muscarinic receptor antagonists)

RN 316363-32-3 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[[4-[4-(diethylamino)butyl]-1-piperidinyl]acetyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



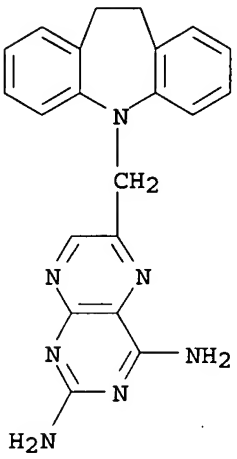
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 80 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:15514 CAPLUS
DOCUMENT NUMBER: 134:204940
TITLE: Efficacies of lipophilic inhibitors of dihydrofolate reductase against parasitic protozoa
AUTHOR(S): Lau, Hollis; Ferlan, Jill T.; Brophy, Victoria Hertle; Rosowsky, Andre; Sibley, Carol Hopkins
CORPORATE SOURCE: Department of Genetics, University of Washington, Seattle, WA, 98195-7360, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(1), 187-195
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Competitive inhibitors of dihydrofolate reductase (DHFR) are used in chemotherapy or prophylaxis of many microbial pathogens, including the eukaryotic parasites Plasmodium falciparum and Toxoplasma gondii. Unfortunately, point mutations in the DHFR gene can confer resistance to inhibitors specific to these pathogens. We have developed a rapid system for testing inhibitors of DHFRs from a variety of parasites. We replaced the DHFR gene from the budding yeast Saccharomyces cerevisiae with the DHFR-coding region from humans, P. falciparum, T. gondii, Pneumocystis carinii, and bovine or human-derived Cryptosporidium parvum. We studied 84 dicyclic and tricyclic 2,4-diaminopyrimidine derivs. in this heterologous system and identified those most effective against the DHFR enzymes from each of the pathogens. Among these compds., six tetrahydroquinazolines were effective inhibitors of every strain tested, but they also inhibited the human DHFR and were not selective for the parasites. However, two quinazolines and four tetrahydroquinazolines were both potent and selective inhibitors of the P. falciparum DHFR. These compds. show promise for development as antimalarial drugs.

IT **251658-84-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(efficacies of lipophilic inhibitors of dihydrofolate reductase against parasitic protozoa)

RN 251658-84-1 CAPLUS
CN 2,4-Pteridinediamine, 6-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 81 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:865069 CAPLUS
DOCUMENT NUMBER: 134:25384
TITLE: Sphingomyelinase inhibitor compositions and therapeutic use
INVENTOR(S): Deigner, Hans-Peter; Meisner, Michael; Kinscherf, Ralf; Bibak, Nilofar
PATENT ASSIGNEE(S): Universitat Heidelberg, Germany; Friedrich-Schiller-Universitat Jena
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19924148	A1	20001207	DE 1999-19924148	19990526
WO 2000072833	A2	20001207	WO 2000-EP4738	20000524
WO 2000072833	A3	20010525		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1207886	A2	20020529	EP 2000-927242	20000524

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

PRIORITY APPLN. INFO.: DE 1999-19924148 A 19990526
WO 2000-EP4738 W 20000524
OTHER SOURCE(S): MARPAT 134:25384

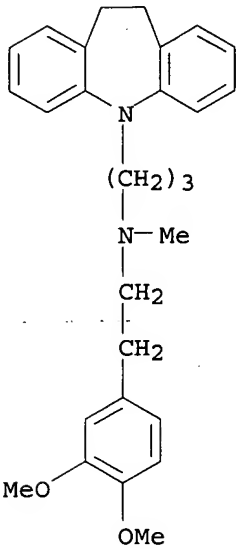
AB The invention discloses pharmaceutical compns. with antiapoptotic and antiseptic effects, which can be used as sphingomyelinase inhibitors. The pharmaceutical compns. of the invention are particularly useful for the treatment of sepsis, arteriosclerosis, neurodegenerative illnesses (e.g.

Alzheimer's disease), and retroviral (e.g. HIV) infections.

IT **311332-81-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sphingomyelinase inhibitor compns. and therapeutic use)

RN 311332-81-7 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-propanamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-10,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 82 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:823175 CAPLUS
DOCUMENT NUMBER: 133:367675
TITLE: Organic electroluminescent devices
INVENTOR(S): Sato, Tadahisa; Hara, Shintaro
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan; Matsushita Electric Industrial Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000323281	A2	20001124	JP 1999-135920	19990517

PRIORITY APPLN. INFO.: JP 1999-135920 19990517
OTHER SOURCE(S): MARPAT 133:367675
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

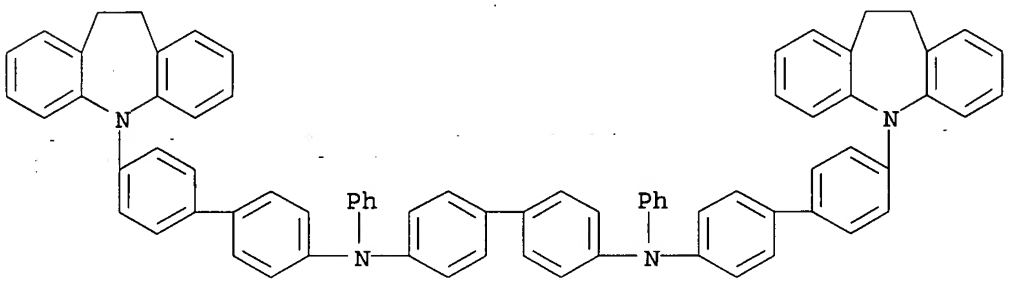
AB The devices comprise a hole transport layer comprising I, II, III, IV or V

(A1-9, B1-9, C1-9 = (substituted) ethylene, (substituted) vinylene, (substituted) o-arylene; Ar1-5 = (substituted) arom. hydrocarbon, (substituted) arom. heterocyclic hydrocarbon; a, b, c = 1-4; d = 0 - 2; Ar6-8 = Ar1-5 when Y = N; Ar6-8 = (substituted) benzene ring when Y = 1,3,5-benzenetolyl; e, f, g = 1-3; Ar9 = Ar1-5 except benzene ring, (substituted) polyaryl methane; h = 1-4; Ar10,11 = Ar1-5; i, k = 1-4; j .gtoreq. 1; Z = 1-4 valent group of arom. ring, arom. heterocyclic, triarylamine, polyarylethane; m = 1-4; l .gtoreq. 1; n = 1-4).

IT **307531-12-0**
RL: DEV (Device component use); USES (Uses)
(org. electroluminescent devices)

RN 307531-12-0 CAPLUS

CN [1,1'-Biphenyl]-4,4'-diamine, N,N'-bis[4'-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)[1,1'-biphenyl]-4-yl]-N,N'-diphenyl- (9CI) (CA INDEX NAME)



L7 ANSWER 83 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:788179 CAPLUS

DOCUMENT NUMBER: 134:86143

TITLE: Synthesis of new cardioselective M2 muscarinic receptor antagonists

AUTHOR(S): Mandelli, Giacomina R.; Maiorana, Stefano; Terni, Patrizia; Lamperti, Giuseppina; Colibretti, Maria Luisa; Imbimbo, Bruno P.

CORPORATE SOURCE: Research and Development Department, Mediolanum Farmaceutici, Milan, 20143, Italy

SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(11), 1611-1622
CODEN: CPBTAL; ISSN: 0009-2363

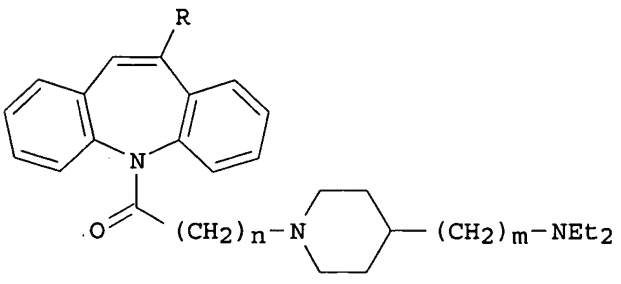
PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:86143

GI



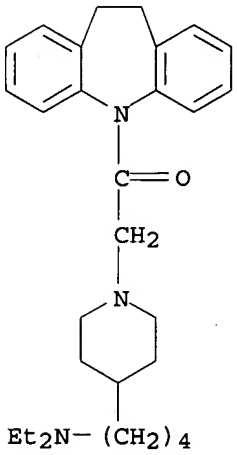
10/ 076,573

AB A series of 5H-dibenz[b,f]azepines, e.g. I (R = H, MeO, EtO, BuO, PhO; n = 1, 5, 9; m = 2, 4, 7), was prepd. and evaluated for binding affinities to muscarinic receptors in vitro. Among them, compd. I (R = H; n = 1; m = 4) (II) showed a high affinity for human recombinant M2 receptors (Ki=2.6 nM), a low affinity for M4 receptors (39-fold less than for M2 receptors) and a very low affinity for M1 and M3 receptors (119- and 112-fold less than for M2 receptors, resp.). This high M2 selectivity may be attributed to the olefinic bond of the azepine ring. Functional expts. showed II to be a competitive antagonist with high affinity to the cardiac (pA2=7.1) and low affinity to the intestinal muscarinic receptors (IC50=0.54 .mu.M). In vivo expts. confirmed the in vitro M2 selectivity of II. Acetylcholine-induced bradycardia was dose-dependently antagonized in rats after both i.v. and intraduodenal administration of II. In rats, cholinergic functions mediated by M1 or M3 receptors (salivary secretion, pupil diam., gastric emptying, intestinal transit time) were not affected by the oral administration of II even at doses as high as 30 times the antibradycardic ED. Furthermore, II had no analgesic activity in mice, indicating poor central nervous system penetration. In dogs, nocturnal bradycardia was dose-dependently inhibited by the oral route with a duration of action of about 24 h. Compd. II appears to be a promising cardioselective antimuscarinic agent for the treatment of dysfunctions of the cardiac conduction system such as sinus or nodal bradycardia ("sick-sinus syndrome") and atrioventricular block.

IT **316363-32-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and biol. evaluation of N-substituted dibenzazepines as cardioselective M2 muscarinic receptor antagonists)

RN 316363-32-3 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[[4-[4-(diethylamino)butyl]-1-piperidinyl]acetyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 84 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:772618 CAPLUS
DOCUMENT NUMBER: 133:321883
TITLE: Preparation of piperidylimidazole derivatives useful in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor
INVENTOR(S): Dorwald, Florencio Zaragoza; Andersen, Knud Erik; Jorgensen, Tine Krogh; Wulff, Birgitte Schjellerup;

10/ 076,573

	Pettersson, Ingrid
PATENT ASSIGNEE(S):	Novo Nordisk A/S, Den.; Boehringer Ingelheim International, G.m.b.H.
SOURCE:	PCT Int. Appl., 47 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064884	A1	20001102	WO 2000-DK186	20000414

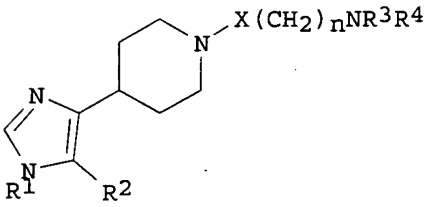
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DK 1999-565 A 19990426

OTHER SOURCE(S): MARPAT 133:321883

GI



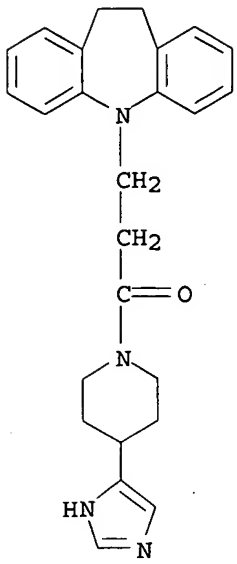
I

AB Piperidylimidazole derivs. I [R1 = H, functional group; R2 = H, cyano, halo, alkyl; X = CO, CS, CH2; n = 0-10; R3, R4 = cycloalkyl, heteroaryl, etc,], useful in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor, were prepd. E.g., reaction of 4-(4-piperidyl)imidazole dihydrochloride with 5-(3-chloropropyl)-10,11-dihydro-5H-dibenzo[b,f]azepine in presence of potassium carbonate and potassium iodide gave 5-(3-(4-(1H-imidazol-4-yl)piperidin-1-yl)propyl)-10,11-dihydro-5H-dibenzo[b,f]azepine. The affinity of I for histamine H3 receptors was detd.

IT **302919-83-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidylimidazole derivs. useful in the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor)

RN 302919-83-1 CAPLUS

CN Piperidine, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-1-oxopropyl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

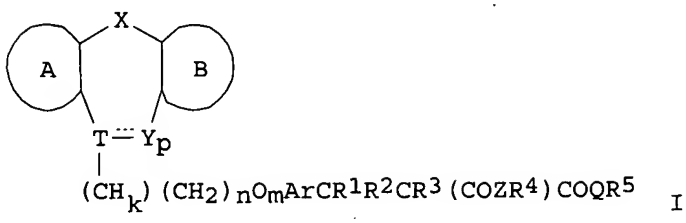
L7 ANSWER 85 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:756707 CAPLUS
DOCUMENT NUMBER: 133:321874
TITLE: Preparation of malonic acid derivatives useful in the treatment and/or prevention of conditions mediated by Peroxisome Proliferator-Activated Receptors
INVENTOR(S): Jeppesen, Lone; Sauerberg, Per; Murray, Anthony; Bury, Paul Stanley
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063209	A1	20001026	WO 2000-DK191	20000417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000039581	A5	20001102	AU 2000-39581	20000417
EP 1171438	A1	20020116	EP 2000-918726	20000417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002542246	T2	20021210	JP 2000-612299	20000417
US 2002010171	A1	20020124	US 2001-878670	20010611
US 6534517	B2	20030318		

PRIORITY APPLN. INFO.: DK 1999-535 A 19990420
WO 2000-DK191 W 20000417

US 2000-551497 A1 20000418
OTHER SOURCE(S): MARPAT 133:321874

GI



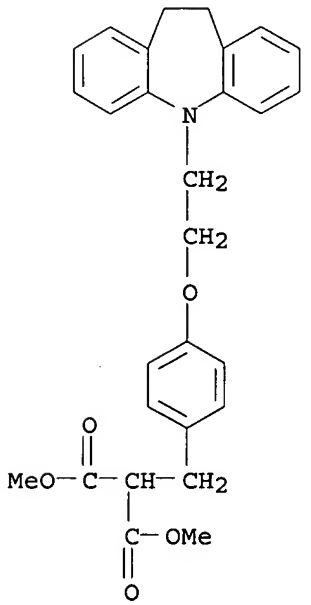
I

AB The title compds. I [ring A and ring B, fused to the ring contg. X and T, independently of each other represents a 5-6 membered cyclic ring, optionally substituted; T is N or CR14; Y is C, O, S, CO, SO, SO2, NR11; k = 1, 2; Ar = arylene, heteroarylene, divalent heterocyclic group; R1 = H, OH, halo, alkoxy, etc.; R2 = H, OH, alkyl, alkynyl, etc.; R3 = H, OH, alkyl, etc.; R4 = H, alkenylyl, aryl, etc.; R5 = H, alkyl, heteroaryl, etc.; Z = O, NR12; Q = O, NR13; n = 0-3; m = 0-1; p = 0-1], useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR), were prepd. E.g., 2-[4-(2-.beta.-carbolin-9-yl-ethoxy)benzyl]malonic acid hydrochloride was prepd.

IT **302589-16-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of malonic acid derivs. useful in the treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors)

RN 302589-16-8 CAPLUS

CN Propanedioic acid, [[4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]phenyl]methyl]-, dimethyl ester (9CI) (CA INDEX NAME)



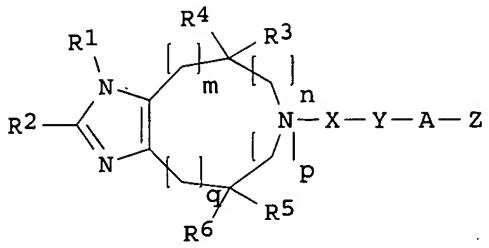
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 86 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:756706 CAPLUS
DOCUMENT NUMBER: 133:321882
TITLE: Preparation of substituted fused imidazoles for treatment and/or prevention of diseases and disorders related to the histamine H3 receptor
INVENTOR(S): Dorwald, Florencio Zaragoza; Andersen, Knud Erik; Jorgensen, Tine Krogh; Peschke, Bernd; Wulff, Birgitte Schjellerup; Pettersson, Ingrid; Rudolf, Klaus; Stenkamp, Dirk; Hurnaus, Rudolf; Muller, Stephan Georg; Krist, Bernd
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Boehringer Ingelheim International, G.m.b.H.
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

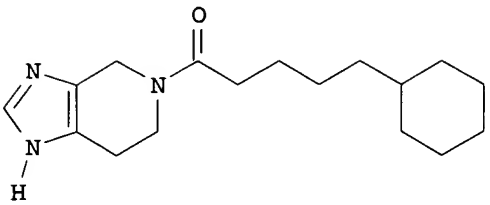
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063208	A1	20001026	WO 2000-DK179	20000413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1173438	A1	20020123	EP 2000-918714	20000413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002542245	T2	20021210	JP 2000-612298	20000413

PRIORITY APPLN. INFO.:
DK 1999-508 A 19990416
DK 1999-1345 A 19990922
DK 2000-42 A 20000112
WO 2000-DK179 W 20000413

OTHER SOURCE(S): MARPAT 133:321882
GI



I



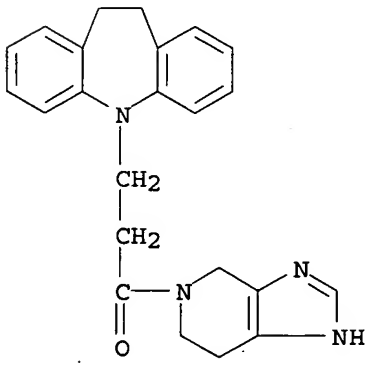
II

AB The title compds. [I; R1 = H, a functional group which can be converted to H in vivo; R2 = H, alkyl, halo, etc.; R3-R6 = H, CO2H, alkoxycarbonyl, etc.; m, n, p, q = 0-2; X = a bond, CH2, CO, etc.; Y = a bond, O, NR12 (R12 = H, alkyl, aryl, etc.); A = a bond, alkylene, alkenylene, etc.; Z = R13, OR13, SR13, etc. (R13 = H, alkyl, aryl, etc.)], useful for the treatment and/or prevention of diseases and disorders related to the histamine H3 receptor (more particularly, useful for the treatment and/or prevention of diseases and disorders, in which an interaction with the histamine H3 receptor is beneficial), were prepd. and formulated. E.g., treatment of 5-cyclohexylpentanoic acid with carbonyldiimidazole in DCM followed by addn. of 4,5,6,7-tetrahydroimidazo[4,5-c]pyridine in DCM afforded 24% II. Compds. I are effective at 0.05-10 mg/kg/day.

IT **303019-87-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted fused imidazoles for treatment and/or prevention of diseases and disorders related to the histamine H3 receptor)

RN 303019-87-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridine, 5-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-1-oxopropyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



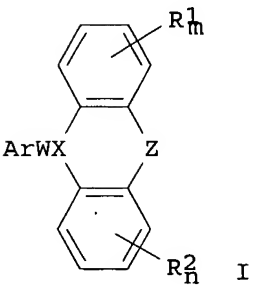
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 87 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:725613 CAPLUS
DOCUMENT NUMBER: 133:296425
TITLE: Preparation of compounds as inhibitors of dihydrofolatereductase
INVENTOR(S): Rosowsky, Andre
PATENT ASSIGNEE(S): Dana-Farber Cancer Institute, Inc., USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059884	A1	20001012	WO 2000-US1968	20000125
W: CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1154997	A1	20011121	EP 2000-907039	20000125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002541144	T2	20021203	JP 2000-609396	20000125

PRIORITY APPLN. INFO.: US 1999-117321P P 19990126
WO 2000-US1968 W 20000125
OTHER SOURCE(S): MARPAT 133:296425
GI



I

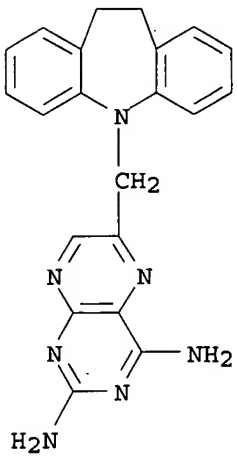
AB Compds. I [Ar = aryl, heteroaryl; W = bond, amino, alkylene, aminoalkylene; X = N, C; Z = bond, methylene, ethylene, etc.; R1, R2 = halo, amino, OH, NO2, etc.; m, n = 0, 4], inhibitors of dihydrofolatereductase and useful for the treatment or prophylaxis of diseases assocd. with parasitic infection such as toxoplasmosis, cryptosporidiosis, leishmaniasis, and malaria, were prepd. E.g., addn. of NaH to a soln. of Ph2NH and 2,4-diamino-6-bromomethylpteridine hydrobromide gave 54% N-(2,4-diaminopteridin-6-yl)methyl-N,N-diphenylamine.

IT **251658-84-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of compds. as inhibitors of dihydrofolate reductase)

RN 251658-84-1 CAPLUS
CN 2,4-Pteridinediamine, 6-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)methyl]-

10/ 076,573

(9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 88 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:708002 CAPLUS
DOCUMENT NUMBER: 134:29374
TITLE: Synthesis of 2,4-diaminopyrido[2,3-d]pyrimidines and 2,4-diaminoquinazolines with bulky dibenz[b,f]azepine and dibenzo[a,d]-cycloheptene substituents at the 6-position as inhibitors of dihydrofolate reductase from Pneumocystis carinii, Toxoplasma gondii, and Mycobacterium avium
AUTHOR(S): Rosowsky, Andre; Fu, Hongning; Queener, Sherry F.
CORPORATE SOURCE: Dana-Farber Cancer Institute and the Department of Biological Chemistry and Molecular Pharmacology, Harvard Medical School, Boston, MA, 02115, USA
SOURCE: Journal of Heterocyclic Chemistry (2000), 37(4), 921-926
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:29374

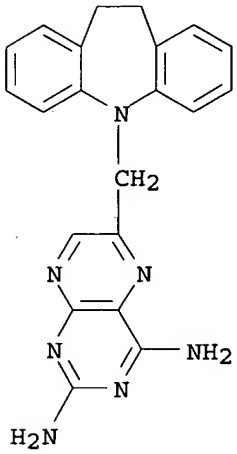
AB The synthesis of four previously undescribed 2,4-diaminopyrido[2,3-d]pyrimidines and 2,4-diaminoquinazolines with a bulky tricyclic arom. group at the 6-position is described. Condensation of dibenz[b,f]azepine with 2,4-diamino-6-bromomethylpyrido[2,3-d]pyrimidine and 2,4-diamino-6-bromomethylquinazoline in the presence of sodium hydride afforded N-[(2,4-diaminopyrido[2,3-d]-pyrimidin-6-yl)methyl]dibenz[b,f]azepine and N-[(2,4-diaminoquinazolin-6-yl)methyl]dibenz[b,f]azepine, resp. Condensation of 5-chlorodibenzo[a,d]cycloheptene and 5-chloro-10,11-dihydrodibenzo[a,d]cycloheptene with 2,4,6-triaminoquinazoline (13) afforded 5-[(2,4-diaminoquinazolin-6-yl)amino]-5H-dibenzo[a,d]cycloheptene and the corresponding 10,11-dihydro deriv., resp. The bromides, as hydrobromic acid salts, were obtained from the corresponding nitriles according to a std. three-step sequence consisting of treatment with Raney nickel in formic acid followed by redn. with sodium borohydride and bromination with dry hydrogen bromide in glacial acetic acid. The title compds. were evaluated in vitro for the ability to inhibit dihydrofolate reductase from Pneumocystis carinii, Toxoplasma gondii, Mycobacterium avium, and rat liver. They were potent inhibitors of all four enzymes,

with IC50 values in the 0.03-0.1 .mu.M range. However the selectivity of these compds. for the parasite enzymes relative to the rat enzyme was <10-fold, whereas the recently reported lead compd. in this series, N-[(2,4-diaminopteridin-6-yl)methyl]dibenz[b,f]azepine has >100-fold selectivity for the T. gondii and M. avium enzyme and 21-fold selectivity for the P. carinii enzyme.

IT **251658-84-1DP**, bioisosteres
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of 2,4-diaminopyrido[2,3-d]pyrimidines and 2,4-diaminoquinazolines dihydrofolate reductase inhibitors from Pneumocystis carinii, Toxoplasma gondii, and Mycobacterium avium)

RN 251658-84-1 CAPLUS

CN 2,4-Pteridinediamine, 6-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)methyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 89 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:706352 CAPLUS
DOCUMENT NUMBER: 133:276324
TITLE: Inhibitors of cellular nicotinamide mononucleotide formation, therapeutic use thereof,and identification and metabolic methods
INVENTOR(S): Biedermann, Elfi; Eisenburger, Rolf; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Schulz, Michael; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19908483	A1	20001005	DE 1999-19908483	19990226

PRIORITY APPLN. INFO.: DE 1999-19908483 19990226

AB Biol. active substances are described which inhibit the cellular formation of NMN, an essential intermediate in NAD(P) biosynthesis in the cell.

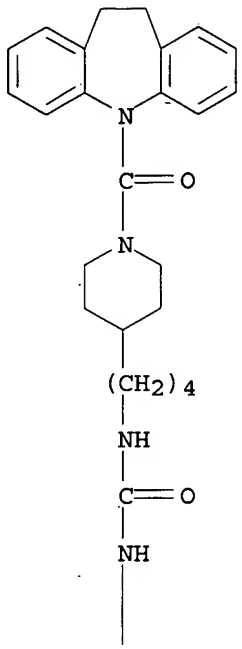
These substances can be used for a pharmaceutical compn. for the treatment of cancer, leukemia, or for Immunosuppression. Addnl., methods are described for the identification of such substances and for the investigation of a given cell type for its dependence on nicotinamide as a precursor in NAD synthesis.

IT **299400-68-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(NMN formation inhibitors, therapeutic use thereof, and identification and metabolic methods)

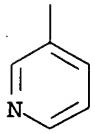
RN 299400-68-3 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[[4-[4-[[(3-pyridinylamino)carbonyl]amino]butyl]-1-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 90 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:701347 CAPLUS
DOCUMENT NUMBER: 134:66061
TITLE: Neurotoxic/neuroprotective profile of carbamazepine, oxcarbazepine and two new putative antiepileptic

drugs, BIA 2-093 and BIA 2-024

AUTHOR(S): Ambrosio, A. F.; Silva, A. P.; Araujo, I.; Malva, J. O.; Soares-da-Silva, P.; Carvalho, A. P.; Carvalho, C. M.

CORPORATE SOURCE: Center for Neuroscience of Coimbra, Department of Cell Biology, University of Coimbra, Coimbra, 3004-517, Port.

SOURCE: European Journal of Pharmacology (2000), 406(2), 191-201
CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

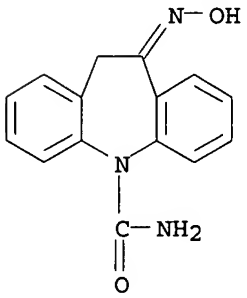
LANGUAGE: English

AB The toxicity profiles, as well as possible neuroprotective effects, of the title antiepileptic drugs were compared in cultured rat hippocampal neurons. Two novel carbamazepine derivs., (S)-(-)-10-acetoxy-10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-093) and 10,11-dihydro-10-hydroxyimino-5H-dibenz[b,f]azepine-5-carboxamide (BIA 2-024), were compared with the established compds. carbamazepine and oxcarbazepine. The assessment of neuronal injury was made by the MTT assay, as well as by analyzing morphol. and nuclear chromatin condensation (propidium iodide staining), after hippocampal neurons were exposed to the drugs for 24 h. The putative antiepileptic drugs BIA 2-093 or BIA 2-024 (at 300 .mu.M) only slightly decreased MTT redn., whereas carbamazepine or oxcarbazepine were much more toxic at lower concns. Treatment with the antiepileptic drugs caused nuclear chromatin condensation, which is characteristic of apoptosis, in some neurons and increased the activity of caspase-3-like enzymes, mainly in neurons treated with carbamazepine and oxcarbazepine. The toxic effect caused by carbamazepine was not mediated by N-methyl-D-aspartate (NMDA) or by .alpha.-amino-3-hydroxy-5-methylisoxazole-4-propionate (AMPA) receptors. Moreover, the antiepileptic drugs failed to protect hippocampal neurons from the toxicity caused by kainate, veratridine, or ischemia-like conditions.

IT **199997-15-4**, BIA 2-024
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(neurotoxic/neuroprotective profile of the antiepileptics carbamazepine, oxcarbazepine, BIA 2-093 and BIA 2-024)

RN 199997-15-4 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 91 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:666712 CAPLUS
DOCUMENT NUMBER: 133:237875

10/ 076,573

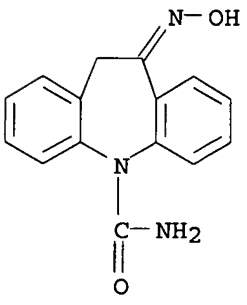
TITLE: Preparation of 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide via nitration of 5-chlorocarbonyl-5H-dibenz[b,f]azepine.
INVENTOR(S): Eidenhammer, Gerhard; Altreiter, Johann; Schwendinger, Karl
PATENT ASSIGNEE(S): DSM Fine Chemicals Austria G.m.b.H., Austria
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055138	A1	20000921	WO 2000-EP1279	20000217
W: AE, AL, AM, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AT 9900452	A	20010215	AT 1999-452	19990315
AT 408224	B	20010925		

PRIORITY APPLN. INFO.: AT 1999-452 A 19990315
OTHER SOURCE(S): CASREACT 133:237875

AB 10,11-Dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide (I) was prepd. by nitration of 5-chlorocarbonyl-5H-dibenz[b,f]azepine (II) to give the 10-nitro compd., which was converted either by (a) redn. and hydrolysis to the 10-oxo compd. which reacted with NH3 to give I or (b) by redn. to the corresponding isonitroso compd. which reacted with NH3 to give the 10-oxime-5-carboxamide which was hydrolyzed to I. Thus, II in aq. HOAc was treated with N2O4 in HOAc over 1 h at 25.degree. followed by heating at 50.degree. for 3 h to give 87% 5-chlorocarbonyl-10-nitro-5H-dibenz[b,f]azepine. This was warmed with HCl in Me iso-Bu ketone under addn. of Fe over 1.5 h at 40.degree. followed by 2 h stirring to give after filtration an org. residue which was treated with NH3 for 2 h at 50.degree. to give 72% I.

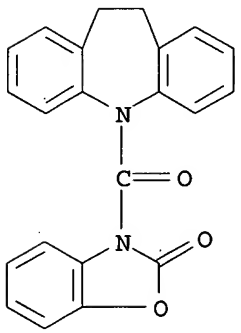
IT **199997-15-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide via nitration of 5-chlorocarbonyl-5H-dibenz[b,f]azepine)
RN 199997-15-4 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 92 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:632971 CAPLUS
DOCUMENT NUMBER: 133:321822
TITLE: Synthesis of some 3-acylbenzoxazolinones
AUTHOR(S): Ayupova, A. T.; Aliev, N. A.
CORPORATE SOURCE: Inst. Khim. Rastitel. Veschestv, AN RUz, Uzbekistan
SOURCE: O'zbekiston Kimyo Jurnali (2000), (2), 30-33
CODEN: OKJZA6; ISSN: 0042-1707
PUBLISHER: Izdatel'stvo Fan
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 133:321822
AB Acylation of benzoxazolinone by 3-(trifluoromethyl)phenyl isocyanate, perfluorobenzenesulfonyl chloride, and acid chlorides gave new 3-acylbenzoxazolinones. The reaction of benzoxazolinone with .beta.-methylacryloyl chloride gave both acylation and addn. products.
IT **302782-81-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 302782-81-6 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[(2-oxo-3(2H)-benzoxazolyl)carbonyl]- (9CI) (CA INDEX NAME)

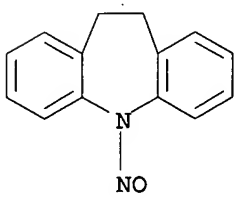


L7 ANSWER 93 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:626428 CAPLUS
DOCUMENT NUMBER: 133:309629
TITLE: N-NO Bond Dissociation Energies of N-Nitroso Diphenylamine Derivatives (Or Analogues) and Their Radical Anions: Implications for the Effect of Reductive Electron Transfer on N-NO Bond Activation and for the Mechanisms of NO Transfer to Nitranions
AUTHOR(S): Zhu, Xiao-Qing; He, Jia-Qi; Li, Qian; Xian, Ming; Lu, Jianming; Cheng, Jin-Pei
CORPORATE SOURCE: Department of Chemistry, Nankai University, Tianjin, 300071, Peop. Rep. China
SOURCE: Journal of Organic Chemistry (2000), 65(20), 6729-6735
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The heterolytic and homolytic N-NO bond dissocn. energies [i.e., .DELTA.Hhet(N-NO) and .DELTA.Hhomo(N-NO)] of 12 N-nitroso-diphenylamine derivs. and two N-nitrosoindoles in acetonitrile were detd. by titrn. calorimetry and from a thermodn. cycle, resp. Comparison of these two sets of data indicates that homolysis of the N-NO bonds to generate

NO.bul. and nitrogen radical is energetically much more favorable (by 23.3-44.8 kcal/mol) than the corresponding heterolysis to generate a pair of ions, giving hints for the driving force and possible mechanism of NO-initiated chem. and biol. transformations. The first (N-NO)- .bul. bond dissocn. energies [i.e., .DELTA.H(N-NO)- .bul. and .DELTA.H'(N-NO)- .bul.] of corresponding radical anions were also derived on the basis of appropriate cycles utilizing the exptl. measured .DELTA.Hhet(N-NO) and electrochem. data. Comparisons of these two quantities with those of the neutral N-NO bonds indicate a remarkable bond activation upon a possible one-electron transfer to the N-NO bonds, with an av. bond-weakening effect of 48.8 .+-. 0.3 kcal/mol for heterolysis and 22.3 .+-. 0.3 kcal/mol for homolysis, resp. The good to excellent linear correlations among the energetics of the related heterolytic processes [.DELTA.Hhet(N-NO), .DELTA.H(N-NO)- .bul., and pKa(N-H)] and the related homolytic processes [.DELTA.Hhomo(N-NO), .DELTA.H'(N-NO)- .bul., and BDE(N-H)] imply that the governing structural factors for these bond scissions are similar. Examples illustrating the use of such bond energetic data jointly with relevant redox potentials for analyzing various mechanistic possibilities for nitrosation of nitranions are presented.

IT **301834-43-5**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)
(heterolytic and homolytic N-NO bond dissocn. energies of N-nitrosodiphenylamine derivs. and N-nitrosoindoles in acetonitrile)

RN 301834-43-5 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-nitroso-, radical ion(1-) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 94 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:606859 CAPLUS
DOCUMENT NUMBER: 133:193091
TITLE: Preparation of 1-(dibenzazepinoalkyl)azacycloalkanecarboxylic acids and analogs as CGRP inhibitors
INVENTOR(S): Dorwald, Florenzio Zaragossa; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Joslashedrgensen, Tine Krogh; Olsen, Uffe Bang; Andersen, Henrik Sune; Treppendahl, Svend; Zdenek, Polivka; Karel, Sindelar; Alexandra, Silhankova
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: U.S., 21 pp., Cont.-in-part of U.S. 5,874,428.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6110913	A	20000829	US 1998-55633	19980406
US 5595989	A	19970121	US 1995-367648	19950103

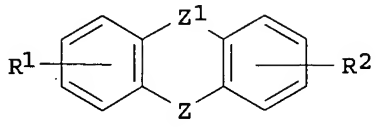
ZA 9500031	A	19960704	ZA 1995-31		19950104
US 5688788	A	19971118	US 1995-444140		19950518
US 5693649	A	19971202	US 1995-544502		19951018
US 5712292	A	19980127	US 1995-544905		19951018
US 5721254	A	19980228	US 1995-544500		19951018
US 5795888	A	19980818	US 1995-544682		19951018
US 5668129	A	19970916	US 1996-626745		19960327
US 5874428	A	19990223	US 1996-623289		19960328
ZA 9602732	A	19961024	ZA 1996-2732		19960404
US 6043239	A	20000328	US 1998-12918		19980123
US 6166009	A	20001226	US 1999-390020		19990903

PRIORITY APPLN. INFO.:

DK 1994-19	A	19940104
DK 1994-1290	A	19941109
US 1995-367648	A3	19950103
DK 1995-405	A	19950407
DK 1995-1005	A	19950911
US 1995-544682	A2	19951018
US 1996-623289	A2	19960328
US 1998-55633	A3	19980406

OTHER SOURCE(S): MARPAT 133:193091

GI



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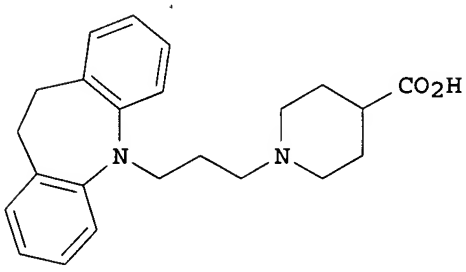


II

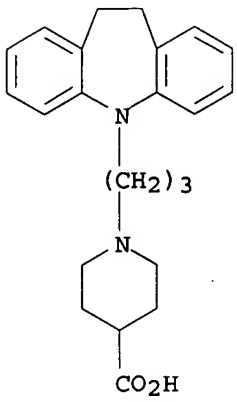
AB Title compds. [I; R1,R2 = H, halo, alkyl, alkoxy, etc.; Z = N[(CH2)nR]CH2, CH[(CH2)nR]CH2, C:CH; R = Z2R3; R3 = (CH2)mOH or (CH2)pCOR4; R4 = OH, NH2, NHOH, alkoxy; Z1 = O, S, CH2CH2,CH:CHCH2, CH2CO, etc.; Z2 = pyrrolidine-1,2-diyl, piperidine-1,3- or -1,4-diyl, tetrahydroquinoline-2,3-diyl, etc.; m = 0-6; n = 1-3; p = 0 or 1] were prepd. Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was N-acylated by ClCH2CH2COCl and the reduced product aminated by Et 4-piperidinecarboxylate to give, after sapon., title compd. II. Data for biol. activity of I were given.

IT **183785-31-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-(dibenzazepinoalkyl)azacycloalkanecarboxylic acids and analogs as CGRP inhibitors)

RN 183785-31-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

10/ 076,573



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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 95 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:529216 CAPLUS
DOCUMENT NUMBER: 133:129887
TITLE: Method using a tricyclic antidepressant for the treatment of headache pain
INVENTOR(S): Bernstein, Joel E.
PATENT ASSIGNEE(S): Winston Laboratories, Inc., USA
SOURCE: U.S., 3 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

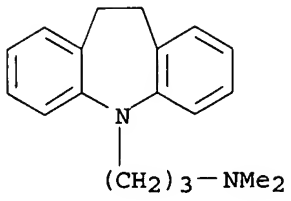
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6096738	A	20000801	US 1999-239198	19990128
WO 2000044386	A1	20000803	WO 2000-US1066	20000112
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1148883	A1	20011031	EP 2000-904380	20000112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO				
NO 2001003717	A	20010926	NO 2001-3717	20010727

PRIORITY APPLN. INFO.: US 1999-239198 A 19990128
WO 2000-US1066 W 20000112

AB A method for preventing and treating headache pain comprises administering a tricyclic antidepressant compd. locally to the nasal mucosa to a patient suffering from headaches.

IT **286471-58-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tricyclic antidepressant for treatment of headache pain)

RN 286471-58-7 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,N-dimethyl-, monohydriodide (9CI) (CA INDEX NAME)



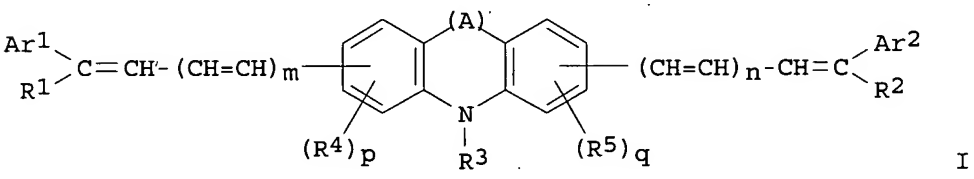
● HI

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 96 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:428009 CAPLUS
DOCUMENT NUMBER: 133:65938
TITLE: Ethylene derivative having nitrogen-containing 7-membered ring structure
INVENTOR(S): Sato, Tadahisa
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000178273	A2	20000627	JP 1999-265770	19990920

PRIORITY APPLN. INFO.: JP 1998-285508 A 19981007
OTHER SOURCE(S): MARPAT 133:65938
GI



I

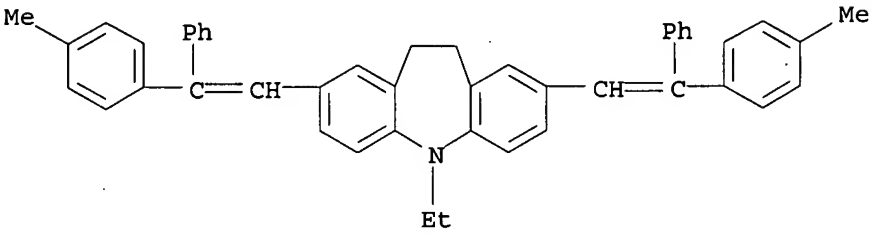
AB The deriv. has a N-contg. 7-membered ring structure having a formula I (A = ethylene, vinylene, o-arylene; Ar1, 2 = aryl; R1-3 = alkyl, aryl; R4, 5 = halogen, alkyl, aryl, alkoxy, aryloxy, dialkylamino, N-alkyl-N-arylamino, diarylamino; Ar1 and R1 and Ar2 and R2 may bond to form a ring; m, n = 0-2 integer; p, q = 0-3 integer; the ethylenic groups contg. Ar1 and Ar2 are bonded to the 2- and 3- or 7- and 8-position of the benzene ring, resp.). The deriv. shows excellent durability and charge transfer characteristic. The deriv. is useful for an electrophotog. charge transporter or an org. elec.-field light-emitting device.

IT **277761-17-8P**
RL: DEV (Device component use); IMF (Industrial manufacture); PREP (Preparation); USES (Uses)
(N-contg. 7-membered ring structure-contg. ethylene deriv. for charge transporter)

RN 277761-17-8 CAPLUS

10/ 076,573

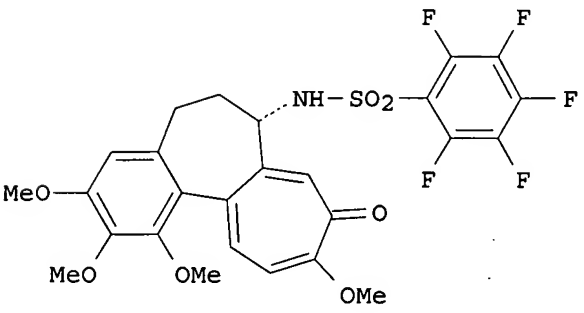
CN 5H-Dibenz[b,f]azepine, 5-ethyl-10,11-dihydro-2,8-bis[2-(4-methylphenyl)-2-phenylethenyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 97 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:421094 CAPLUS
DOCUMENT NUMBER: 133:43382
TITLE: Preparation of tubulin-binding agents
INVENTOR(S): Clark, David; Frankmoelle, Walter; Houze, Jonathan; Jaen, Juan C.; Medina, Julio C.
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035865	A2	20000622	WO 1999-US29968	19991215
WO 2000035865	A3	20001026		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6433187	B1	20020813	US 1999-464217	19991215

PRIORITY APPLN. INFO.: US 1998-112613P P 19981217
GI



I

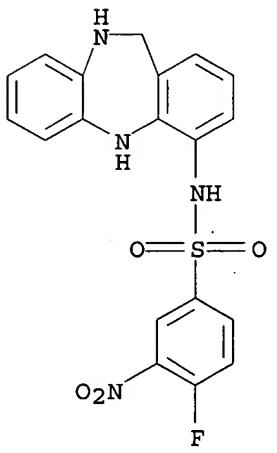
AB Derivs. of known tubulin-binding compds. are prepd. in which a (poly)fluorobenzene, a fluoropyridine, or a fluoronitrobenzene moiety is

incorporated or added to the structure. These derivs. can be used as antimitotic agents and can be considered covalent modifiers of tubulin (no data). The strategy developed for each of the compds. is to (i) append a fluorinated electrophile (e.g., pentafluorophenylsulfonamido, 2-fluoropyridyl, or 3,5-dinitro-4-fluorophenyl) to an existing functional group in a natural product, (ii) replace an arom. ring in a natural product with a fluorinated electrophile, or (iii) attach a fluorinated electrophile to an open valence in a portion of the mol. that will not interfere with recognition and binding to the tubulin site. Derivs. are provided based on colchicine, steganacin, podophyllotoxin, nocodazole, combretastatin, curacin A, vinblastine, vincristine, dolastatin, 2-methoxyestradiol, dihydroxy-pentamethoxyflavanone and others. Thus, I is prepd. from deacetylcolchicine and pentafluorophenylsulfonyl chloride.

IT **274922-23-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fluorinated arom. natural product derivs. as tubulin-binding agents)

RN 274922-23-5 CAPLUS

CN Benzenesulfonamide, N-(10,11-dihydro-5H-dibenzo[b,e][1,4]diazepin-4-yl)-4-fluoro-3-nitro- (9CI) (CA INDEX NAME)



L7 ANSWER 98 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:383927 CAPLUS
DOCUMENT NUMBER: 133:34425
TITLE: Pharmaceutical compositions containing N-substituted azaheterocyclic compounds for the treatment of indications related to angiogenesis
INVENTOR(S): Hansen, Anker Jon; Jorgensen, Tine Krogh; Olsen, Uffe Bang
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032193	A1	20000608	WO 1999-DK671	19991201

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1135129	A1	20010926	EP 1999-957964	19991201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002045610	A1	20020418	US 2001-872127	20010601

PRIORITY APPLN. INFO.:

DK 1998-1586	A	19981202
US 1998-111445P	P	19981208
WO 1999-DK671	W	19991201

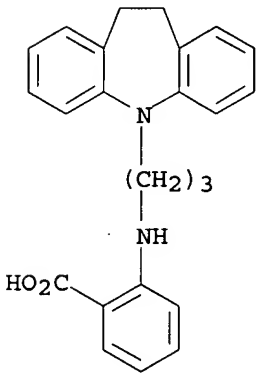
OTHER SOURCE(S): MARPAT 133:34425

AB The present invention relates to the use of N-substituted azaheterocyclic compds. or salts thereof, for the treatment of conditions related to angiogenesis. N-substituted azaheterocyclic compds. decreased the vessel area of neovascularization of mouse cornea by 30-50%. A tablet contained a N-substituted azaheterocyclic compd. 100, silicone dioxide 1.5, microcryst. cellulose 70, modified cellulose gum 7.5, in the core, and hydroxypropyl Me cellulose 9, and Mywacett 9-40T 0.9 mg in the coating.

IT **183476-83-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. contg. N-substituted azaheterocyclic compds. for treatment of indications related to angiogenesis)

RN 183476-83-7 CAPLUS

CN Benzoic acid, 2-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 99 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	2000:378163 CAPLUS
DOCUMENT NUMBER:	133:17390
TITLE:	Preparation of N-[carboxypiperidino)alkyl](dibenz[b,f]azepines and analogs for treatment of neurogenic inflammation and insulin resistance
INVENTOR(S):	Dorwald, Florenzio Zaragossa; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Joslashedrgensen, Tine Krogh; Olsen, Uffe Bang; Andersen, Henrik Sune; Treppendahl, Svend; Zdenek, Polivka; Alexandra,

10/ 076,573

	Silhankova; Karel, Sindelar
PATENT ASSIGNEE(S):	Novo Nordisk A/S, Den.
SOURCE:	U.S., 20 pp., Cont.-in-part of U.S. Ser. No. 623,289. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	5

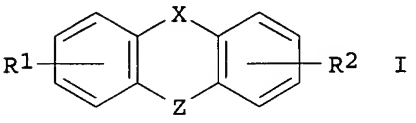
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6071901	A	20000606	US 1998-53339	19980401
US 5595989	A	19970121	US 1995-367648	19950103
ZA 9500031	A	19960704	ZA 1995-31	19950104
US 5688788	A	19971118	US 1995-444140	19950518
US 5693649	A	19971202	US 1995-544502	19951018
US 5712292	A	19980127	US 1995-544905	19951018
US 5721254	A	19980228	US 1995-544500	19951018
US 5795888	A	19980818	US 1995-544682	19951018
US 5668129	A	19970916	US 1996-626745	19960327
US 5874428	A	19990223	US 1996-623289	19960328
ZA 9602732	A	19961024	ZA 1996-2732	19960404
US 6043239	A	20000328	US 1998-12918	19980123

PRIORITY APPLN. INFO.:	DK 1994-19	A	19940104
	DK 1994-1290	A	19941109
	US 1995-367648	A3	19950103
	DK 1995-405	A	19950407
	DK 1995-1005	A	19950911
	US 1995-544682	A2	19951018
	US 1996-623289	A2	19960328

OTHER SOURCE(S): MARPAT 133:17390

GI



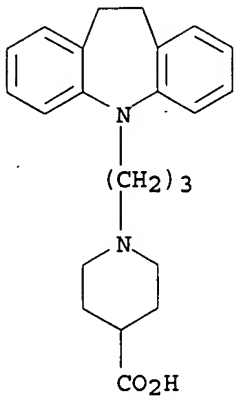
I

AB Title compds. [I; R1,R2 = H, halo, alkyl, alkoxy, etc.; X = O, S, CH2CH2, CH2CO, NHCO, etc.; Z = N(CH2)rZ1R3, CH(CH2)rZ1R3, C:CH(1h)rZ1R3, etc.; R3 = (CH2)mOH or (CH2)pCOR4; R4 = OH, NH2, NHOH, alkoxy; Z1 = pyrrolidine-1,2-diyl, piperidine-1,n-diyl, morpholine-4,2-diyl, piperazine-1,4-diylmethyl, etc.; m = 0-6; n = 2-4; p = 0 or 1; r = 1-3] were prepd. Thus, I (R1 = R2 = H, X = CH2CH2, Z = NR)(II; R = H) was N-acylated by ClCH2CH2COCl and the reduced product aminated by Et piperidine-4-carboxylate to give, after sapon., II [R = 3-(4-carboxypiperidino)propyl]. Data for biol. activity of I were given.

IT **183785-31-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[carboxypiperidino)alkyl](dibenz[b,f]azepines and analogs for treatment of neurogenic inflammation and neurogenic inflammation)

RN 183785-31-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 100 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:279487 CAPLUS
DOCUMENT NUMBER: 133:187556
TITLE: Steady state plasma levels of the enantiomers of trimipramine and of its metabolites in CYP2D6-, CYP2C19- and CYP3A4/5-phenotyped patients
AUTHOR(S): Eap, Chin B.; Bender, Stefan; Gastpar, Markus; Fischer, Wilhelm; Haarmann, Caecilia; Powell, Kerry; Jonzier-Perey, Michele; Cochard, Nathalie; Baumann, Pierre
CORPORATE SOURCE: Unite de Biochimie et Psychopharmacologie Clinique, Departement Universitaire de Psychiatrie Adulte, Prilly-Lausanne, Switz.
SOURCE: Therapeutic Drug Monitoring (2000), 22(2), 209-214
CODEN: TDMODV; ISSN: 0163-4356
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Steady state plasma concns. of the (L)- and (D)-enantiomers of trimipramine (TRI), desmethyltrimipramine (DTRI), 2-hydroxytrimipramine (TRIOH) and 2-hydroxydesmethyl-trimipramine (DTRIOH) were measured in 27 patients receiving between 300 and 400 mg/day racemic TRI. The patients were phenotyped with dextromethorphan and mephenytoin, and the 8-h urinary ratios of dextromethorphan/dextrorphan, dextromethorphan/3-methoxymorphinan, and (S)-mephenytoin/(R)-mephenytoin were used as markers of cytochrome P-450IID6 (CYP2D6), CYP3A4/5 and CYP2C19 activities, resp. One patient was a CYP2D6 and one was a CYP2C19 poor metabolizer. A stereoselectivity in the metab. of TRI has been found, with a preferential N-demethylation of (D)-TRI and a preferential hydroxylation of (L)-TRI. CYP2D6 appears to be involved in the 2-hydroxylation of (L)-TRI, (L)-DTRI and (D)-DTRI, but not of (D)-TRI, as significant correlations were measured between the dextromethorphan/dextrorphan ratios and the (L)-TRI/(L)-TRIOH (r = 0.45, p = 0.019), the (L)-DTRI/(L)-DTRIOH (r = 0.47, p = 0.014), and the (D)-DTRI/(D)-DTRIOH (r = 0.51, p = 0.006), but not with the (D)-TRI/(D)-TRIOH ratios (r = 0.29, NS). CYP2C19, but not CYP2D6, appears to be involved in the demethylation pathway, with a stereoselectivity toward the (D)-enantiomer of TRI, as a significant pos. correlation was calcd. between the mephenytoin (S)/(R) ratios and the concns. to dose-to-wt. ratios of (D)-TRI (r = 0.69, p = 0.00006). CYP3A4/5 appears to be involved in the metab. of (L)-TRI to a presently

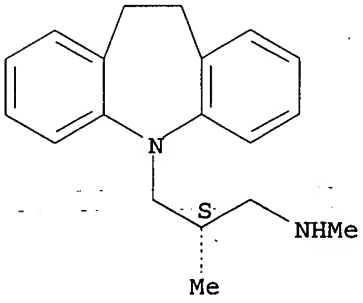
not detd. metabolite. The CYP2D6 poor metabolizer had the highest (L)-DTRI and (D)-DTRI concns. to dose-to-wt. ratios, and the CYP2C19 poor metabolizer had the highest (L)-TRI and (D)-TRI concns. to dose-to-wt. ratios of the group.

IT **198817-90-2**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(steady state plasma levels of the enantiomers of trimipramine and of its metabolites in CYP2D6-, CYP2C19- and CYP3A4/5-phenotyped patients)

RN 198817-90-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,.beta.-dimethyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 101 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:277964 CAPLUS
DOCUMENT NUMBER: 132:308362
TITLE: Preparation of tricyclic compounds for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR)
INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.; Reddy's Research Foundation
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023425	A1	20000427	WO 1999-DK570	19991019
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9961902	A1	20000508	AU 1999-61902	19991019
EP 1123279	A1	20010816	EP 1999-948738	19991019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

JP 2002527507	T2	20020827	JP 2000-577153		19991019
US 6468996	B1	20021022	US 1999-419761		19991019
US 2002103188	A1	20020801	US 2002-76574		20020208
US 2002111344	A1	20020815	US 2002-76573		20020208
US 2002115657	A1	20020822	US 2002-76575		20020208
PRIORITY APPLN. INFO.:			DK 1998-1352	A	19981021
			US 1998-105912P	P	19981028
			US 1999-419761	A3	19991019
			WO 1999-DK570	W	19991019

OTHER SOURCE(S): MARPAT 132:308362
GI

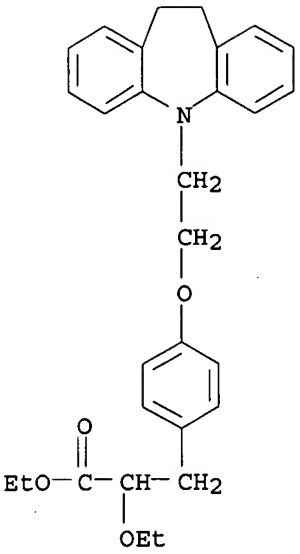
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1-R4 = H, halo, perhalomethyl, etc.; R1 and R2, R2 and R3, R3 and R4 may form (un)substituted cyclic ring contg. 5-7 carbon atoms; A = (un)substituted 5-6 membered cyclic ring; X = a bond, CH:CH, OCH2O, etc.; Ar = (un)substituted arylene, heteroarylene, divalent heterocyclic group; R5 = H, OH, halo, etc.; R6 = H, OH, halo, etc.; R7 = H, alkyl, alkenyl, etc.; R8 = H, alkyl, alkenyl, etc.; Y = O, S, NH, etc.; n = 1-4; m = 0-1], useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR) (e.g., in the treatment of diabetes and/or obesity), were prepd. and formulated. Thus, reacting 2-(10,11-dihydrodibenzo[b,f]azepin-5-yl)ethanol with Et 2-ethoxy-3-(4-hydroxyphenyl)propionate in the presence of triphenylphosphine and di-Et azodicarboxylate afforded 90% II. Compds. I are effective at 0.1-70 mg/day in the treatment of adult humans.

IT **265300-87-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of tricyclic compds. for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR))

RN 265300-87-6 CAPLUS

CN Benzenepropanoic acid, 4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]-.alpha.-ethoxy-, ethyl ester (9CI) (CA INDEX NAME)

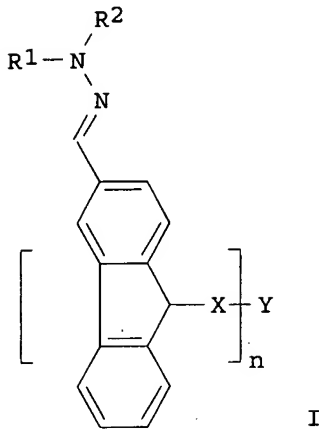


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 102 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:260734 CAPLUS
DOCUMENT NUMBER: 132:286315
TITLE: Organic electrophotographic photoreceptor containing hydrazone charge-transporting agent
INVENTOR(S): Mott, Andrew W.; Owen, David J.; Jubran, Nusrallah; Attwood, Martin D.; Barcock, Richard A.
PATENT ASSIGNEE(S): Imation Corp., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000022483	A1	20000420	WO 1999-US19119	19990824
W: JP, KR				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6066426	A	20000523	US 1998-172379	19981014
US 6140004	A	20001031	US 1999-465023	19991216

PRIORITY APPLN. INFO.: US 1998-172379 A 19981014
OTHER SOURCE(S): MARPAT 132:286315
GI



I

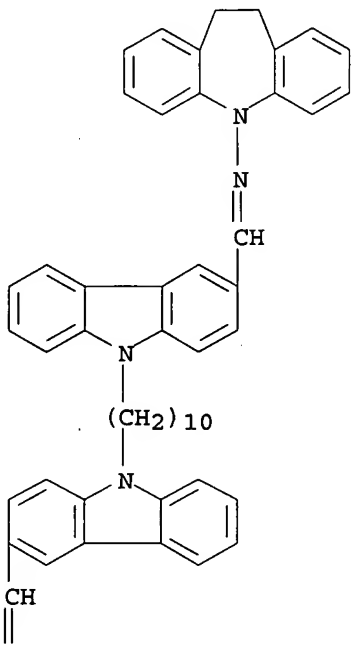
AB An org. electrophotog. photoreceptor comprises (a) a charge-transporting agent represented by the formula I (n = an integer between 2 and 6; R1, R2 = alkyl, cycloalkyl, or aryl or R1 and R2 combining with the N atom to form a ring; Y = a bond, C, CR3, aryl, cycloalkyl, or cyclosiloxyl; R3 = H, alkyl , or aryl; and X = a linking group having the formula (CH2)m where m = an integer between 4 and 10 with the proviso that one or more of the methylene groups is optionally replaced by O, CO, or an ester group) and (b) a charge-generating compd. on an electroconductive substrate.

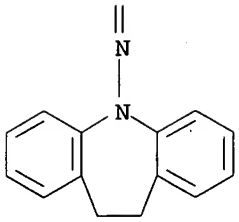
IT **263858-53-3P**
RL: DEV (Device component use); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(synthesis and use as charge-transporting agent for org. electrophotog. photoreceptors)

RN 263858-53-3 CAPLUS

CN 5H-Dibenz[b,f]azepin-5-amine, N,N'-[1,10-decanediylbis(9H-carbazole-9,3-diylmethylidyne)]bis[10,11-dihydro- (9CI) (CA INDEX NAME)

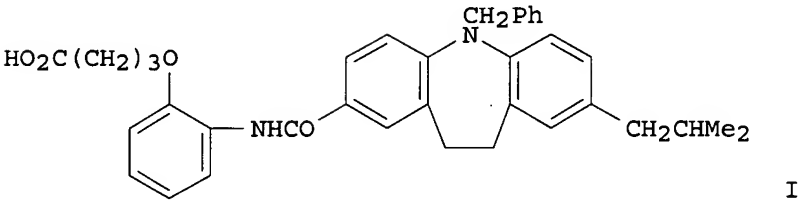
PAGE 1-A





REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 103 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:240406 CAPLUS
DOCUMENT NUMBER: 133:17370
TITLE: Synthesis of tricyclic compounds as steroid 5.alpha.-reductase inhibitors
AUTHOR(S): Takami, Hitoshi; Nonaka, Hiromi; Kishibayashi, Nobuyuki; Ishii, Akio; Kase, Hiroshi; Kumazawa, Toshiaki
CORPORATE SOURCE: Pharmaceutical Research Institute, Kyowa Hakko Kogyo Co., Ltd., Shizuoka, 411-8731, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(4), 552-555
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

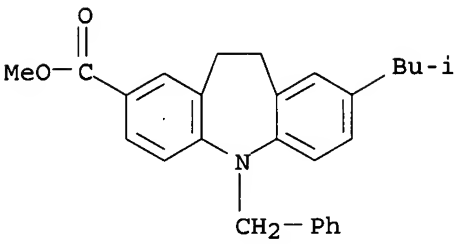


I

AB 4-Phenoxybutyric acid derivs. attached to a tricyclic skeleton were prepd. and evaluated as 5.alpha.-reductase inhibitors. Structure-activity relationships for these compds. in terms of rat epididymis (type 2) 5.alpha.-reductase inhibitory activities reveal that (1) the substitution pattern at the 11-position of dibenz[b,e]oxepin influenced potency, (2) higher lipophilicity of the tricyclic skeleton improved potency, whereas the existence of a basic nitrogen atom in this skeleton was detrimental to potency, and (3) iso-Bu substitution at the 8 position of the azepine skeleton was tolerated. Among the tricyclic compds. studied, I was the most potent inhibitor of rat type 2 5.alpha.-reductase at 0.1 .mu.M.

IT **271577-31-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(tricyclic compds. as steroid 5.alpha.-reductase inhibitors)
RN 271577-31-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-2-carboxylic acid, 10,11-dihydro-8-(2-methylpropyl)-

5-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)



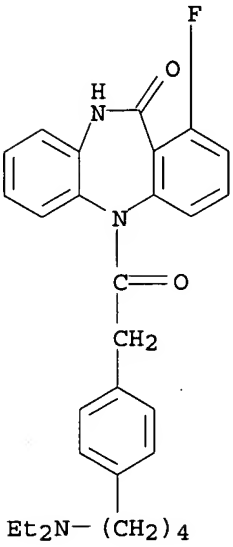
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 104 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:221253 CAPLUS
DOCUMENT NUMBER: 133:38104
TITLE: In vitro and in vivo m2 muscarinic subtype selectivity of some dibenzodiazepinones and pyridobenzodiazepinones
AUTHOR(S): Cohen, V. I.; Jin, B.; McRee, R. C.; Boulay, S. F.; Cohen, E. I.; Sood, V. K.; Zeeberg, B. R.; Reba, R. C.
CORPORATE SOURCE: N.W., 2300 Eye St., Walter G. Ross Hall, Section of Radiopharmaceutical Chemistry, George Washington University Medical Center, Washington, DC, USA
SOURCE: Brain Research (2000), 861(2), 305-315
CODEN: BRREAP; ISSN: 0006-8993
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Alzheimer's disease (AD) involves selective loss of muscarinic m2, but not m1, subtype receptors in cortical and hippocampal regions of the human brain. Emission tomog. study of the loss of m2 receptors in AD has been limited by the absence of available m2-selective radioligands, which can penetrate the blood-brain barrier. We now report on the in vitro and in vivo m2 muscarinic subtype selectivity of a series of dibenzodiazepinones and pyridobenzodiazepinones detd. by competition studies against (R)-3-quinuclidinyl (S)-4-iodobenzilate ((R,S)-[125I]IQNB) or [3H]QNB. Of the compds. examd., three of the 5-[[4-[(4-dialkylamino)butyl]-1-piperidinyl]acetyl]-10,11-dihydro-5-H-dibenzo[b,e][1,4]diazepin-11-ones (including DIBA) and three of the 11-[[4-[4-(dialkylamino)butyl]-1-phenyl]acetyl]-5,11-dihydro-6H-pyrido [2,3-b][1,4]benzodiazepin-6-ones (including PBID) exhibited both high binding affinity for the m2 subtype (.ltoreq.5 nM) and high m2/m1 selectivity (.gtoreq.10). In vivo rat brain dissection studies of the competition of PBID or DIBD against (R,S)[125I]IQNB or [3H]QNB exhibited a dose-dependent preferential decrease in the binding of the radiotracer in brain regions that are enriched in the m2 muscarinic subtype. In vivo rat brain autoradiog. studies of the competition of PBID, BIBN 99, or DIBD against (R,S)[125I]IQNB exhibited an insignificant effect of BIBN 99 and confirmed the effect of PBID and DIBD in decreasing the binding of (R,S)[125I]IQNB in brain regions that are enriched in the m2 muscarinic subtype. We conclude that PBID and DIBD are potentially useful parent compds. from which in vivo m2 selective derivs. may be prepd. for potential use in positron emission tomog. (PET) study of the loss of m2 receptors in AD.

IT **213208-20-9**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(In vitro and in vivo m2 muscarinic subtype selectivity of dibenzodiazepinones and pyridobenzodiazepinones for potential use in

tomog. brain imaging)
RN 213208-20-9 CAPLUS
CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5-[[4-[4-(diethylamino)butyl]phenyl]acetyl]-1-fluoro-5,10-dihydro- (9CI) (CA INDEX NAME)



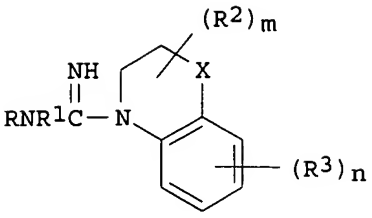
REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 105 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:113097 CAPLUS
DOCUMENT NUMBER: 132:151671
TITLE: Preparation of indoline derivatives and 1,2,3,4-tetrahydroquinoline derivatives useful for the treatment or prophylaxis of neurological injury and neurodegenerative disorders
INVENTOR(S): Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA
SOURCE: U.S., 41 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6025355	A	20000215	US 1997-858399	19970519
US 6358993	B1	20020319	US 1999-425582	19991022
US 2002099084	A1	20020725	US 2001-38178	20011109
US 6514990	B2	20030204		

PRIORITY APPLN. INFO.: US 1996-601992 B2 19960215
WO 1997-US2678 A1 19970214
US 1997-858399 A3 19970519
US 1999-425582 A1 19991022
OTHER SOURCE(S): MARPAT 132:151671
GI

10/ 076,573



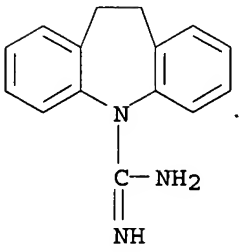
I

AB The title compds., e.g. I (R, R1 = H, alkyl, alkenyl, alkoxy, alkylthio, etc.; R2, R3 = H, halo, OH, alkyl, etc.; X = sulfinyl, sulfonyl; m, n = 0-4), useful for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders, were prepd. E.g., N-(1-naphthyl)-4-(2,3-dihydro[1,4]benzothiazinyl)carboximidamide was prepd. Anticonvulsant activity of some of the compds. was detd.

IT **195437-36-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and anticonvulsant activity of indoline derivs. and 1,2,3,4-tetrahydroquinoline derivs.)

RN 195437-36-6 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboximidamide, 10,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 198 THERE ARE 198 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 106 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:795789 CAPLUS

DOCUMENT NUMBER: 132:35516

TITLE: Preparation of phenyl amides and ureas as neuropeptide Y5 receptor antagonists

INVENTOR(S): Dugar, Sundeep; Neustadt, Bernard R.; Stamford, Andrew W.; Wu, Yusheng

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964394	A1	19991216	WO 1999-US11795	19990607
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2334298	AA	19991216	CA 1999-2334298	19990607
AU 9943178	A1	19991230	AU 1999-43178	19990607
EP 1086078	A1	20010328	EP 1999-955470	19990607
EP 1086078	B1	20030205		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
JP 2002517483	T2	20020618	JP 2000-553404	19990607
AT 232200	E	20030215	AT 1999-955470	19990607

PRIORITY APPLN. INFO.: US 1998-93132 A2 19980608
WO 1999-US11795 W 19990607

OTHER SOURCE(S): MARPAT 132:35516

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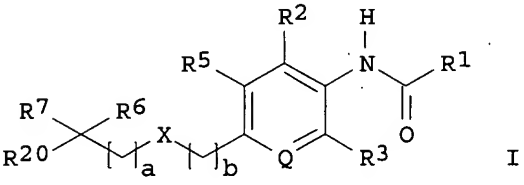


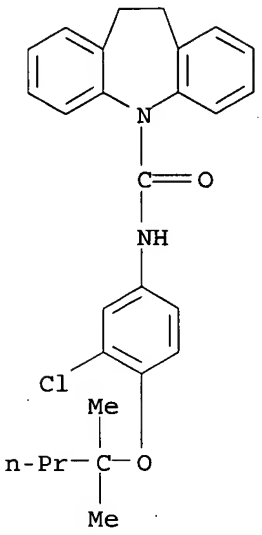
I

AB The title compds. [I; a, b = 0-2, provided that the sum a + b = 0-3; Q = CR4, N; X = O, S, SO, etc.; R1 = (un)substituted aryl, heteroaryl, amino, etc.; R2-R5 = H, alkyl, (un)substituted cycloalkyl, etc.; R6, R7 = H, alkyl, alkenyl, etc.; CR6R7 = 3-7-membered carbocyclic ring, 4-7-membered heterocyclic ring; R20 = alkyl, cycloalkyl, hydroxyalkyl, etc.], useful in the treatment of eating disorders and diabetes, were prepd. Thus, amidation of 4-[4,4-dimethylbutylthio]aniline with trimethylacetyl chloride in CH2Cl2 afforded 76% I [Q = CH; R1 = Me3C; R2 = R3 = R5 = H; R6 = R7 = Me; R20 = Pr; X = S; a = b = 0]. For the compds. I, a range of neuropeptide Y5 receptor binding activity from 0.1-1000 nM was obsd.

IT **252346-34-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of Ph amides and ureas as neuropeptide Y5 receptor antagonists)

RN 252346-34-2 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, N-[3-chloro-4-(1,1-dimethylbutoxy)phenyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 107 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:690954 CAPLUS
DOCUMENT NUMBER: 131:307106
TITLE: Use of vitamin PP compounds as cytoprotective agents in chemotherapy
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja
PATENT ASSIGNEE(S): Klinge Pharma GmbH, Germany
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9953920	A1	19991028	WO 1999-EP2686	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19818044	A1	19991028	DE 1998-19818044	19980422
EP 1031564	A1	20000830	EP 1999-103814	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 9939282	A1	19991108	AU 1999-39282	19990421
EP 1079832	A1	20010307	EP 1999-922119	19990421
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002512190	T2	20020423	JP 2000-544324	19990421
WO 2000050399	A1	20000831	WO 2000-EP1628	20000228

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1154998	A1	20011121	EP 2000-907642	20000228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002537380	T2	20021105	JP 2000-600982	20000228
US 2002160968	A1	20021031	US 2001-935772	20010823
US 6506572	B2	20030114		

PRIORITY APPLN. INFO.:

DE 1998-19818044	A	19980422
EP 1999-103814	A	19990226
WO 1999-EP2686	W	19990421
WO 2000-EP1628	W	20000228

OTHER SOURCE(S): MARPAT 131:307106

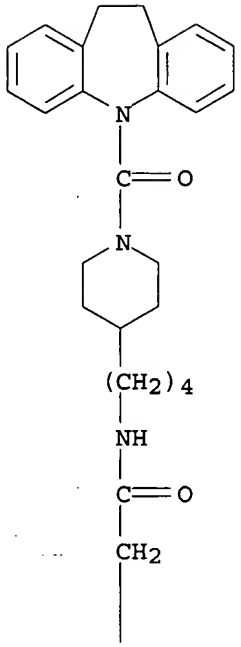
AB The invention relates to the use of vitamin PP compds. and/or compds. with anti-pellagra activity such as for example nicotinic acid (niacin), and nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the redn., elimination or prevention of side-effects of different degrees as well as for neutralization of acute side-effects in immunosuppressive or cancerostatic chemotherapy or diagnosis, esp. with substituted pyridine carboxamides, as well as combination medicaments with an amt. of compds. with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents are esp. considered in the mentioned chemotherapies and indications. Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-yl)propionamide. There were no deaths in the nicotinamide-treated mice and the strong redn. of leukocytes was completely prevented.

IT **200868-28-6**
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(vitamin PP compds. as cytoprotective agents in chemotherapy)

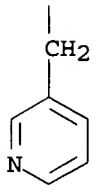
RN 200868-28-6 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



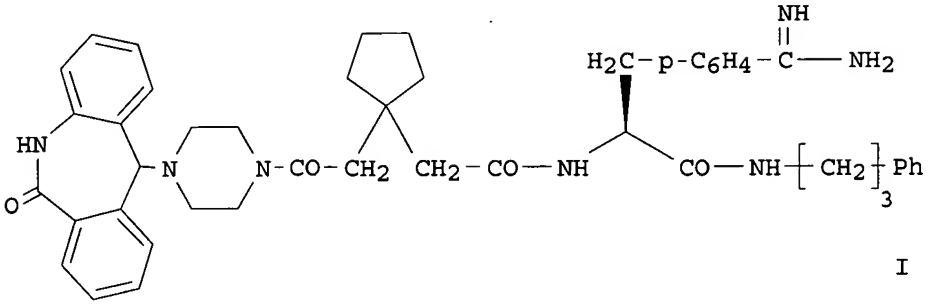
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 108 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:684270 CAPLUS
DOCUMENT NUMBER: 131:286831
TITLE: Preparation of piperazine-containing peptidomimetics for use as NPY antagonists
INVENTOR(S): Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Mihm, Gerhard; Doods, Henri; Willim, Klaus-Dieter; Krause, Juergen; Wieland, Heike-Andrea; Schnorrenberg, Gerd; Esser, Franz; Dollinger, Horst
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

10/ 076,573

DE 19816889 A1 19991021 DE 1998-19816889 19980416
PRIORITY APPLN. INFO.: DE 1998-19816889 19980416
OTHER SOURCE(S): MARPAT 131:286831
GI



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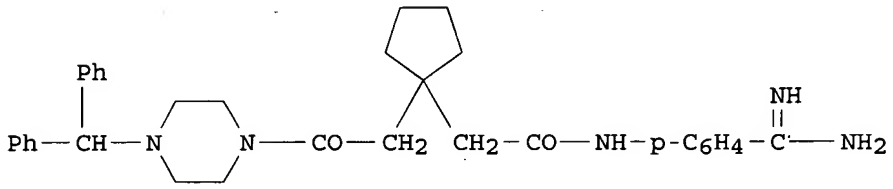


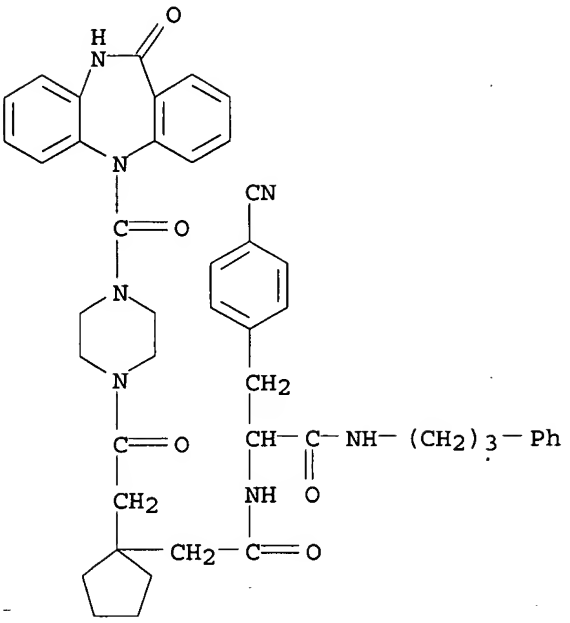
II

AB Title compds. (e.g. I) were prepd. for use as NPY antagonists for pharmacol. use. Thus, 1,1-cyclopentane-diacetic acid anhydride was reacted with 4-amino-benzonitrile and then with 1-(diphenyl-methyl)piperazine to give a cyano-product which was hydrogenated to the amino-imine (II). In in vitro tests with NPY receptors prepd. from rabbits, title compds. had IC50 .ltoreq.10,000 nM.

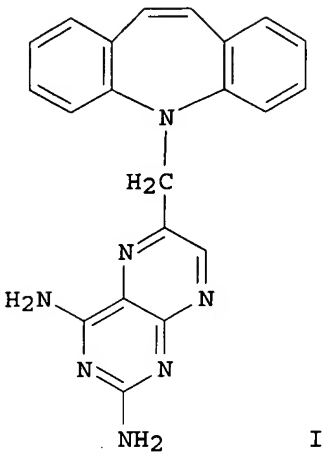
IT **246515-37-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of as peptidomimetics for use as NPY antagonists)

RN 246515-37-7 CAPLUS

CN Benzenepropanamide, 4-cyano-.alpha.-[[[1-[2-[4-[(10,11-dihydro-11-oxo-5H-dibenzo[b,e][1,4]diazepin-5-yl)carbonyl]-1-piperazinyl]-2-oxoethyl]cyclopentyl]acetyl]amino]-N-(3-phenylpropyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 109 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:670475 CAPLUS
DOCUMENT NUMBER: 132:8720
TITLE: Structure-Based Design of Selective Inhibitors of Dihydrofolate Reductase: Synthesis and Antiparasitic Activity of 2,4-Diaminopteridine Analogues with a Bridged Diarylamine Side Chain
AUTHOR(S): Rosowsky, Andre; Cody, Vivian; Galitsky, Nikolai; Fu, Hongning; Papoulis, Andrew T.; Queener, Sherry F.
CORPORATE SOURCE: Dana-Farber Cancer Inst., Dep. Biol. Chem., and Mol. Pharmacol., Harvard Med. Sch., Boston, MA, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(23), 4853-4860
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



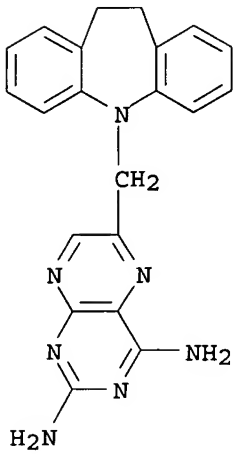
I

AB As part of a larger search for potent as well as selective inhibitors of dihydrofolate reductase (DHFR) enzymes from opportunistic pathogens found in patients with AIDS and other immune disorders, N-[(2,4-diaminopteridin-6-yl)methyl]dibenz[b,f]azepine (I) and the corresponding dihydrodibenz[b,f]azepine, dihydroacridine, phenoxazine, phenothiazine, carbazole, and diphenylamine analogs were synthesized from 2,4-diamino-6-(bromomethyl)pteridine in 50-75% yield by reaction with the sodium salts of the amines in dry THF at room temp. The products were tested for the ability to inhibit DHFR from Pneumocystis carinii (pcDHFR), Toxoplasma gondii (tgDHFR), Mycobacterium avium (maDHFR), and rat liver (rlDHFR). The member of the series with the best combination of potency and species selectivity was I, with IC50 values against the four enzymes of 0.21, 0.043, 0.012, and 4.4 .mu.M, resp. The dihydroacridine, phenothiazine, and carbazole analogs were also potent, but nonselective. Of the compds. tested, I was the only one to successfully combine the potency of trimetrexate with the selectivity of trimethoprim. Mol. docking simulations using published 3D structural coordinates for the cryst. ternary complexes of pcDHFR and hDHFR suggested a possible structural interpretation for the binding selectivity of I and the lack of selectivity of the other compds. According to this model, I is selective because of a unique propensity of the seven-membered ring in the dibenz[b,f]azepine moiety to adopt a puckered orientation that allows it to fit more comfortably into the active site of the P. carinii enzyme than into the active site of the human enzyme. Compd. I was also evaluated for the ability to be taken up into, and retard the growth of, P. carinii and T. gondii in culture. The IC50 of I against P. carinii trophozoites after 7 days of continuous drug treatment was 1.9 .mu.M as compared with previously obsd. IC50 values of >340 .mu.M for trimethoprim and 0.27 .mu.M for trimetrexate. In an assay involving [3H]uracil incorporation into the nuclear DNA of T. gondii tachyzoites as the surrogate endpoint for growth, the IC50 of I after 5 h of drug exposure was 0.077 .mu.M. The favorable combination of potency and enzyme selectivity shown by I suggests that this novel structure may be an interesting lead for structure-activity optimization.

IT **251658-84-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antiparasitic activity of 2,4-diaminopteridine analogs)

RN 251658-84-1 CAPLUS

CN 2,4-Pteridinediamine, 6-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)methyl]- (9CI) (CA INDEX NAME)



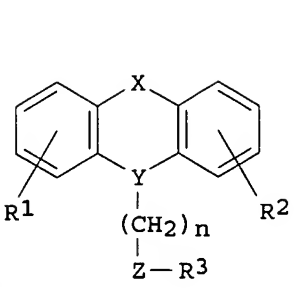
REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 110 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:613895 CAPLUS
DOCUMENT NUMBER: 131:243192
TITLE: Preparation of novel heterocyclic compounds (dibenzazepines and analogs) for treatment of painful and inflammatory conditions
INVENTOR(S): Hohlweg, Rolf; Jorgensen, Tine Krogh; Andersen, Knud Erik; Olsen, Uffe Bang; Polivka, Zdenek; Sindelar, Karel
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

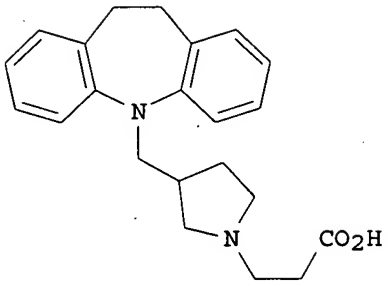
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9947517	A1	19990923	WO 1999-DK135	19990316
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6214816	B1	20010410	US 1999-266236	19990310
AU 9928259	A1	19991011	AU 1999-28259	19990316
EP 1071679	A1	20010131	EP 1999-908771	19990316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002506863	T2	20020305	JP 2000-536712	19990316

PRIORITY APPLN. INFO.: DK 1998-366 A 19980317
US 1998-78954P P 19980323
WO 1999-DK135 W 19990316

OTHER SOURCE(S): MARPAT 131:243192
GI



I



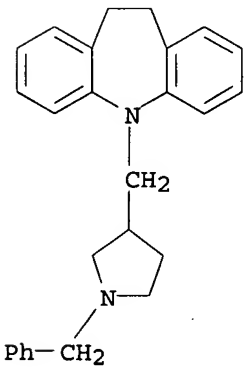
II

AB The invention relates to novel N-substituted azaheterocyclic compds. I [wherein X = o-C6H4, O, S, (un)substituted CH2, CO, CH2CH2, CH:CH, NHCO, CH2O, CH2S, etc.; Y = trivalent groups N(CH2), C(:CH), or CH(CH2) (where the ring atom is 1st and the sidechain atom 2nd); R1, R2 = H, halo, CF3, OH, C1-6 alkyl or alkoxy; Z = nucleus selected from piperidine, (alkyl)piperazine, (thio)morpholine, pyrrolidine, tetrahydro(iso)quinoline, or aminocyclohexane; R3 (bound at N atom of Z) = (CH2)mOH or (CH2)pCOR4; m, p = 1-4; R4 = OH, NH2, NHOH, or C16 alkoxy; n = 0-2], or salts thereof. The invention also relates to methods for prepn. of the compds., to compns. contg. them, and to their use for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation. Also disclosed is use of the compds. for treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, e.g., non-insulin-dependent diabetes mellitus (NIDDM) and ageing-assocd. obesity. For instance, 10,11-dihydro-5H-dibenzo[b,f]azepine underwent a sequence of: (1) N-alkylation by 1-benzyl-3-(chloromethyl)pyrrolidine (15%), (2) hydrogenolytic debenzylation (78%), N-alkylation by BrCH2CH2CO2Et (89%), and finally alk. hydrolysis (69%), to give title compd. II, isolated as the hydrochloride. In the histamine-induced rat paw edema test, II.HCl gave 56% inhibition at 1.0 mg/kg i.p.

IT **244196-38-1P**, 5-[(1-Benzylpyrrolidin-3-yl)methyl]-10,11-dihydro-5H-dibenzo[b,f]azepine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of dibenzazepines and analogs for treatment of painful and inflammatory conditions)

RN 244196-38-1 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[[1-(phenylmethyl)-3-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

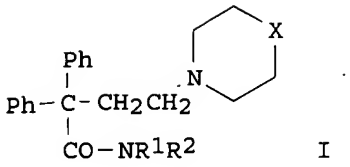


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 111 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:576930 CAPLUS
DOCUMENT NUMBER: 131:199712
TITLE: Preparation of heterocyclic compounds as glycine transport inhibitors
INVENTOR(S): Luyten, Walter Herman Maria Louis; Janssens, Frans Eduard; Kennis, Ludo Edmond Josephine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945011	A1	19990910	WO 1999-EP1308	19990226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2322136	AA	19990910	CA 1999-2322136	19990226
AU 9932544	A1	19990920	AU 1999-32544	19990226
BR 9907953	A	20001024	BR 1999-7953	19990226
EP 1058684	A1	20001213	EP 1999-937930	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
EE 200000483	A	20020215	EE 2000-483	19990226
JP 2002505332	T2	20020219	JP 2000-534553	19990226
BG 104686	A	20010430	BG 2000-104686	20000811
NO 2000004432	A	20001102	NO 2000-4432	20000905

PRIORITY APPLN. INFO.: EP 1998-200700 A 19980306
WO 1999-EP1308 W 19990226
OTHER SOURCE(S): MARPAT 131:199712
GI



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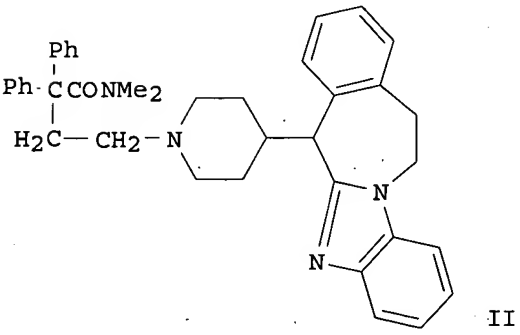


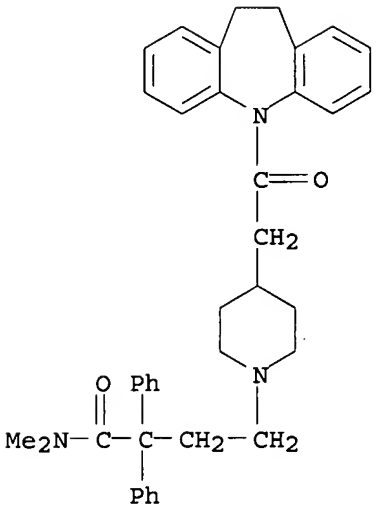
II

AB The present invention is concerned with the use of glycine transport inhibiting .alpha.,.alpha.-diphenyl-1-piperidinebutanamides for the prepn. of medicaments, title compds. I (R1, R2, = H, alkyl; X = CR4R5; R4 = H, OH, etc.; R5 = diarylmethyloxyalkyl, etc) for treating disorders of the central and peripheral nervous system, in particular psychoses, pain, epilepsy, neurodegenerative diseases (Alzheimer's disease), stroke, head trauma, multiple sclerosis and the like. The title compd. II was prepd. Formulations are given. The invention further comprises novel compds., their prepn. and their pharmaceutical forms. The bioactivity of II was demonstrated.

IT **241130-30-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as glycine transport inhibitors)

RN 241130-30-3 CAPLUS

CN 1-Piperidinebutanamide, 4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-2-oxoethyl]-N,N-dimethyl-.alpha.,.alpha.-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 112 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:529117 CAPLUS
DOCUMENT NUMBER: 131:175073
TITLE: Stable hyperforin salts, method for their production, and their use in treatment of Alzheimer's disease
INVENTOR(S): Chatterjee, Shyam Sunder; Erdelmeier, Clemens; Klessing, Klaus; Marme, Dieter; Schaechtele, Christoph
PATENT ASSIGNEE(S): Dr. Willmar Schwabe G.m.b.H. und Co., Germany
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9941220	A1	19990819	WO 1999-EP737	19990204
W: AU, CA, DE, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2320091	AA	19990819	CA 1999-2320091	19990204
EP 1056705	A1	20001206	EP 1999-908845	19990204
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002503646	T2	20020205	JP 2000-531418	19990204
AU 743956	B2	20020207	AU 1999-28312	19990204
US 6444662	B1	20020903	US 2000-622151	20000811

PRIORITY APPLN. INFO.: DE 1998-19805947 A 19980213
WO 1999-EP737 W 19990204
OTHER SOURCE(S): MARPAT 131:175073

AB New hyperforin and adhyperforin salts are purified from St. John's wort exts. for use in causal and symptomatic treatment of Alzheimer's disease. The salts are stable during storage. The cation of said salts is an alkali metal ion or an ion of a salt-forming quaternary ammonium base, amine, or polyamine which is preferably a pharmaceutically active ingredient such as an antidepressant, anxiolytic, Ca2+ antagonist, or .beta.-receptor blocker. The salts activate protein kinase C isoenzyme .gamma. and .alpha.-secretase and inhibit formation of .beta.-amyloid. Thus, 200 g CO2 ext. of Hypericum was extd. with n-heptane/iso-PrOH (98:2)

in the presence of Na2SO4, filtered, and dicyclohexylamine was added dropwise to ppt. the crude dicyclohexylamine salt of hyperforin/adhyperforin, which was recrystd. from MTBE/pentane.

IT **238074-28-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(stable hyperforin salts, method for their prodn., and their use in treatment of Alzheimer's disease)

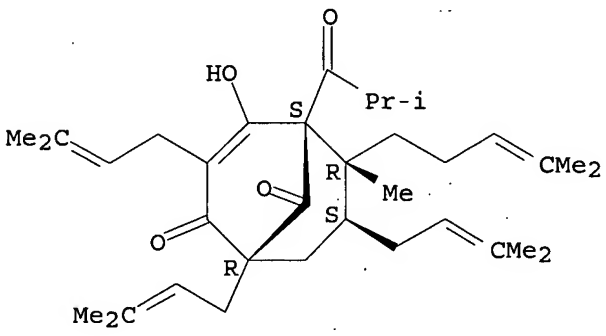
RN 238074-28-7 CAPLUS

CN Bicyclo[3.3.1]non-3-ene-2,9-dione, 4-hydroxy-6-methyl-1,3,7-tris(3-methyl-2-butenyl)-5-(2-methyl-1-oxopropyl)-6-(4-methyl-3-pentenyl)-, (1R,5S,6R,7S)-, compd. with 10,11-dihydro-N,N-dimethyl-5H-dibenz[b,f]azepine-5-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

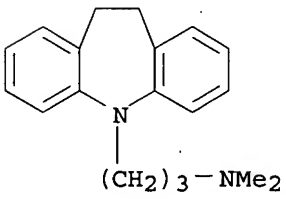
CRN 11079-53-1
CMF C35 H52 O4

Absolute stereochemistry.



CM 2

CRN 50-49-7
CMF C19 H24 N2



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 113 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:512075 CAPLUS
DOCUMENT NUMBER: 131:286423
TITLE: One-pot synthesis of pharmacologically active diamines via rhodium-catalyzed carbonylative hydroaminomethylation of heterocyclic allylic amines
AUTHOR(S): Rische, Thorsten; Muller, Kai-Sven; Eilbracht, Peter
CORPORATE SOURCE: Organische Chemie I (FB 3), Universitat Dortmund,

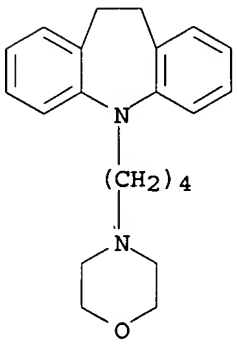
10/ 076,573

Dortmund, D-44221, Germany
SOURCE: Tetrahedron (1999), 55(32), 9801-9816
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:286423

AB Pharmacol. active derivs. of phenothiazine, iminodibenzyl, carbazole and pyrazole are prepd. with high yields and chemoselectivity by the reaction of the corresponding N-allylic or N-methallylic compds., primary or secondary amines, carbon monoxide and hydrogen in the presence of [Rh(cod)Cl]2 as catalyst via a one pot hydroformylation-amine condensation-redn. sequence.

IT **246041-26-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(one-pot synthesis of diamines via rhodium-catalyzed carbonylative hydroaminomethylation of heterocyclic allylic amines)

RN 246041-26-9 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[4-(4-morpholinyl)butyl]- (9CI) (CA INDEX NAME)



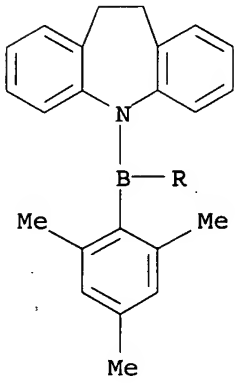
REFERENCE COUNT: 106 THERE ARE 106 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

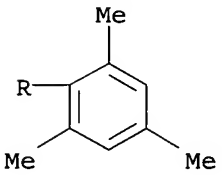
L7 ANSWER 114 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:434462 CAPLUS
DOCUMENT NUMBER: 131:184996
TITLE: Dimesitylaminoboranes and unsymmetric triaminoboranes. Stability of aminodioxaboroles and dimesitylboroxyethanol
AUTHOR(S): Maarouf, Z. Ben; Chazalette, C.; Riviere-Baudet, M.; Riviere, P.
CORPORATE SOURCE: Laboratoire de Chimie Organique et Organometallique, Universite Ibnou Zohr, Agadir, Morocco
SOURCE: Main Group Metal Chemistry (1999), 22(6), 405-412
CODEN: MGMCE8; ISSN: 0792-1241
PUBLISHER: Freund Publishing House Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Bulky dimesitylaminoboranes, unsym. triaminoboranes and an amino boron sulfonamide were prepd. either by transmetalation or transamination reactions. From tris(diethylamino)borane, diethylaminodioxaborole was obtained either by protic cleavage by 3,5-di-t-butylcatechol or by addn. reaction of 3,5-di-t-butyl-o-quinone through S.E.T. in the first step of the reaction. From the same tris(diethylamino)borane, 1,2-ethanediol did not lead to the expected diethylaminodioxaborolane but to 2,5,7,10,11,14-hexaoxa-1,6-diborane bicyclo[4.4.4]tetradecane.

2-Dimesitylboroxyethanol, isolated as a white powder, is not thermally stable and leads either to 1,2-bis(dimesitylboroxy)ethane or to 1,3-dioxaborolane with mesitylene elimination. Dimesitylboranes undergo nucleophilic substitution of a mesityl group in the presence of a strong nucleophile.

IT **240432-74-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 240432-74-0 CAPLUS
CN 5H-Dibenz[b,f]azepine, 5-[bis(2,4,6-trimethylphenyl)boryl]-10,11-dihydro-(9CI) (CA INDEX NAME)





REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 115 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:404950 CAPLUS
DOCUMENT NUMBER: 131:58843
TITLE: preparation of 3-piperidyl-4-oxoquinazoline derivatives as medicinal compositions
INVENTOR(S): Sato, Motohide; Katsushima, Takeo; Kinoshita, Hajime
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 142 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931085	A1	19990624	WO 1998-JP5628	19981211

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,

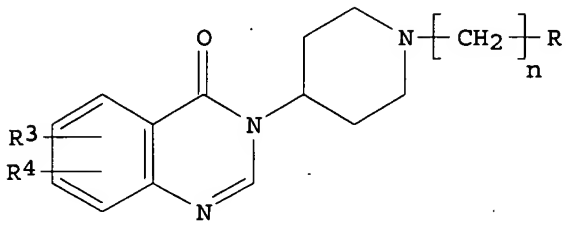
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

JP 11228569	A2	19990824	JP 1998-288979	19981012	
JP 2959765	B2	19991006			
ZA 9811315	A	19990630	ZA 1998-11315	19981210	
AU 9915068	A1	19990705	AU 1999-15068	19981211	
AU 717963	B2	20000406			
EP 970954	A1	20000112	EP 1998-959187	19981211	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO					
BR 9807339	A	20000321	BR 1998-7339	19981211	
NZ 337118	A	20000327	NZ 1998-337118	19981211	
NO 9903868	A	19991012	NO 1999-3868	19990811	
US 6235730	B1	20010522	US 1999-367242	19991026	

PRIORITY APPLN. INFO.: JP 1997-362819 A 19971212
JP 1998-288979 A 19981012
WO 1998-JP5628 W 19981211

OTHER SOURCE(S): MARPAT 131:58843

GI



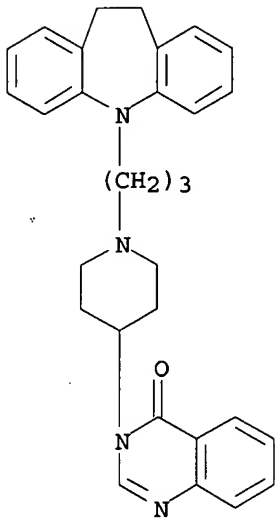
I

AB 3-Piperidyl-4-oxoquinazoline derivs. or pharmaceutically acceptable salts [I; R = amino substituted by optionally substituted aryl, heteroaryl, or cyclic amino such as dibenzazepine; n = integer from 1 to 4; R3, R4 = H, lower alkyl, etc.], having an excellent MTP-inhibitory activity, thus useful in inhibiting the formation of LDL causative of arteriosclerotic diseases and enabling the regulation of TG, cholesterol and lipoproteins such as LDL in the blood and cellular lipids via the regulation of the MTP activity, were prepd. I are expected also as a novel type of remedies or preventives for hyperlipemia or arteriosclerotic diseases and, moreover, as remedies or preventives for pancreatitis, obesity, hypercholesterolemia, hypertriglyceridemia, etc. Refluxing a mixt. of BrCH2CH2NPh2 and 3-(piperidin-4-yl)-3H-quinazolin-4-one contg. K2CO3 in MeCN gave 55% I (R = Ph2N, R3 = R4 = H, n = 2) (II). II.2HCl showed IC50 of 0.1 .mu.M against apolipoprotein B secretion and 0.6 .mu.M against triglyceride transport in vitro.

IT **227806-48-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-piperidyl-4-oxoquinazoline derivs. as medicinal compns.)

RN 227806-48-6 CAPLUS

CN 4(3H)-Quinazolinone, 3-[1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

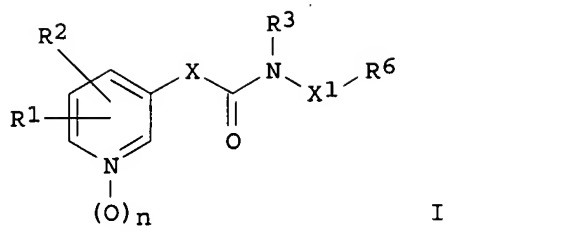


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 116 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:404933 CAPLUS
DOCUMENT NUMBER: 131:58757
TITLE: Aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides useful as cytostatic and immunosuppressive agents
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931064	A1	19990624	WO 1998-EP8272	19981216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19756261	A1	19990701	DE 1997-19756261	19971217
ZA 9811240	A	19990608	ZA 1998-11240	19981208
AU 9922740	A1	19990705	AU 1999-22740	19981216
EP 1042291	A1	20001011	EP 1998-966352	19981216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002508357	T2	20020319	JP 2000-538991	19981216

PRIORITY APPLN. INFO.: DE 1997-19756261 A 19971217
WO 1998-EP8272 W 19981216
OTHER SOURCE(S): MARPAT 131:58757
GI



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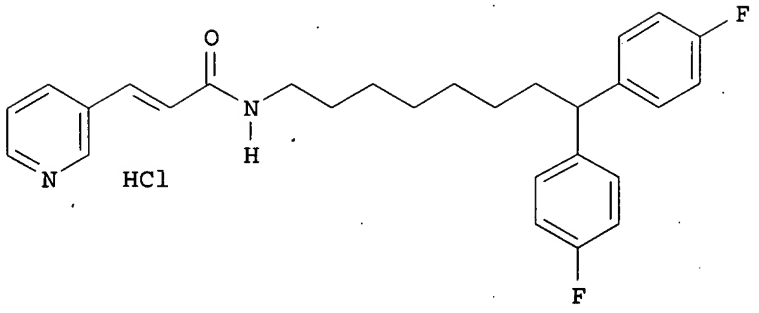


II

AB The pyridine-contg. carboxamides I [n = 0, 1; R1 = H, halo, cyano, alkyl, alkenyl, alkynyl, alkoxy, HO, H2NCO, alkylthio, PhO, pyridyloxy, R4R5N (R4, R5 = H, alkyl, alkenyl, alkynyl, aralkyl, aryl), etc.; R2 = H, halo, cyano, alkyl, fluoroalkyl, HO, alkoxy, PhCH2O, etc.; R3 = H, alkyl, alkenyl, alkynyl, HO, alkoxy, aralkyloxy, etc.; X = alkylene substituted by alkyl, HO, alkoxy, F, aryl; alkylene with methylene unit isosterically replaced by O, S, NH, substituted NH, CO, SO, SO2; 1,2-cyclopropylene, alkenylene, alkadienylene, hexatrienylene, ethynylene; X1 = substituted alkylene, alkenylene, alkynylene, and alkylene, alkenylene, or alkynylene with methylene units replaced by O, S, NH, substituted NH, CO, SO, or SO2; R6 = R7(CR8R9)m; m = 0, 1; R7 = aralkyl, heterocyclyl , carbocyclyl, R8, R9 = H, HO, alkyl alkenyl, alkynyl, cycloalkyl, aralkyl, etc.; R6 = R8R9C:; R8, R9 = as above or R8R9C: = carbocyclic or heterocyclic ring system bound over the C atom; R6 = R7(CR8R9)m-(CH2)p-X2; R7, R8, R9, m as above; p = 1-2; X2 = substituted NH, O, S; R6 = NR8R9, R8, R9 as above or NR8R9 = N-heterocyclyl ; R6 = R7(CR8R9)m-X3-CONH-; R7, R8, R9, m as above, X3 = bond, methylene, ethylene, cycloalkylene, etc.; R6 = substituted sulfonylamino; R6 = Ar(Ar1)P(O)-; Ar, Ar1 = aryl, heteroaryl] were prepd. for use as cytostatic and immunosuppressive agents. Thus, 3-(3-pyridinyl)acrylic acid was chlorinated with oxalyl chloride and then amidated with (4-FC6H4)2CH(CH2)7NH2 to give the N-octylacrylamide II which inhibited HepG2 cells from a human liver carcinoma with IC50 = 0.05 .mu.M.

IT **228114-92-9P**

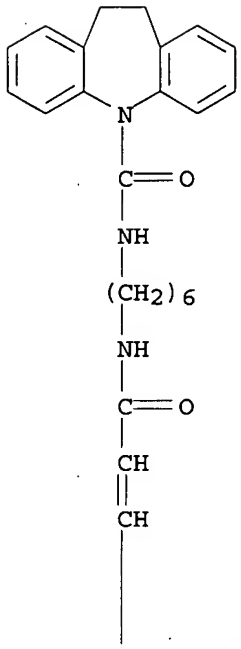
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aryl-substituted pyridyl alkane, alkene, and alkyne carboxamides as cytostatic and immunosuppressive agents)

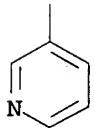
RN 228114-92-9 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-N-[6-[[1-oxo-3-(3-pyridinyl)-2-propenyl]amino]hexyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 117 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:404932 CAPLUS
DOCUMENT NUMBER: 131:58849
TITLE: New piperazinyl-substituted pyridylalkane, -alkene, and -alkyne carboxamides, with antitumor and immunosuppressive activities
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931063	A1	19990624	WO 1998-EP8268	19981216

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 19756236	A1	19990701	DE 1997-19756236	19971217
ZA 9811235	A	19990608	ZA 1998-11235	19981208
AU 9920543	A1	19990705	AU 1999-20543	19981216
EP 1060163	A1	20001220	EP 1998-965275	19981216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002508356	T2	20020319	JP 2000-538990	19981216

PRIORITY APPLN. INFO.: DE 1997-19756236 A 19971217
WO 1998-EP8268 W 19981216

OTHER SOURCE(S): MARPAT 131:58849

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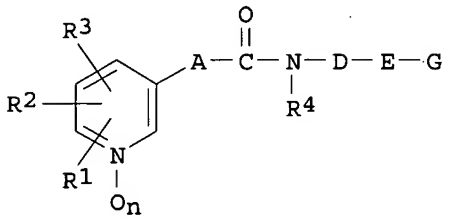

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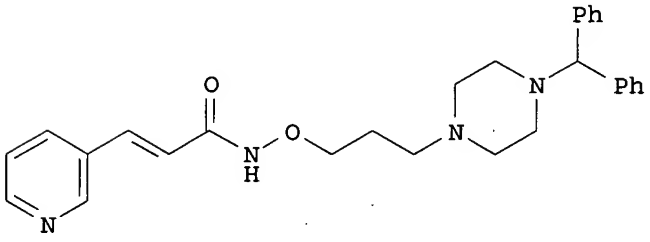


II

AB The invention relates to new piperazinyl-substituted pyridylalkanoic, -alkenoic, and alkynoic acid amides with a satd. or (poly)unsatd. hydrocarbon residue in the carboxylic acid group, and analogs, i.e., having formula I [R1 = H, OH, halo, cyano, CONH2, CO2H, (hetero)aryl, alkoxy, amino, (hetero)aryloxy, etc.; R2 = H, halo, cyano, alkyl, CF3, OH, etc.; or R1R2 = (CH2)4, (CH:CH)2, or CH2OCH2O or its (di)alkyl derivs.; R3 = H, halo, alkyl, CF3, hydroxyalkyl, etc.; R4 = H, OH, alk(en/yn)yl, cycloalkyl, alkoxy, aralkoxy; n = 0, 1; A = (un)substituted alkylene or hetero-isosteres, cycloalkylene, alkenylene, alkadienylene, or ethynylene; D = (un)substituted alkylene, alkenylene, alkynylene, or hetero-isosteres of them; E = (un)substituted (bis)(homo)piperazine bound at the N atoms; G = variety of terminal chains]. Also disclosed are methods for the prodn. of the compds., medicaments contg. them, and their prodn., as well as their therapeutic use, esp. as cytostatic agents and immunosuppressive agents, for example, in the treatment or prevention of various types of tumors, and control of immune reactions such as autoimmune diseases. For example, 3-(3-pyridyl)acrylic acid was activated with oxalyl chloride and condensed with O-[3-[4-(diphenylmethyl)piperazin-1-yl]propyl]hydroxylamine to give title compd. II. Several representative compds. inhibited various

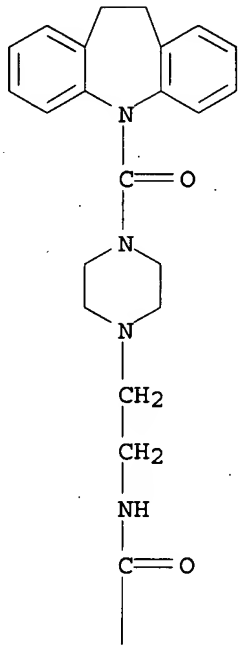
human tumor cells in vitro at low concns., e.g., with IC50 values of 0.1 nM to 10 .mu.M, and also showed immunosuppressive activity against mouse lymphocytes with IC50 values of 0.03-0.09 .mu.M.

IT **227775-68-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of piperazinyl-substituted pyridylalkanecarboxamides and analogs as cytostatics and immunosuppressants)

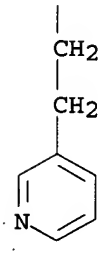
RN 227775-68-0 CAPLUS

CN 3-Pyridinepropanamide, N-[2-[4-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 118 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:404927 CAPLUS
DOCUMENT NUMBER: 131:44742

10/ 076,573

TITLE: Preparation and antiinflammatory activity of N-substituted azaheterocyclic compounds

INVENTOR(S): Joergensen, Tine Krogh; Fischer, Erik; Hohlweg, Rolf; Andersen, Knud Erik; Olsen, Uffe Bang; Sindelar, Karel; Silhankova, Alexandra; Konigova, Otylie; Polivka, Zdenek

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9931058	A1	19990624	WO 1998-DK550	19981214
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9916629	A1	19990705	AU 1999-16629	19981214
US 6048856	A	20000411	US 1998-211378	19981214
EP 1047673	A1	20001102	EP 1998-961079	19981214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002508353	T2	20020319	JP 2000-538985	19981214

PRIORITY APPLN. INFO.: DK 1997-1472 A 19971217
US 1997-82049 P 19971218
WO 1998-DK550 W 19981214

OTHER SOURCE(S): MARPAT 131:44742

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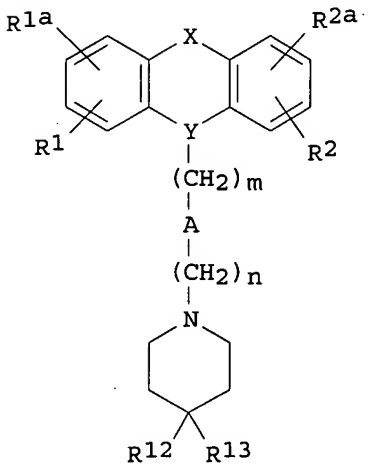


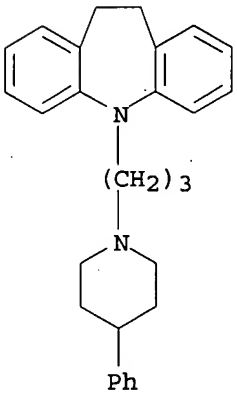
I

AB N-substituted azaheterocyclic compds. I [R1, R1a, R2, R2a = H, halo, cyano, OH, etc.; X = o-phenylene, O, S, CH2CH2, etc.; Y = N, CN, N(CO), etc.; A = C.tplbond.C, CO, C(:CH2), etc.; R12 = H, hydroxyalkyl, etc.; R13 = cyano, amino, etc.; m, n = 0-2], useful for clin. treatment of painful,

hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation as well as their use for treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, e.g. non-insulin-dependent diabetes mellitus (NIDDM) and ageing-assocd. obesity, were prepd. E.g., 1-(3-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)-1-propyl)-4-phenyl-4-piperidinecarboxylic acid was prepd.

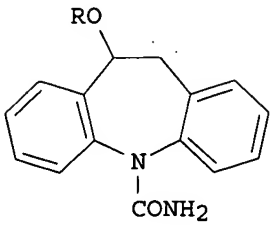
IT **227470-48-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and neurogenic antiinflammatory activity of azaheterocyclic compds.)

RN 227470-48-6 CAPLUS
CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-[3-(4-phenyl-1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 119 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:377062 CAPLUS
DOCUMENT NUMBER: 131:144508
TITLE: Anticonvulsant and sodium channel-blocking properties of novel 10,11-dihydro-5H-dibenz[b,f]azepine-5-carboxamide derivatives
AUTHOR(S): Benes, Jan; Parada, Antonio; Figueiredo, Anabela A.; Alves, Paula C.; Freitas, Ana P.; Learmonth, David A.; Cunha, Rodrigo A.; Garrett, Jose; Soares-da-Silva, Patricio
CORPORATE SOURCE: Department of Research Development, BIAL, S. Mamede do Coronado, 4785, Port.
SOURCE: Journal of Medicinal Chemistry (1999), 42(14), 2582-2587
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



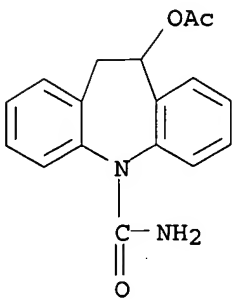
II

AB A series of esters of the major metabolite of oxcarbazepine (I), 10,11-dihydro-10-hydroxy-5H-dibenz[b,f]azepine-5-carboxamide, were synthesized and evaluated for their anticonvulsant and brain sodium channel-blocking properties. The compds. were assayed i.p. and per os in rats against seizures induced by maximal electroshock (MES). Neurol. deficit was evaluated by the rotarod test. The enantiomeric acetates (R)- and (S)-II (R = Ac) were the most active of the series against MES-induced seizures with oral ED50 values at tmax of 10.9 .+-. 2.3 and 4.7 .+-. 0.9 mg/kg, resp. After i.p. administration, carbamazepine (III) behaved more potently than I and all other new dibenz[b,f]azepine-5-carboxamide derivs. in the MES test; compds. I and (S)-II (R = Ac) were equally potent. In the rotarod test, low doses of III produced considerable motor impairment, which did not occur with I, enantiomeric alcs. (S)-, (R)-, and racemic alc. II (R = H), or racemic acetate II (R = Ac) or (R)-II (R = Ac). The potencies of the racemic and enantiomerically pure alcs., (S)-, and (R)-II (R = H) derived from I in the MES and rotarod test were found to be similar between them, and consequently they exhibit similar protective index values. All three forms of the alc. and their corresponding acetates were found to differ in the MES or rotarod tests; the ED50 value for the (S)-alc. against MES-induced seizures was nearly 3-fold that for (S)-acetate. The protective index also differed markedly between all stereoisomers of the alc. and their corresponding acetates, most pronouncedly for compd. (S)-II (R = Ac) which attained the highest value (12.5) among all compds. tested. Blockade of voltage-sensitive sodium channels was studied by investigating [3H]batrachotoxinin A 20-.alpha.-benzoate ([3H]BTX) binding. Acetates (R)- and (S)-II (R = Ac) were more potent than the stds. III and I at inhibiting the binding of [3H]BTX to sodium channels and the influx of 22Na+ into rat brain synaptosomes. It is concluded that acetates (R)- and (S)-II (R = Ac) are not simple metabolic precursors of the alcs. in rodents but that they possess anticonvulsant and sodium channel-blocking properties in their own right.

IT **186694-11-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., anticonvulsant, and sodium channel blocking activity of dibenzazepinecarboxamides)

RN 186694-11-1 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro- (9CI) (CA INDEX NAME)



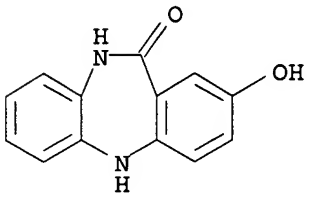
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 120 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:331933 CAPLUS
DOCUMENT NUMBER: 131:124930
TITLE: New (Sulfonyloxy)piperazinyldibenzazepines as Potential Atypical Antipsychotics: Chemistry and Pharmacological Evaluation
AUTHOR(S): Liao, Yi; Venhuis, Bastiaan J.; Rodenhuis, Nienke; Timmerman, Wia; Wikstroem, Hkan; Meier, Eddie; Bartoszyk, Gerd D.; Boettcher, Henning; Seyfried, Christoph A.; Sundell, Staffan
CORPORATE SOURCE: Department of Medicinal Chemistry, University of Groningen, Groningen, 9713 AV, Neth.
SOURCE: Journal of Medicinal Chemistry (1999), 42(12), 2235-2244
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of 2- or 8-trifluoromethylsulfonyloxy (TfO) and 2- or 8-methylsulfonyloxy (MsO) 11-piperazinyldibenzodiazepines, -oxazepines, and -thiazepines were synthesized and evaluated in pharmacol. models for their potential clozapine-like properties. In receptor binding assays, the 2-TfO analogs (GMC2-83, GMC3-06, and previously reported GMC1-169) of the dibenzazepines have profiles comparable to that of clozapine, acting on a variety of CNS receptors except they lack M1 receptor affinity. Introduction of 2-TfO to clozapine leads to compd. GMC61-39 which has a similar binding profile as that of clozapine including having M1 receptor affinity. Interestingly, the MsO analogs, as well as the 8-TfO analogs, have no or weak dopaminergic and serotonergic affinities, but all 8-sulfonyloxy analogs do have M1 affinities. In behavioral studies performed to indicate the potential antipsychotic efficacy and the propensity to induce EPS, 2-TfO analogs blocked effectively the apomorphine-induced climbing in mice in a dose-dependent manner with ED50 values (mg/kg) of 2.1 s.c. for GMC1-169, 1.3 po for GMC2-83, 2.6 s.c. for GMC3-06, and 8.2 s.c. for GMC61-39. On the other hand, they showed a clear dose sepn. with regard to their ED50 values (mg/kg) for indicating catalepsy in rats (>44 s.c. for GMC1-169, 28 po for GMC2-83, 30 s.c. for GMC3-06, and >50 s.c. for GMC61-39, resp.), thus implicating a more favorable therapeutic ratio (K/A, ED50 climbing/ED50 catalepsy) in comparison with typical neuroleptics such as haloperidol and isoclozapine. Furthermore, compd. GMC2-83 was also demonstrated to be an orally potent DA antagonist with an ED50 value of 0.7 mg/kg po in the ex vivo L-DOPA accumulation model. The present study contributes to the SAR of 11-piperazinyldibenzazepines, and the 2-TfO analogs of 11-piperazinyldibenzazepines are promising candidates as clozapine-like atypical antipsychotics with low propensity to induce EPS.

10/ 076,573

IT **183583-24-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (sulfonyloxy)piperazinyldibenzazepines as potential clozapine-like antipsychotics)
RN 183583-24-6 CAPLUS
CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,10-dihydro-2-hydroxy- (9CI) (CA INDEX NAME)



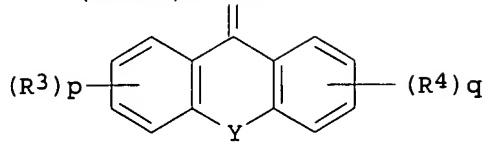
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 121 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:312729 CAPLUS
DOCUMENT NUMBER: 131:5198
TITLE: Preparation of nitrogen-containing heterocyclic compounds as leukocyte activation inhibitors and their use
INVENTOR(S): Ohshima, Etsuo; Takami, Hitoshi; Kumazawa, Toshiaki; Sato, Soichiro
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

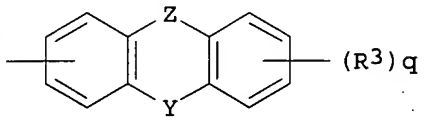
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11130772	A2	19990518	JP 1997-294752	19971028

PRIORITY APPLN. INFO.: JP 1997-294752 19971028
OTHER SOURCE(S): MARPAT 131:5198
GI

Q = ~(CH=CH)n~CH



Q1 =

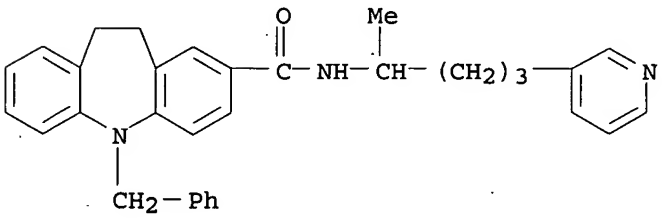


AB AC(:X)NR1(CR2aR2b)x(CH2)yB [I; x = 0, 1; yr = 1-5; R1 = H, lower alkyl, lower cycloalkyl-lower alkyl, lower cycloalkyl, (un)substituted aralkyl; R2a, R2b = any group given for R1 or R2aR2b = lower alkylene; X = O, S; B = 1-4 N-contg. 5-membered heteroaryl, 6-membered heteroaryl, C5-C6 condensed heteroaryl, C-C6 condensed heteroaryl; A = tricyclic group Q [n = 0, 1; Y = direct bond, S, O, CH2, CH2CH2, CH:CH, CH2O, CH2S(O)m (m = 0-2), CONH, CH2NH; if Y = CH2O and n = 1, then R3, R4 = halo, NO2, cyano, lower alkyl, C.gtoreq.2 lower alkoxy, lower alkylamino; if Y = CH2O and n = 0 or Y .noteq. CH2O, then R3, R4 = H, halo, NO2, cyano, lower alkyl, lower alkoxy, lower alkylamino; p, q = 1-4], Q1 [Z = CO, CHOR5 (R5 = H, lower alkyl), C:CH2, NR6 [R6 = H, lower alkyl, lower cycloalkyl, (un)substituted Ph, (un)substituted heteroaryl, (un)substituted aralkyl, heteroarylalkyl]]] and their salts are prepd. I inhibit leukocyte activation and suppress NO release, and are useful as treatment of inflammatory diseases and allergic diseases. 5-Benzyl-N-[1-methyl-4-(3-pyridyl)butyl]-10,11-dihydro-5H-dibenz[b,f]azepine-2-carboxamide (prepn. given) showed 99% inhibition against LPS- and IFN-.gamma.-stimulated NO release from mouse macrophages. Pharmaceutical formulations contg. I were also given.

IT **225783-37-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-contg. heterocyclic compds. as leukocyte activation and NO release inhibitors for treatment of inflammatory and allergic diseases)

RN 225783-37-9 CAPLUS

CN 5H-Dibenz[b,f]azepine-2-carboxamide, 10,11-dihydro-N-[1-methyl-4-(3-pyridinyl)butyl]-5-(phenylmethyl)- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1999:271604 CAPLUS
DOCUMENT NUMBER: 130:303836
TITLE: Highly transparent non-metallic cathodes
INVENTOR(S): Forrest, Stephen R.; Burrows, Paul; Parthasarathy, Gautam; O'Brien, Diarmuid; Thompson, Mark E.; Yu, Yujian; Shoustikov, Andrei; Petasis, Nicos A.; Sibley, Scott; Loy, Douglas; Koene, Brian E.; Kwong, Raymond C.
PATENT ASSIGNEE(S): The Trustees of Princeton University, USA; The University of Southern California
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9920081	A2	19990422	WO 1998-US21171	19981008
WO 9920081	A3	19990826		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6469437	B1	20021022	US 1997-964863	19971105
US 6303238	B1	20011016	US 1997-980986	19971201
US 6451455	B1	20020917	US 1998-53030	19980401
US 6150043	A	20001121	US 1998-58305	19980410
US 6413656	B1	20020702	US 1998-152960	19980914
AU 9910707	A1	19990503	AU 1999-10707	19981008
EP 1044586	A2	20001018	EP 1998-953300	19981008
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2001520450	T2	20011030	JP 2000-516507	19981008
US 2001053463	A1	20011220	US 2001-900650	20010706

PRIORITY APPLN. INFO.:

US 1997-948130	A	19971009
US 1997-64005P	P	19971103
US 1997-964863	A	19971105
US 1997-980986	A	19971201
US 1998-53030	A	19980401
US 1998-53707	A	19980403
US 1998-58305	A	19980410
US 1998-152960	A	19980914
WO 1998-US21171	W	19981008

OTHER SOURCE(S): MARPAT 130:303836

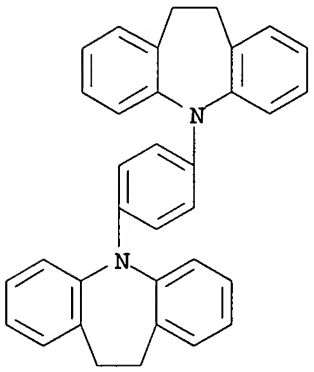
AB Cathodes are described which comprise an elec. conductive non-metallic layer in low-resistance elec. contact with a semiconductive org. layer; optoelectronic device comprising a device for converting elec. energy into optical energy (e.g., org. light-emiting devices and lasers), or optical energy into elec. energy, employing the cathodes are also described. Methods of fabricating optoelectronic devices are described which entail depositing an elec. conductive non-metallic layer on an org. layer so as to form an interface region at the surface of the org. layer that lowers the voltage drop across the two layers when the two layers are used as a cathode in an optoelectronic device. Org. light-emitting devices (OLEDs) in which the highly transparent non-metallic cathodes may be used are also described comprised of a charge carrier layer contg. a compd. having mols. that have .gtoreq.1 electron-transporting moiety and .gtoreq.1

hole-transporting moiety, OLEDs comprised of an emissive layer contg. an azlactone-related dopant, OLEDs comprised of an emissive layer contg. a phosphorescent dopant compd., and OLEDs comprised of a hole transporting layer contg. a glassy org. hole-transporting material comprised of a compd. having a sym. mol. structure. Azlactone derivs. and complexes suitable for use as the dopants are also described.

IT **212385-85-8**
RL: DEV (Device component use); USES (Uses)
(transparent non-metallic cathodes and optoelectronic devices using them and their fabrication)

RN 212385-85-8 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5,5'-(1,4-phenylene)bis[10,11-dihydro- (9CI) (CA INDEX NAME)

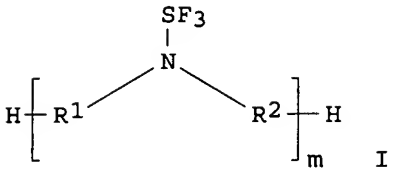


L7 ANSWER 123 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:246872 CAPLUS
DOCUMENT NUMBER: 130:281580
TITLE: Preparation of thermally stable aminosulfur trifluorides as deoxofluorination agents
INVENTOR(S): Lal, Gauri Sankar; Pez, Guido Peter; Pesaresi, Reno Joseph, Jr.; Syvret, Robert George
PATENT ASSIGNEE(S): Air Products and Chemicals, Inc., USA
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 908448	A1	19990414	EP 1998-118306	19980925
EP 908448	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6207860	B1	20010327	US 1997-939635	19970929
CA 2248407	AA	19990329	CA 1998-2248407	19980922
JP 11158141	A2	19990615	JP 1998-275235	19980929
JP 3357609	B2	20021216		
US 6242645	B1	20010605	US 2000-535682	20000323

PRIORITY APPLN. INFO.: US 1997-939635 A 19970929
OTHER SOURCE(S): MARPAT 130:281580
GI



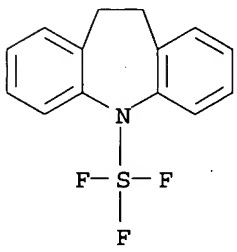
I

AB Aminosulfur trifluorides I [m = 1-5; when m = 1 R1, R2 = aryl radicals, heterocyclyl, alkoxyalkyl and when m = 2-5 R1 = Ph and R2 = aryl], deoxofluorinating agents, were prepd. E.g., reaction of Ph2NH with SF4 gave Ph2NSF3 quant. Deoxofluorination of 4-tert-butylcyclohexanone by Ph2NSF3 gave 1,1-difluoro-4-tert-butylcyclohexane and 1-fluoro-4-tert-butyl-1-cyclohexene (96:4). The thermal stability of I was studied.

IT **222844-34-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thermally stable aminosulfur trifluorides as deoxofluorination agents)

RN 222844-34-0 CAPLUS

CN Sulfur, (10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)trifluoro-, (T-4)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 124 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:217971 CAPLUS

DOCUMENT NUMBER: 130:296602

TITLE: 3-Trifloxy-3-(trifluoromethyl)propeniminium triflate. Reaction with aromatic amines. An efficient synthesis of 2-(trifluoromethyl)quinolines

AUTHOR(S): Baraznenok, Ivan L.; Nenajdenko, Valentine G.; Balenkova, Elizabeth S.

CORPORATE SOURCE: Department Chemistry, Moscow State University, Moscow, 119899, Russia

SOURCE: European Journal of Organic Chemistry (1999), (4), 937-941
CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

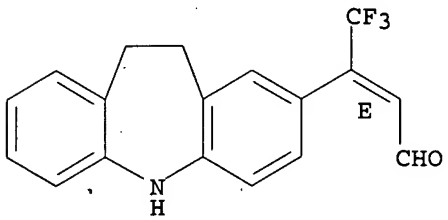
OTHER SOURCE(S): CASREACT 130:296602

AB The reaction of iminium triflates [Me2N+:CHCH:C(O3SCF3)R]CF3SO3- (I; R = CF3, C2F5) with various arom. amines were investigated. 2-(Trifluoromethyl)- and 2-(trifluoroethyl)quinolines were prepd. in excellent yields by reaction of appropriate I with anilines. The reaction of I with diarylamines proceeds, surprisingly, to afford the corresponding .beta.-(perfluoroalkyl)cinnamaldehydes.

IT **223439-24-5P**

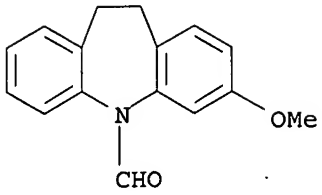
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of (fluoromethyl)quinolines by reaction of trifloxy(fluoromethyl)propeniminium triflate with arom. amines)
RN 223439-24-5 CAPLUS
CN 2-Butenal, 3-(10,11-dihydro-5H-dibenz[b,f]azepin-2-yl)-4,4,4-trifluoro-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 125 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:216702 CAPLUS
DOCUMENT NUMBER: 130:338010
TITLE: Synthesis of substituted 10,11-dihydro-5H-dibenz[b,f]azepines; key synthons in syntheses of pharmaceutically active compounds
AUTHOR(S): Jorgensen, Tine Krogh; Andersen, Knud Erik; Lau, Jesper; Madsen, Peter; Huusfeldt, Per Olaf
CORPORATE SOURCE: Health Care Discovery, Malov, DK-2760, Den.
SOURCE: Journal of Heterocyclic Chemistry (1999), 36(1), 57-64
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:338010
AB Substituted 10,11-dihydro-5H-dibenz[b,f]azepines are key synthons in the syntheses of a no. of pharmaceutically active compds. such as imipramine, chlorimipramine, and desimipramine analogs. A facile synthesis of substituted 10,11-dihydro-5H-dibenz[b,f]azepines is described, starting out from com. available 2-bromotoluenes or 2-nitrotoluenes. Initial .alpha.-bromination with N-bromosuccinimide and subsequent reaction with tri-Et phosphite afforded the corresponding benzylphosphonic ester derivs. After reaction with benzaldehyde derivs., the expected Horner-Emmons reaction products were obtained. Hydrogenation gave the amino derivs., which were transformed into the corresponding formamides. Under Goldberg conditions, the final ring closing step was performed to give the substituted 10,11-dihydro-5H-dibenz[b,f]azepines in 46-75% yield.
IT **223787-64-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. 10,11-dihydro-5H-dibenz[b,f]azepines)
RN 223787-64-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxaldehyde, 10,11-dihydro-3-methoxy- (9CI) (CA INDEX NAME)

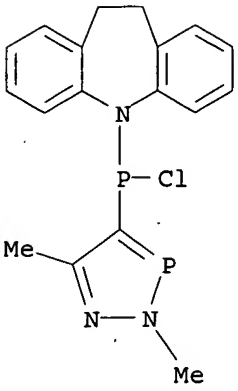


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 126 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:215981 CAPLUS
DOCUMENT NUMBER: 130:305586
TITLE: Chemistry of Diazaphospholephosphines. 1. Preparation of Substituted 4-(Phosphino)-2,5-dimethyl-2H-1,2,3.sigma.2-diazaphospholes, Bifunctional Phosphines with Dicoordinate and Tricoordinate Phosphorus(III) Centers. Chromium(0) and Molybdenum(0) Difluorophosphine Complexes
AUTHOR(S): Mikoluk, Michael D.; Cavell, Ronald G.
CORPORATE SOURCE: Department of Chemistry, University of Alberta, Edmonton, AB, T6G 2G2, Can.
SOURCE: Inorganic Chemistry (1999), 38(9), 1971-1981
CODEN: INOCAJ; ISSN: 0020-1669
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An improved prepn. of 4-(dichlorophosphino)-2,5-dimethyl-2H-1,2,3.sigma.2-diazaphosphole (1) is described. Replacement of the two Cl substituents with two F (2), dimethylamino (3), diethylamino (4), bis(n-propyl)amine (5), pyrazole (9), 3,5-dimethylpyrazole (10), 2,2,2-trifluoroethoxy (11), phenoxy (12), pentafluorophenoxy (13), 2,6-difluorophenoxy (14), and pentafluorobenzoxy (15) substituents was accomplished to create a large suite of potentially bifunctional P(III) ligands with two- and three-coordinate P centers spanning a range of basicity and steric bulk at the exo-P center. Bulky secondary amines (such as diisopropylamine, dibenzylamine, and iminodibenzyl) replaced only one Cl atom to give asym. 4-(chloroaminophosphino)-2,5-dimethyl-2H-1,2,3.sigma.2-diazaphospholes (6, 7, and 8, resp.). The asym. substitution creates a diastereotopic center in both 6 and 7 which is obsd. as fluxional NMR behavior at room temp. Similar diastereotopic induced behavior was obsd. in the substituent methylene protons of 11. Coordination studies of the fluorinated phosphole with Cr(0) and Mo(0) gave Cr(CO)5L (16), cis-Mo(CO)4L2 (17), and fac-Mo(CO)3L3 (18) (L = 2 = 4-(difluorophosphino)-2,5-dimethyl-2H-1,2,3.sigma.2-diazaphosphole). The fluoro ligand displays a behavior which is similar to that of PF3 and phosphites.

IT **223459-03-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 223459-03-8 CAPLUS
CN Phosphinous chloride, (10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)(2,5-dimethyl-2H-1,2,3-diazaphosphol-4-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 127 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:191413 CAPLUS
DOCUMENT NUMBER: 130:215721
TITLE: OLEDs containing thermally stable asymmetric charge carrier materials
INVENTOR(S): Thompson, Mark E.; Koene, Bryan E.; Loy, Douglas E.
PATENT ASSIGNEE(S): The University of Southern California, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9913691	A1	19990318	WO 1998-US18363	19980904
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6242115	B1	20010605	US 1997-925029	19970908
AU 9892202	A1	19990329	AU 1998-92202	19980904
TW 469750	B	20011221	TW 1998-87114720	19980904

PRIORITY APPLN. INFO.: US 1997-925029 A 19970908
WO 1998-US18363 W 19980904
OTHER SOURCE(S): MARPAT 130:215721
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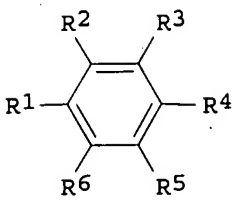

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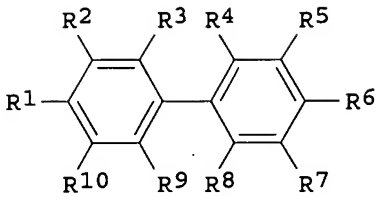


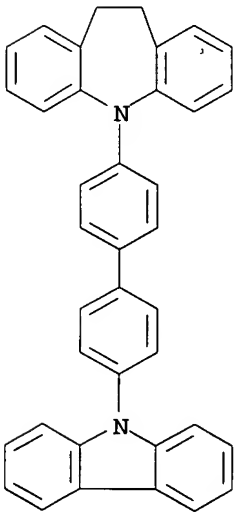
II

AB Compds. having asym. mol. structures are described by the general formulas I, II and A1N(A2)A3 (R1-10 are independently selected from H and hole-transporting amine groups with the restriction that .gtoreq.2 amine groups are present and .gtoreq.1 of the amine groups is different from .gtoreq.1 other amine group; A1-3 are independently selected amino-substituted Ph groups with the restriction that A1 is not the same as either A2 or A3). Org. light-emitting devices are described which comprise a heterostructure active layer including a a charge carrier layer having a glass structure formed from a compd. having an asym. mol. structure, the asym. mol. structure being a core atom or core chem. group bonded to .gtoreq.2 charge carrying substituents with .gtoreq.1 of the charge carrying substituents being different from the other charge carrying substituent or substituents. The charge carrier material is capable of forming a stable glass due to the presence of the compd. having an asym. mol. structure. Methods of fabricating org. light-emitting devices entailing the use of the compds. are also described. Displays and printers incorporating the devices are described. Alq.

IT **212385-39-2P**
RL: DEV (Device component use); PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); USES (Uses)
(thermally stable asym. charge carrier materials and org. light-emitting devices using them)

RN 212385-39-2 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[4'-(9H-carbazol-9-yl)[1,1'-biphenyl]-4-yl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 128 OF 200 CAPLUS COPYRIGHT 2003 ACS

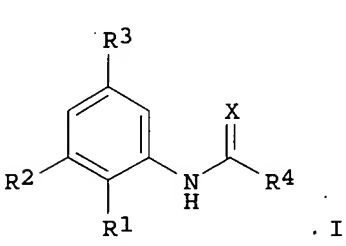
10/ 076,573

ACCESSION NUMBER: 1999:126872 CAPLUS
DOCUMENT NUMBER: 130:196506
TITLE: Derivatives of 2,5- and 3,5-disubstituted anilines, their preparation, and use as potassium channel openers
INVENTOR(S): Dorwald, Florencio Zaragoza; Hansen, John Bondo; Mogensen, John Patrick; Tagmose, Tina Moller; Pirotte, Bernard; Lebrun, Philippe; De Tullio, Pascal; Boverie, Stephane; Delarge, Jacques
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

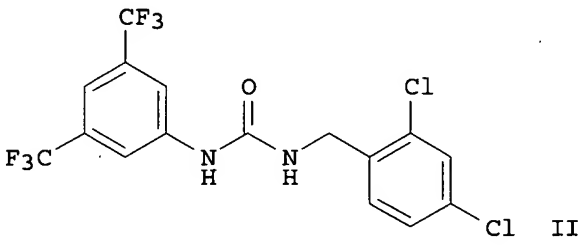
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907672	A1	19990218	WO 1998-DK337	19980724
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9885341	A1	19990301	AU 1998-85341	19980724
EP 1019367	A1	20000719	EP 1998-936271	19980724
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
ZA 9807026	A	20000207	ZA 1998-7026	19980805

PRIORITY APPLN. INFO.: DK 1997-906 A 19970805
US 1997-55193P P 19970811
WO 1998-DK337 W 19980724

OTHER SOURCE(S): MARPAT 130:196506
GI



I



II

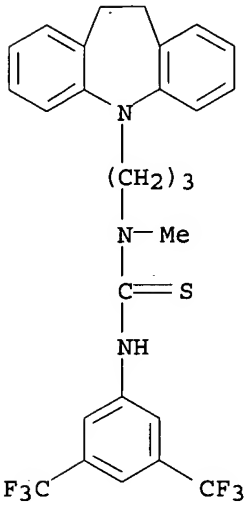
AB Substituted anilines I [R1, R2 = H, CF3, halo, provided that both R1 and R2 .noteq. H; R3 = CF3 or halo; R4 = (un)substituted alkyl or YR5; Y = O or NR6; R5, R6 = (un)substituted alkyl; or R5 and R6 form a 3- to 8-membered ring; X = O or S], their compns., and methods for prepg. them are described. I are useful for the treatment of diseases of the central nervous system, the cardiovascular system, the pulmonary system, the urogenital system, the gastrointestinal system and the endocrinol. system. In particular, the compds. are claimed as potassium channel openers useful in the treatment of endocrinol. diseases such as diabetes. Approx. 220 compds. are listed and claimed, and synthetic examples for several are provided. For instance, reaction of 2,4-dichlorobenzyl isocyanate with 3,5-bis(trifluoromethyl)aniline in PhMe at 90.degree. in the presence of

Et3N gave title compd. II in 34% yield. The most active compds. showed IC50 values of 600 nM in an assay for potassium channel openers.

IT **220635-59-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of disubstituted aniline derivs. as potassium channel openers)

RN 220635-59-6 CAPLUS

CN Thiourea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 129 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:118523 CAPLUS

DOCUMENT NUMBER: 130:251915

TITLE: Resin-supported labeling reagents

AUTHOR(S): Adamczyk, Maciej; Fishpaugh, Jeffrey R.; Mattingly, Phillip G.

CORPORATE SOURCE: Department of Chemistry, Diagnostics Division, Abbott Laboratories, Abbott Park, IL, 60064-6016, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(2), 217-220
CODEN: BMCLE8; ISSN: 0960-894X

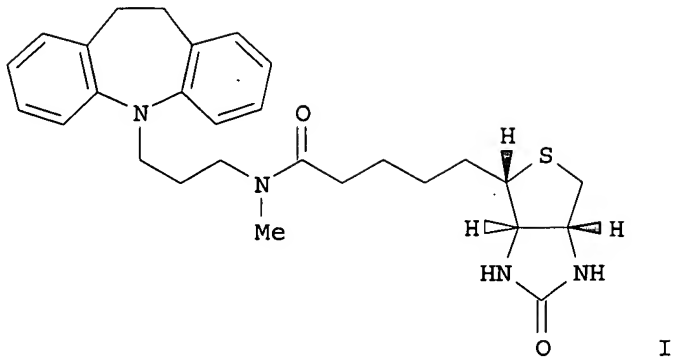
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:251915

GI



I

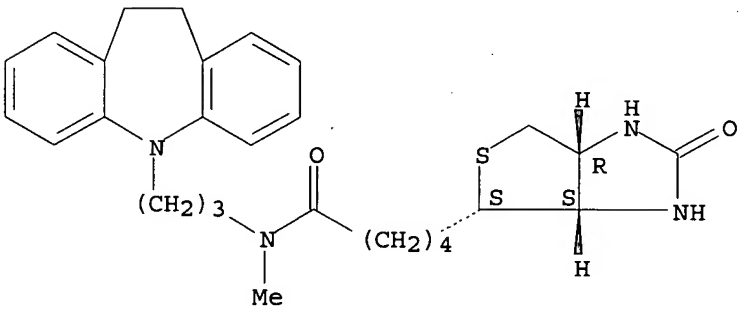
AB Resin-supported fluorescein, coumarin, acridinium, and biotin active esters were prepd. from a new N-hydroxysuccinimidyl resin in high yield. The active esters were used to prep. representative conjugates with estriol, thyroxine, phenytoin, and desipramine haptens without need for purifn. beyond removal of the spent resin. E.g., desipramine and 6 equiv. of the biotin active ester resin were suspended in DMF and stirred for 20h; the resin was filtered and the filtrates evapd. to give the amine-biotin conjugate I in 98% yield.

IT **221538-46-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of amine conjugates with fluorescein, acridinium inner salt, biotin, and a coumarinoctanoic acid by acylation of amines with resin-supported active esters)

RN 221538-46-1 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]hexahydro-N-methyl-2-oxo-, (3aS,4S,6aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 130 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:98337 CAPLUS

DOCUMENT NUMBER: 130:223552

TITLE: Preparation and use of N-hydroxysuccinimidyl active ester resins

AUTHOR(S): Adamczyk, Maciej; Fishpaugh, Jeffrey R.; Mattingly, Phillip G.

CORPORATE SOURCE: Divisional Organic Chemistry, Abbott Laboratories, Abbott Park, IL, 60064, USA

SOURCE: Tetrahedron Letters (1999), 40(3), 463-466

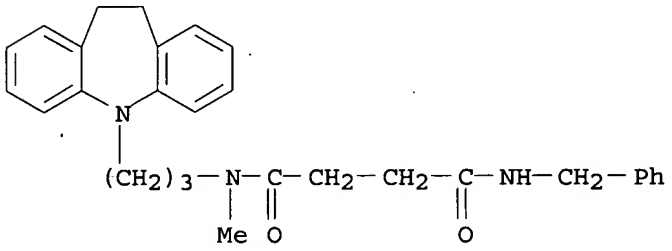
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Syntheses of solid-phase active esters derived from new N-hydroxysuccinimidyl (HOSu) resins HOSu-SCH2-p-C6H4-P (P = polymer) are described. Their practical utility is illustrated in the ready formation of amides in high yield and high purity.

IT **221105-92-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and use of N-hydroxysuccinimidyl active ester resins)

RN 221105-92-6 CAPLUS

CN Butanediamide, N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-N-methyl-N'-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 131 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:58350 CAPLUS
DOCUMENT NUMBER: 130:267383

TITLE: Flow vacuum pyrolysis of tetrazoles with annelated dibenzocycloalkane skeletons

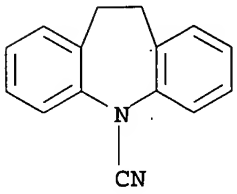
AUTHOR(S): Banciu, Mircea D.; Popescu, Angela; Simion, Alina; Draghici, Constantin; Mangra, Cristina; Mihaiescu, Dan; Pocol, Monica
CORPORATE SOURCE: Organic Chemistry Laboratory, Polytechnic University Bucharest, Bucharest, 76206, Rom.

SOURCE: Journal of Analytical and Applied Pyrolysis (1999), 48(2), 129-146
CODEN: JAAPDD; ISSN: 0165-2370
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Three new dibenzoannelated tetrazoloazocines were synthesized from the corresponding ketones and in situ generated triazidochlorosilane. The new compds. were characterized by IR, 1H-, 13C-NMR and MS data. The flow-vacuum pyrolysis of the tetrazoloazocines, of a recently described tetrazoloazonine, and of 1,5-diphenyltetrazole at 1.33 mbar and temps. between 400-550.degree.C were studied by GC/MS. The main reaction product of tetrazolo[1,5-a]dibenzo[c,g]azocine was 6H-quinindoline whereas the principal products of 12,13-dihydrotetrazolo[1,5-a]dibenzo[c,g]azocine, 5,9-dihydrotetrazolo[1,5-a]dibenzo[d,f]azocine, and 12H-13,14-dihydrotetrazolo[1,5-a]dibenzo[c,h]azonine were the ring contracted N-cyano derivs. Diphenyltetrazole afforded diphenylcarbodiimide and 2-phenylbenzimidazole. The reaction mechanisms are discussed. The N-cyano-derivs. are structurally strongly related to recent anti-amnesia drugs.

IT **221908-80-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(flow vacuum pyrolysis of tetrazoles with annelated dibenzocycloalkane

skeletons)
RN 221908-80-1 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carbonitrile, 10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 132 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:34896 CAPLUS
DOCUMENT NUMBER: 130:110162
TITLE: Preparation of N-substituted azaheterocyclic compounds for the clinical treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiological role
INVENTOR(S): Andersen, Knud Erik; Jorgensen, Tine Krogh; Hohlweg, Rolf; Fischer, Erik; Olsen, Uffe Bang; Polivka, Zdenek; Sindelar, Karel; Valenta, Vladimir
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9900367	A1	19990107	WO 1998-DK273	19980622
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6040318	A	20000321	US 1998-98579	19980617
AU 9879074	A1	19990119	AU 1998-79074	19980622
EP 991621	A1	20000412	EP 1998-929235	19980622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002515914	T2	20020528	JP 1999-505222	19980622
ZA 9805448	A	19990119	ZA 1998-5448	19980623
US 6066632	A	20000523	US 1999-376735	19990817
US 6100253	A	20000808	US 1999-376734	19990817
US 6114354	A	20000905	US 1999-375745	19990817

PRIORITY APPLN. INFO.:	DK 1997-751	A	19970625
	US 1997-51833P	P	19970707
	US 1998-98579	A3	19980617
	WO 1998-DK273	W	19980622

OTHER SOURCE(S): MARPAT 130:110162
GI

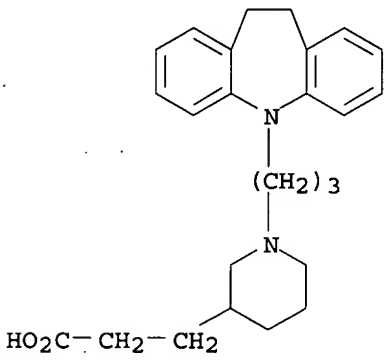
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; Y = >N-CH2-, >CH-CH2-, >C:CH- (only the first atom participates in the ring system); X = o-phenylene, O, S, etc.; r = 1-3; Z = II-V (wherein R3 = (CH2)pCO2H; p = 2-6)] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation as well as their use for treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, e.g. non-insulin-dependent diabetes mellitus (NIDDM) and ageing-assocd. obesity, were prepd. and formulated. Thus, reaction of 5-(3-bromo-1-propylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene with 3-(piperidin-3-yl)propionic acid Et ester (prepn. given) in the presence of K2CO3 in DMF followed by hydrolysis of the resulting ester afforded VI.HCl which showed 42% inhibition of histamine induced hyperglycemia at 1.0 mg/kg.

IT **219608-69-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-substituted azaheterocyclic compds. for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role)

RN 219608-69-2 CAPLUS

CN 3-Piperidinepropanoic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 133 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:23406 CAPLUS

DOCUMENT NUMBER: 130:131615

TITLE: Light-emitting devices containing iminodibenzyl backbone-containing compounds

INVENTOR(S): Kohama, Akira; Himeshima, Yoshio; Fujinomori, Shigeo

PATENT ASSIGNEE(S): Toray Industries, Inc., Japan

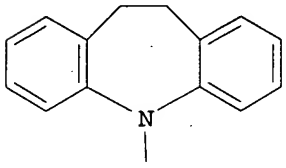
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF

10/ 076,573

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11003049	A2	19990106	JP 1997-156502	19970613

PRIORITY APPLN. INFO.: JP 1997-156502 19970613
OTHER SOURCE(S): MARPAT 130:131615
GI

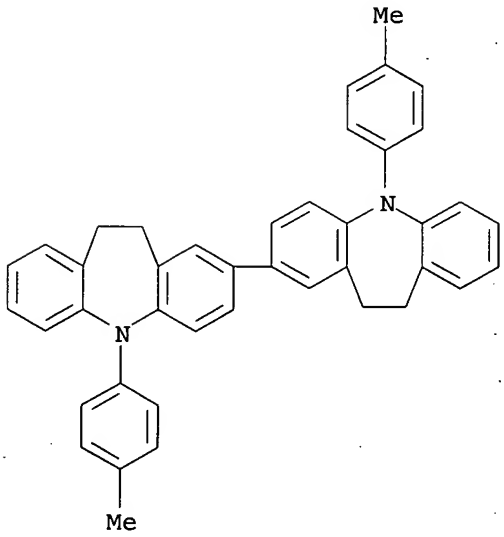


I

AB Light-emiting devices are described which contain a compd. contg. an iminodibenzyl backbone described by the general formula I. The device shows high luminance and improved durability.

IT **219837-43-1**
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)
(iminodibenzyl deriv.-contg. light-emitting devices with high luminance and improved durability)

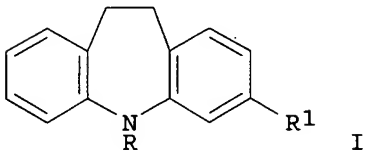
RN 219837-43-1 CAPLUS
CN 2,2'-Bi-5H-dibenz[b,f]azepine, 10,10',11,11'-tetrahydro-5,5'-bis(4-methylphenyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 134 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:808886 CAPLUS
DOCUMENT NUMBER: 130:153563
TITLE: Synthesis of 3,5-disubstituted 10,11-dihydro-5H-dibenz[b,f]azepines

10/ 076,573

AUTHOR(S): Gritsenko, A. N.; Skoldinov, A. P.
CORPORATE SOURCE: Inst. Farmakol., RAMN, Moscow, Russia
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1998), 32(9), 46-48
CODEN: KHFZAN; ISSN: 0023-1134
PUBLISHER: Izdatel'stvo Folium
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

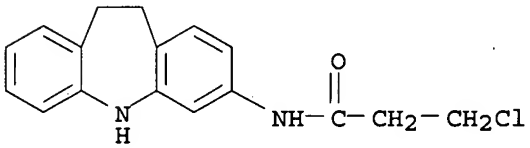


I

AB Several title compds., e.g., I (R = COCH2Cl, R1 = NHCOCH2Cl; R = COPh, R1 = NHCOCH2NEt2.cntdot.HCl) were prepd. from I (R = H, R1 = NH2). Also, I (R = COCH2NMe2, R1 = NH2) was converted to several I (R = COCH2NMe2; R1 = acylamino).

IT **220194-74-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acylation of)

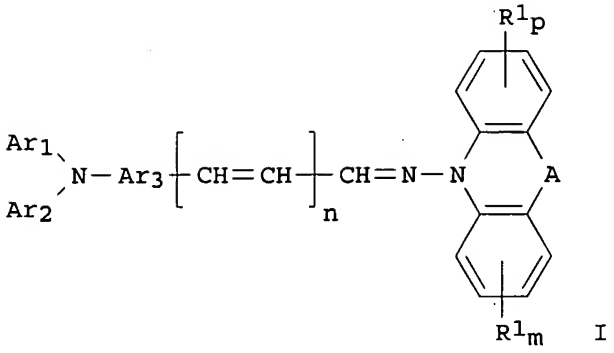
RN 220194-74-1 CAPLUS
CN Propanamide, 3-chloro-N-(10,11-dihydro-5H-dibenz[b,f]azepin-3-yl)- (9CI) (CA INDEX NAME)



L7 ANSWER 135 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:768204 CAPLUS
DOCUMENT NUMBER: 130:73816
TITLE: Novel hydrazone compound having benzazepine skeleton for charge-transporting material
INVENTOR(S): Sato, Tadahisa
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10316875	A2	19981202	JP 1997-131508	19970521

PRIORITY APPLN. INFO.: JP 1997-131508 19970521
OTHER SOURCE(S): MARPAT 130:73816
GI



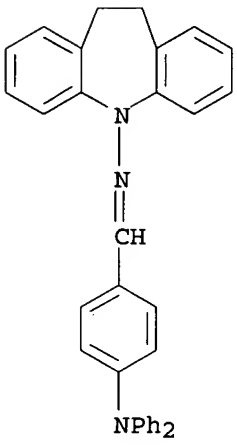
I

AB The compd., useful for electroluminescent devices and electrophotog. photoreceptors as a storage-stable charge-transporting material, is I [A = single bond, (m)ethylene, vinylene, o-arylene; Ar1-2 = aryl; Ar3 = arylene; R1-2 = halo, alkyl (oxy), aryl, dialkylamino, N-alkyl-N-arylamino, diarylamino; p, m = 0-4].

IT **218272-51-6P**
RL: PNU (Preparation, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(hydrazone with benzazepine skeleton for charge-transporting material with good storage stability)

RN 218272-51-6 CAPLUS

CN 5H-Dibenz[b,f]azepin-5-amine, N-[[4-(diphenylamino)phenyl]methylene]-10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 136 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:760033 CAPLUS

DOCUMENT NUMBER: 130:14000

TITLE: Preparation of 5-(4-piperidinyl)dibenzothiazepines and -dibenzoxazepines as antiarrhythmic agents.

INVENTOR(S): Katano, Kiyoaki; Satoh, Takahiko; Soneda, Tomoko; Kamitoh, Naoko; Fujishima, Kazuyuki; Hachisu, Mitsugu

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

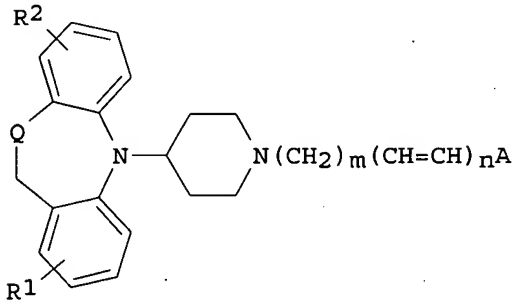
FAMILY ACC. NUM. COUNT: 1

10/ 076,573

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 878475	A2	19981118	EP 1998-303841	19980515
EP 878475	A3	19981125		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 11029570	A2	19990202	JP 1998-133860	19980515
US 6063779	A	20000516	US 1998-79861	19980515

PRIORITY APPLN. INFO.: JP 1997-124608 19970515
OTHER SOURCE(S): MARPAT 130:14000
GI



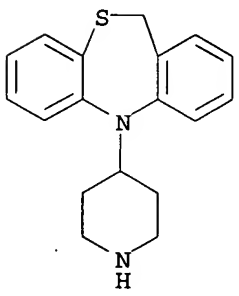
I

AB Title compds. [I; R1, R2 = H, halo, (halo)alkyl; A = H, cycloalkyl, Ph, 5-6 membered heterocyclyl, NR3R4, COR5; R3, R4 = H, (substituted) alkyl; R5 = OH, amino, alkoxy; Q = S, O; m = 0-18; n = 0-2], were prepd. Thus, 2-(2-bromobenzylthio)aniline and 1-tert-butoxycarbonylpiperidin-4-one were stirred with NaH(AcO)3B in dichloroethane to give 91% 2-(2-bromobenzylthio)-N-(1-tert-butoxycarbonyl-4-piperidinyl)aniline. The latter was refluxed 3 days with Cu and K2CO3 in pyridine to give 90% 5-(1-tert-butoxycarbonylpiperidin-4-yl)-5,11-dihydrobenz[b,e][1,4]thiazepine. This was treated with CF3CO2H in anisole to give 82% 5-(piperidin-4-yl)-5,11-dihydrobenz[b,e][1,4]thiazepine. I at 0.1-1.0 mg/kg reduced incidence of arrhythmia and mortality in rats in the ischemic reperfusion model.

IT **216018-38-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-(4-piperidinyl)dibenzothiazepines and -dibenzoxazepines as antiarrhythmics)

RN 216018-38-1 CAPLUS

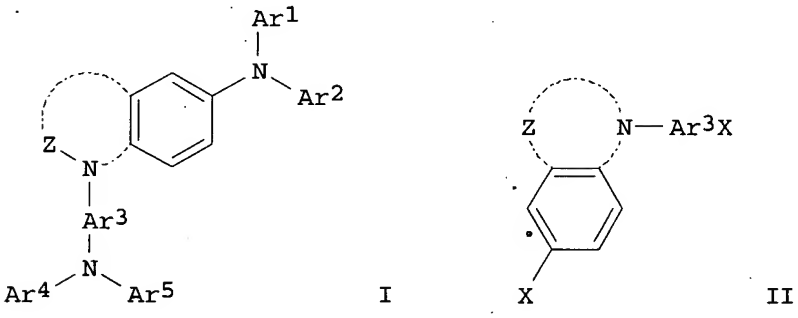
CN Dibenzo[b,e][1,4]thiazepine, 5,11-dihydro-5-(4-piperidinyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 137 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:758642 CAPLUS
DOCUMENT NUMBER: 130:59060
TITLE: Diarylamino-containing heterocyclic compounds, their preparation, and their uses in electroluminescent element and electrophotographic photoreceptor
INVENTOR(S): Ueda, Hideaki; Kitahora, Takeshi
PATENT ASSIGNEE(S): Minolta Camera Co., Ltd., Peop. Rep. China
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10310574	A2	19981124	JP 1997-119167	19970509

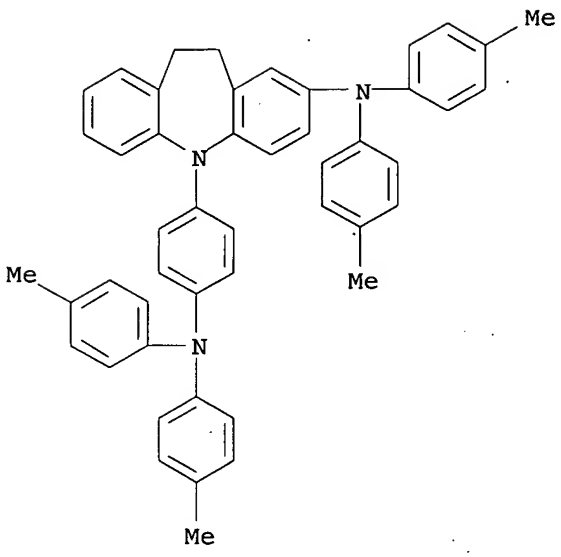
PRIORITY APPLN. INFO.: JP 1997-119167 19970509
OTHER SOURCE(S): MARPAT 130:59060
GI



AB Title compds. I [Ar1, Ar2, Ar4, Ar5 = (substituted) aryl, heterocyclyl; Ar3 = (substituted) arylene, heterocyclylene; Z = heterocycle residue] are prepd. by (1) reaction of dihalo compds. II (Ar3, Z = same as I; X = halo) with Ar1Ar2NH and Ar4Ar5NH (Ar1, Ar2, Ar4, Ar5 = same as I) or (2) reaction of diamines II (X = NH2) with Ar1X, Ar2X, Ar4X, and Ar5X (Ar1, Ar2, Ar4, Ar5 = same as I; X = halo). Also claimed are electroluminescent element having a layer contg. I, electrophotog. photoreceptor contg. I as charge-transporting material, and hole-transporting material comprising I. The materials show good durability.

IT **217178-45-5**
RL: TEM (Technical or engineered material use); USES (Uses)
(diarylamino-contg. heterocyclic compd. as charge-transporting material for electroluminescent element and electrophotog. photoreceptor)

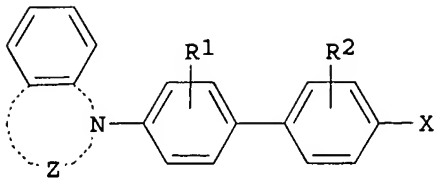
RN 217178-45-5 CAPLUS
CN 5H-Dibenz[b,f]azepin-2-amine, 5-[4-[bis(4-methylphenyl)amino]phenyl]-10,11-dihydro-N,N-bis(4-methylphenyl)- (9CI) (CA INDEX NAME)



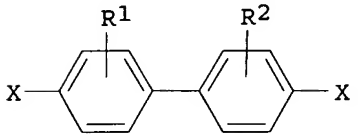
L7 ANSWER 138 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:758641 CAPLUS
DOCUMENT NUMBER: 130:24970
TITLE: Preparation of N-halobiphenyl-substituted heterocyclic compounds as intermediates for charge-transporting materials for electrophotographic photoreceptors
INVENTOR(S): Ueda, Hideaki; Kitahora, Takeshi; Nozaki, Takeshi
PATENT ASSIGNEE(S): Minolta Camera Co., Ltd., Peop. Rep. China
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10310573	A2	19981124	JP 1997-119199	19970509

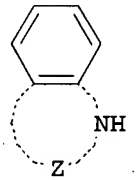
PRIORITY APPLN. INFO.: JP 1997-119199 19970509
OTHER SOURCE(S): MARPAT 130:24970
GI



I



II



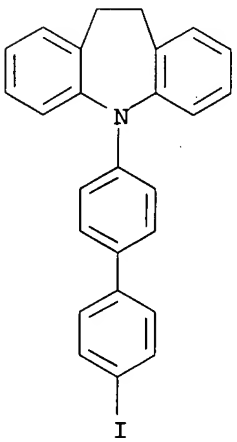
III

AB Title compds. I (R1, R2 = H, alkyl, alkoxy; Z = heterocycle residue; X = halo) are prepd. by reaction of dihalobiphenyls II (R1, R2, X = same as I) with N-contg. heterocyclic compds. III (Z = same as I). II (R1 = R2 = H, X = I) was treated with carbazole in PhNO2 in the presence of K2CO3 and Cu under reflux for 24 h to give 60% N-4'-iodo-4-biphenylcarbazole, which was aminated by 4,4'-ditolylamine to give I [R1 = R2 = H, Z = carbazole residue, X = N(C6H4Me-p)2]. Electroluminescent element was prepd. using the product.

IT **212385-52-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of halobiphenyl-substituted heterocyclic compds. as intermediates for charge-transporting materials for electrophotog. photoreceptors)

RN 212385-52-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 10,11-dihydro-5-(4'-iodo[1,1'-biphenyl]-4-yl)- (9CI) (CA INDEX NAME)



L7 ANSWER 139 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:733898 CAPLUS
DOCUMENT NUMBER: 130:180991
TITLE: Diagnosis with psychological test and treatment with Deanxit in cardiac neurosis
AUTHOR(S): Mao, Jialiang; Wang, Binyao
CORPORATE SOURCE: Department of Cardiology, Renjin Hospital, Shanghai Second Medical University, Shanghai, 200001, Peop. Rep. China
SOURCE: Shanghai Dier Yike Daxue Xuebao (1998), 18(4), 309-311
CODEN: SDDXE3; ISSN: 0258-5898
PUBLISHER: Shanghai Dier Yike Daxue Xuebao Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

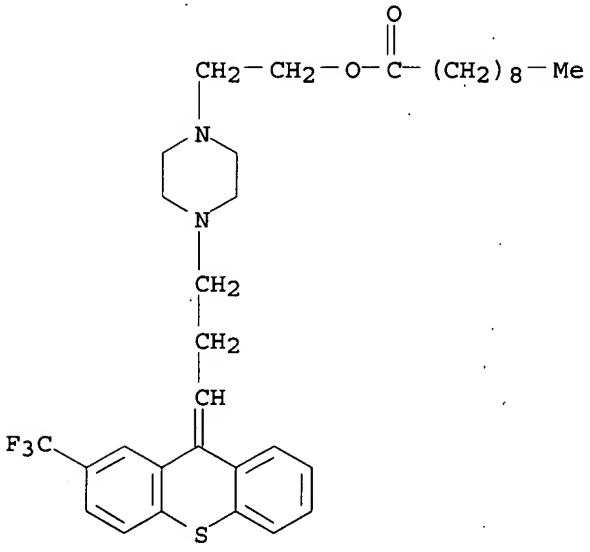
AB There is no rational diagnosis and appropriate therapy of cardiac neurosis hitherto. Psychol. test to diagnosis and Deanxit to treat cardiac neurosis was studied. The result showed that Zung Psychol. Test and Deanxit were helpful in the diagnosis and therapy of cardiac neurosis. Both the diagnosis and treatment of neurosis are worthwhile to be further investigated and evaluated.

IT **214556-54-4**, Deanxit
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(diagnosis with psychol. test and treatment with Deanxit in Chinese human cardiac neurosis patients)

RN 214556-54-4 CAPLUS
CN Decanoic acid, 2-[4-[3-[2-(trifluoromethyl)-9H-thioxanthen-9-ylidene]propyl]-1-piperazinyl]ethyl ester, mixt. with 10,11-dihydro-N,N-dimethyl-5H-dibenz[b,f]azepine-5-propanamine (9CI) (CA INDEX NAME)

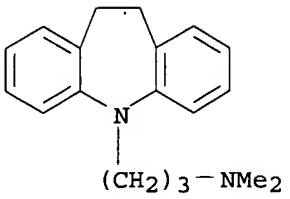
CM 1

CRN 30909-51-4
CMF C33 H43 F3 N2 O2 S



CM 2

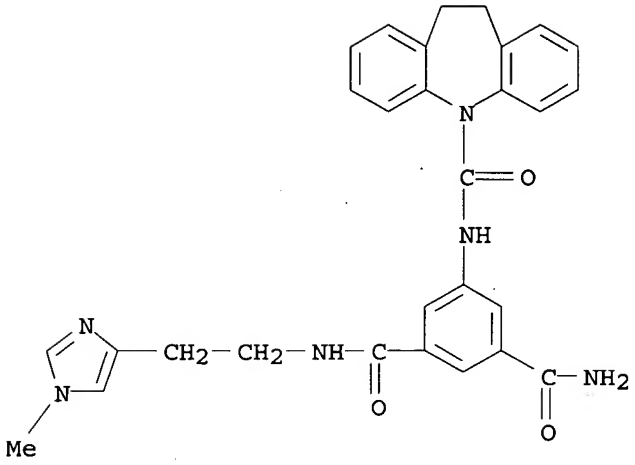
CRN 50-49-7
CMF C19 H24 N2



L7 ANSWER 140 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:727783 CAPLUS
DOCUMENT NUMBER: 130:90087
TITLE: Serine proteases-directed small molecule probe libraries
AUTHOR(S): Dhanoa, Dale S.; Soll, Richard M.; Subasinghe, Nalin; Wu, Zhengdong; Rinker, James; Hoffman, James; Eisennagel, Stephen; Graybill, Todd; Bone, Roger; Radzicka, Anna; Murphy, Larry; Salemme, F. Raymond
CORPORATE SOURCE: 3-Dimensional Pharmaceuticals, Inc., Exton, PA, 19341, USA
SOURCE: Medicinal Chemistry Research (1998), 8(4/5), 187-205
CODEN: MCREEB; ISSN: 1054-2523
PUBLISHER: Birkhaeuser Boston
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Chem. strategies are described for the design and automated high throughput synthesis of probe libraries of individual small mols. suitable for optimization into novel, potent, selective and orally bioavailable enzyme inhibitors. These libraries were directed towards serine proteases and were designed to incorporate novel scaffolds, structural diversity and other pharmacophoric features that served as peptide backbone replacements. The solid phase synthesis of probe libraries based on aryl scaffolds contg. amides, sulfonamides, sulfonates, ureas, and guanidines are described. Screening of the libraries against a series of serine proteases including thrombin and factor Xa produced a no. of useful hits appropriate for further optimization.

IT **208756-16-5P**
RL: PNU (Preparation, unclassified); PRP (Properties); PREP (Preparation)
(serine proteases-directed small mol. probe libraries)
RN 208756-16-5 CAPLUS
CN 1,3-Benzenedicarboxamide, 5-[[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]amino]-N-[2-(1-methyl-1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 141 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:713027 CAPLUS
DOCUMENT NUMBER: 130:52395
TITLE: Solid-phase synthesis of 1,5-benzodiazepin-2-ones
AUTHOR(S): Schwarz, Matthias; Tumelty, David; Gallop, Mark A.
CORPORATE SOURCE: Affymax Res. Inst., Palo Alto, CA, 94304, USA
SOURCE: Tetrahedron Letters (1998), 39(46), 8397-8400
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

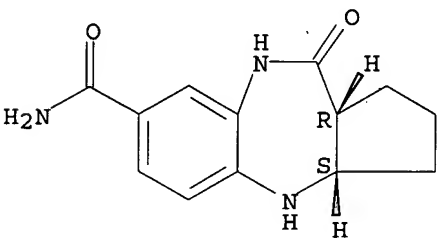
AB A solid-phase synthesis of polysubstituted 1,5-benzodiazepin-2-ones is described. Resin-bound 4-fluoro-3-nitrobenzoic acid was reacted with different .beta.-amino acids, followed by nitro group redn. and formation of the seven-membered ring. Subsequent alkylations at N(5) and N(1) afforded the title compds. in high purities and yields.

IT **217300-43-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of benzodiazepinones)

RN 217300-43-1 CAPLUS

CN Benzo[b]cyclopenta[e][1,4]diazepine-7-carboxamide, 1,2,3,3a,4,9,10,10a-octahydro-10-oxo-, (3aR,10aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 142 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:703420 CAPLUS

10/ 076,573

DOCUMENT NUMBER: 129:335730
TITLE: Covalent polar lipid conjugates with neurologically active compounds for targeting
INVENTOR(S): Yatvin, Milton B.; Stowell, Michael H. B.; Meredith, Michael J.
PATENT ASSIGNEE(S): Oregon Health Sciences University, USA
SOURCE: U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 685,152.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5827819	A	19981027	US 1996-735977	19961025
US 5149794	A	19920922	US 1990-607982	19901101
US 5256641	A	19931026	US 1992-911209	19920709
US 5543389	A	19960806	US 1993-142771	19931026
US 5965519	A	19991012	US 1996-685152	19960723
US 6024977	A	20000215	US 1997-923015	19970903
AU 9850909	A1	19980515	AU 1998-50909	19971027
AU 738524	B2	20010920		
EP 944399	A2	19990929	EP 1997-913811	19971027
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002514188	T2	20020514	JP 1998-519709	19971027
CA 2269947	C	20020813	CA 1997-2269947	19971027
US 6436437	B1	20020820	US 2000-503892	20000215

PRIORITY APPLN. INFO.:
US 1990-607982 A2 19901101
US 1992-911209 A2 19920709
US 1993-142771 A1 19931026
US 1996-685152 A2 19960723
US 1996-735977 A3 19961025
US 1997-923015 A3 19970903
WO 1997-US19486 W 19971027

AB A method of facilitating the entry of drugs into cells and tissues at physiol. protected sites at pharmicokinetically useful levels and also a method of targeting drugs to specific organelles within the cell are described. This polar lipid/drug conjugate targeting invention embodies an advance over other drug targeting methods known in the prior art, because the invention provides drug concns. in such physiol. protected sites that can reach therapeutically-effective levels after administration of systemic levels much lower than are currently administered to achieve a therapeutic dose. This technol. is appropriate for use with psychotropic, neurotropic and neurol. drugs, agents and compds., for rapid and efficient introduction of such agents across the blood-brain barrier. Further, the invention provides means for retention and prolonged enzymic release of psychotropic, neurotropic and neurol. drugs, agents and compds. comprising the conjugates of the invention, in the brain and central nervous system. Methotrexate (I) linked to sphingosine via an ester linkage to 6-hydroxyhexanoic acid spacer was prepd. Growth inhibitory effects of I conjugate was tested on murine NIH3T3 cells. The prodrug was ineffective in inhibiting cell growth or survival in the absence of brain ext. Upon addn. of brain ext., a significant increase in I cytotoxicity was obsd., which was consistent with cleavage of the ester linkage by the brain ext.-derived esterase.

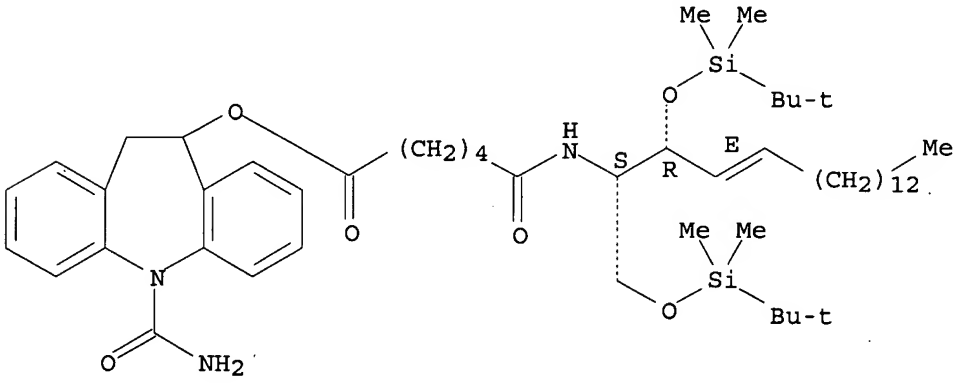
IT **215163-96-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(covalent polar lipid conjugates with neurol. active compds. for targeting)

RN 215163-96-5 CAPLUS

10/ 076,573

CN Hexanoic acid, 6-[[(1S,2R,3E)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3-heptadecenyl]amino]-6-oxo-, 5-(aminocarbonyl)-10,11-dihydro-5H-dibenz[b,f]azepin-10-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 211 THERE ARE 211 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

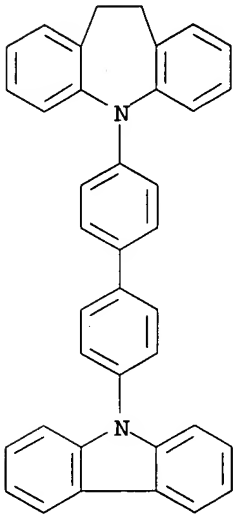
L7 ANSWER 143 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:685634 CAPLUS
DOCUMENT NUMBER: 129:308359
TITLE: Hole transporting materials with high glass transition temperatures for use in organic light-emitting devices
AUTHOR(S): O'Brien, Diarmuid F.; Burrows, Paul E.; Forrest, Stephen R.; Koene, Bryan E.; Loy, Douglas E.; Thompson, Mark E.
CORPORATE SOURCE: Dep. Electrical Engineering, Center Photonics Optoelectronic Materials, Princeton Materials Institut, Princeton Univ., Princeton, NJ, 08544, USA
SOURCE: Advanced Materials (Weinheim, Germany) (1998), 10(14), 1108-1112
CODEN: ADVMEW; ISSN: 0935-9648
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Efficient and stable org. light-emitting devices were fabricated using hole transporting materials with a high glass transition temp. (Tg). A series of devices utilizing high Tg hole transporting layers consisting of compds. with a biphenyl backbone were investigated with respect to their I-V characteristics, external quantum efficiencies, ionization potentials, and electron affinities. N,N'-diphenyl-N,N'-bis-9-phenanthylbenzidine and 4,4'-bis(N-iminostilbenyl)biphenyl had excellent device characteristics coupled to a high Tg. There is no relationship between the HOMO energy and device quantum efficiency or turn-on voltage and an asym. substitution of the amine group hinders charge transport, thereby raising the turn-on and operating voltages.

IT **212385-39-2**
RL: DEV (Device component use); PRP (Properties); USES (Uses)
(electronic, elec., and optical properties of hole transporting materials for LEDs with biphenyl backbone showing high glass transition temp.)

RN 212385-39-2 CAPLUS
CN 5H-Dibenz[b,f]azepine, 5-[4'-(9H-carbazol-9-yl)[1,1'-biphenyl]-4-yl]-10,11-

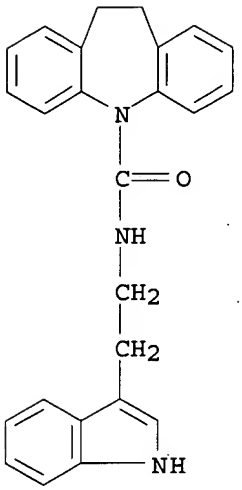
dihydro- (9CI) (CA INDEX NAME)



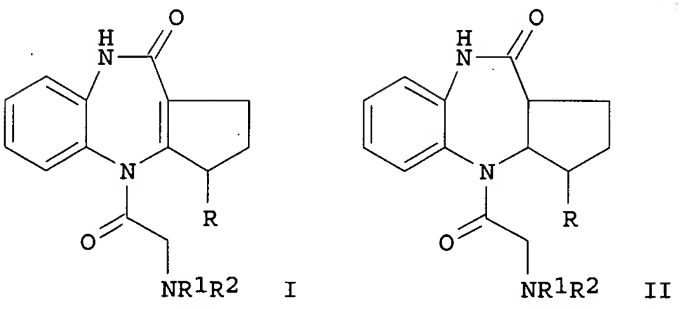
L7 ANSWER 144 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:598727 CAPLUS
DOCUMENT NUMBER: 130:3741
TITLE: Synthesis of predecessor of .beta.-carboline-tryptamine-Nb-amide derivatives and benzodiazepine receptor activity
AUTHOR(S): Mo, Anguo; Wen, Ren
CORPORATE SOURCE: School of Pharmacy, Shanghai Medical University, Shanghai, 200032, Peop. Rep. China
SOURCE: Zhongguo Yaowu Huaxue Zazhi (1997), 7(3), 171-174, 179
CODEN: ZYHZEF; ISSN: 1005-0108
PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB Several derivs. of tryptamme-Nb-amide were synthesized using indole as a starting material. The binding tests in vitro were performed to detect the affinity of these compds. with the benzodiazepine receptor (BZR). It suggest that most of the designed compds. had specific binding affinity to BZR.

IT **215789-25-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of predecessor of .beta.-carboline-tryptamine-Nb-amide derivs. and benzodiazepine receptor activity)
RN 215789-25-6 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-N-[2-(1H-indol-3-yl)ethyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 145 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:598705 CAPLUS
DOCUMENT NUMBER: 130:3832
TITLE: Synthesis of cyclopentabenzodiazepinic compounds as selective M1-receptor antimuscarinics
AUTHOR(S): Yang, Bin; Yun, Liuhong; Cui, Wenyu; Wang, Hai
CORPORATE SOURCE: Institute of Pharmacology + Toxicology, Academy of Military Medical Science, Beijing, 100850, Peop. Rep. China
SOURCE: Zhongguo Yaowu Huaxue Zazhi (1997), 7(2), 79-83
CODEN: ZYHZEF; ISSN: 1005-0108
PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI



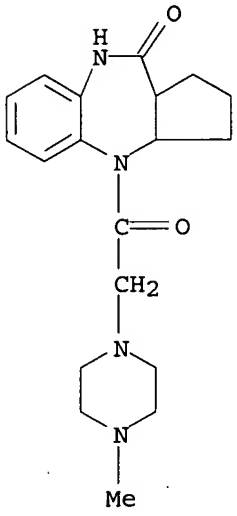
I II

AB Cyclopentabenzodiazepinic compds. I and II [R = H, Me; NR1R2 = piperidyl, (un)substituted piperazinyl] were synthesized and their binding studies on M1, M2 receptors were conducted in rat tissue homogenates. I and II had appreciable M1-receptor selectivity and some compds. had higher M1 receptor affinity than pirenzepine (PZ).

IT **215665-26-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of cyclopentabenzodiazepinic compds. as selective M1-receptor antagonists)

10/ 076,573

RN 215665-26-2 CAPLUS
CN Benzo[b]cyclopenta[e][1,4]diazepin-10(1H)-one, 2,3,3a,4,9,10a-hexahydro-4-[(4-methyl-1-piperazinyl)acetyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 146 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:591639 CAPLUS
DOCUMENT NUMBER: 129:310389
TITLE: Universal template approach to drug design: polyamines as selective muscarinic receptor antagonists
AUTHOR(S): Bolognesi, Maria L.; Minarini, Anna; Budriesi, Roberta; Cacciaguerra, Silvia; Chiarini, Alberto; Spampinato, Santi; Tumiatti, Vincenzo; Melchiorre, Carlo
CORPORATE SOURCE: Department of Pharmaceutical Sciences, University of Bologna, Bologna, 40126, Italy
SOURCE: Journal of Medicinal Chemistry (1998), 41(21), 4150-4160
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

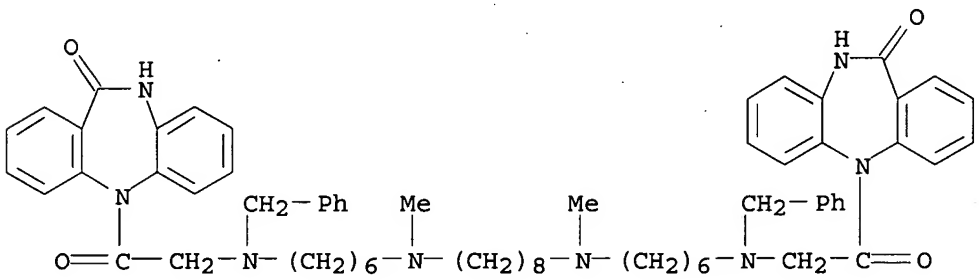
AB The concept that polyamines may represent a universal template in the receptor recognition process is embodied in the design of new selective muscarinic ligands. Tetraamines and diamine diamides analog to tripitramine were synthesized, and their pharmacol. profiles at muscarinic receptor subtypes were assessed by functional expts. in isolated guinea pig left atrium (M2) and ileum (M3) and by binding assays in rat cortex (M1), heart (M2), submaxillary gland (M3), and NG 108-15 cells (M4). It was confirmed that appropriate substituents on the terminal N atoms of a tetraamine template can tune both affinity and selectivity for muscarinic receptors. The novel tetraamine C-tripitramine (17) was able to discriminate significantly M1 and M2 receptors vs. the other subtypes, and in addn. it was 100-fold more lipophilic than the lead compd. tripitramine. Tripinamide, in which the tetraamine backbone was transformed into a diamine diamide one, retained high affinity for muscarinic subtypes, displaying a binding affinity profile (M2 > M1 > M4 > M3) qual. similar to that of tripitramine. Both these ligands, owing to their improved lipophilicity relative to tripitramine and methoctramine, could serve as tools in investigating cholinergic functions in the central nervous system. Furthermore, notwithstanding the fact that the highest

affinity was always assocd. with muscarinic M2 receptors, for the 1st time polyamines were shown to display high pA2 values also toward muscarinic M3 receptors.

IT **214751-05-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arom. heterocyclic polyamines as selective muscarinic receptor antagonists)

RN 214751-05-0 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,5'-[10,19-dimethyl-1,28-dioxo-3,26-bis(phenylmethyl)-3,10,19,26-tetraazaoctacosane-1,28-diyl]bis[5,10-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 147 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:517394 CAPLUS

DOCUMENT NUMBER: 129:245121

TITLE: Synthesis of some substituted dibenzodiazepinones and pyridobenzodiazepinones

AUTHOR(S): Cohen, Victor I.; Jin, Biyun; Cohen, Emil I.; Zeeberg, Barry R.; Reba, Richard C.

CORPORATE SOURCE: Section Radiopharmaceutical Chem., George Washington Univ. Medical Center, Washington, DC, 20037, USA

SOURCE: Journal of Heterocyclic Chemistry (1998), 35(3), 675-686
CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

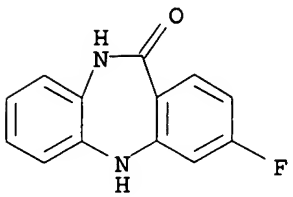
AB Fluoro- and iodo-derivs. of 5-[[4-[(4-diisobutylamino)butyl]-1-phenyl]acetyl]-10,11-dihydro-5H-dibenzo[b,e][1,4]diazepin-11-one and 11-[[4-[(dialkylamino)butyl]-1-phenyl]acetyl]-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones and their analogs were synthesized. The synthesis of dibenzodiazepinones was based on the reaction between 1,4-phenylenediamine and substituted benzoic acids. The intermediate pyridobenzodiazepinones were prepd. by condensation of 2-chloro-3-aminopyridine with Me anthranilate and its chlorine deriv. The condensation of 4-[(halo)alkyl]phenylacetyl chloride with dibenzodiazepinones and pyridobenzodiazepinones followed by the reaction of mono- or dialkyl- or dialkenylamine provided 11-[[4-[(dialkylamino)butyl]-1-phenyl]acetyl]-5,11-dihydro-6H-pyrido[2,3-b][1,4]benzodiazepin-6-ones.

IT **213208-06-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of dibenzodiazepinone and pyridobenzodiazepinone derivs.)

RN 213208-06-1 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 3-fluoro-5,10-dihydro- (9CI) (CA

INDEX NAME)

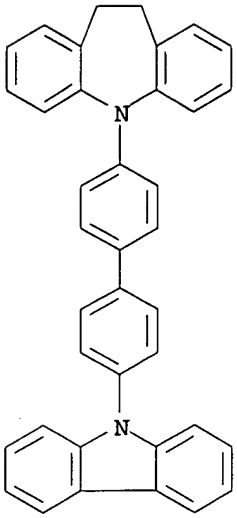


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 148 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:499294 CAPLUS
DOCUMENT NUMBER: 129:216375
TITLE: Unsymmetrical Triaryldiamines as Thermally Stable Hole Transporting Layers for Organic Light-Emitting Devices
AUTHOR(S): Koene, Bryan E.; Loy, Douglas E.; Thompson, Mark E.
CORPORATE SOURCE: Department of Chemistry, University of Southern California, Los Angeles, CA, 90089, USA
SOURCE: Chemistry of Materials (1998), 10(8), 2235-2250
CODEN: CMATEX; ISSN: 0897-4756
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis of a series of unsym. triaryldiamines has provided a no. of materials with a wide range of thermal, electrochem., and spectroscopic properties. The asym. materials described herein have two different diarylamine groups bound to a 1,4-phenylene or 4,4'-biphenylene core, i.e., Ar1Ar2N-C6H4-NAr1'Ar3 or Ar1Ar2N-biphenyl-NAr1'Ar3, resp. The diarylamines studied include diphenylamine, phenyl-m-tolylamine, naphthylphenylamine, iminostilbene, iminodibenzyl, and carbazole. These materials were prepd. by copper- and palladium-catalyzed coupling of aryl halides and diarylamines. The asymmetry inherent in these compds. prevents these low mol. mass compds. from crystg., thus yielding higher thermal stability over that of the sym. derivs. In all cases, the unsym. diamines form stable glasses, with glass transition temps. up to 125.degree.. HOMO levels for these materials, estd. by cyclic voltammetry, show a broad range of values, with oxidn. potentials both lower and higher than those of common hole transport materials used in org. light emitting devices.

IT **212385-39-2P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (unsym. triaryldiamines as thermally stable hole transporting layers for org. light-emitting devices)
RN 212385-39-2 CAPLUS
CN 5H-Dibenz[b,f]azepine, 5-[4'-(9H-carbazol-9-yl)[1,1'-biphenyl]-4-yl]-10,11-dihydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 149 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:489720 CAPLUS
DOCUMENT NUMBER: 129:298240
TITLE: Curative observation of deanxit for treating neurotic affective disorders
AUTHOR(S): Wang, Jiahua; Wang, Linling
CORPORATE SOURCE: Department of Neurology, 4th Military Medical University Xijing Hospital, Xi'an, 710032, Peop. Rep. China
SOURCE: Shaanxi Yixue Zazhi (1998), 27(3), 165-167
CODEN: SYZAEL; ISSN: 1000-7377
PUBLISHER: Shaanxi Yixue Zazhi Bianji Weiyuanhui
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

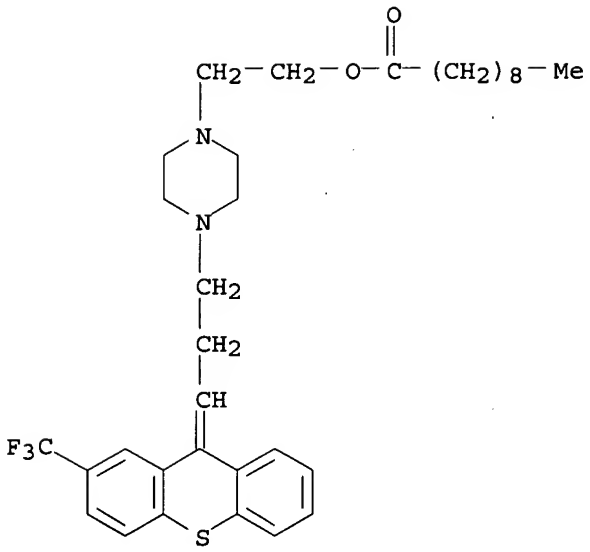
AB 40 Patients with neurotic affective disorder were received deanxit, a mixt. of depixol and imipramine therapy and evaluated by SDS and HAMD scores. The total SDS and HAMD scores were decreased at the end of the 2nd week and further decreased at the end of the 4th week. Deanxit was effective to all the target symptoms, esp. the recognition disorder, and the anxiety and sleep disorder were improved at the end of the 4th week. No significant adverse effect was obsd. The results suggest that deanxit is effective in treatment of neurotic affection disorders.

IT **214556-54-4**, Deanxit
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(curative observation of deanxit for treating neurotic affective disorders)

RN 214556-54-4 CAPLUS
CN Decanoic acid, 2-[4-[3-[2-(trifluoromethyl)-9H-thioxanthen-9-ylidene]propyl]-1-piperazinyl]ethyl ester, mixt. with 10,11-dihydro-N,N-dimethyl-5H-dibenz[b,f]azepine-5-propanamine (9CI) (CA INDEX NAME)

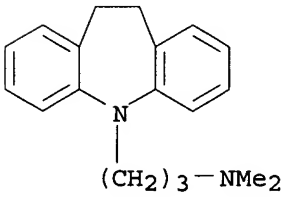
CM 1

CRN 30909-51-4
CMF C33 H43 F3 N2 O2 S



CM 2

CRN 50-49-7
CMF C19 H24 N2



L7 ANSWER 150 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:424258 CAPLUS
DOCUMENT NUMBER: 129:103406
TITLE: Preparation of radioactive technetium and rhenium nitride heteroatom contg. mixed ligand complexes for radioimaging and radiotherapy
INVENTOR(S): Duatti, Adriano; Bolzati, Cristina; Uccelli, Licia; Refosco, Fiorenzo; Tisato, Francesco
PATENT ASSIGNEE(S): Nihon Medi-Physics Co., Ltd., Japan; Duatti, Adriano; Bolzati, Cristina; Uccelli, Licia; Refosco, Fiorenzo; Tisato, Francesco
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827100	A1	19980625	WO 1997-JP4626	19971216

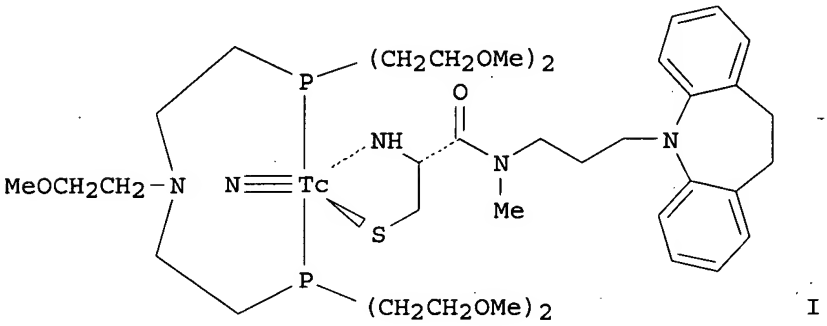
W: AU, CA, JP, KR, NZ, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9854128	A1	19980715	AU 1998-54128	19971216	
AU 730120	B2	20010222			
EP 949265	A1	19991013	EP 1997-947953	19971216	
EP 949265	B1	20030507			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
NZ 335950	A	20000623	NZ 1997-335950	19971216	
AT 239745	E	20030515	AT 1997-947953	19971216	
KR 2000057661	A	20000925	KR 1999-705482	19990617	
US 6270745	B1	20010807	US 1999-331237	19990617	
US 2002048549	A1	20020425	US 2001-838254	20010716	

PRIORITY APPLN. INFO.: JP 1996-338553 A 19961218
WO 1997-JP4626 W 19971216
US 1999-331237 A1 19990617

OTHER SOURCE(S): MARPAT 129:103406

GI



I

AB Claimed are radioactive transition metal nitride hetero-complexes which can label physiol. active substances such as peptides or hormones without impairing the activities thereof. It is composed of a radioactive transition metal nitride and two different ligands coordinating to the nitride, and is represented by the following general formula (M.tplbond.N)XY (wherein the radioactive transition metal, M, is radioactive technetium or rhenium; N is nitrogen; X is a diphosphine compd. or a diarsine compd.; and Y is a bidentate ligand having a combination of electron-donating atoms). The diphosphine compd. X is represented by formula R1R2P(R5)n(Z)m(R5)nPR3R4 [R1, R2, R3, and R4 are hydrogen or (un)substituted alkyl or substituted aryl; R5 is CH2; Z is O, S, CH2, OCH2CH2O, or NR6; wherein R6 is H, (un)substituted alkyl or aryl, NH2, amino acid chain, physiol. active group, COR7; wherein R7 is H, (un)substituted alkyl or aryl, NH2, or physiol. active group]. The bidentate ligand Y is a sugar, amino acid, fatty acid, hormone, peptide, or receptor binding ligand. The radioactive transition metal nitride hetero-complexes are useful as diagnostic agents for radioimaging and as drugs for radiotherapy. Thus, 99TcO4Na (50.0 MBq-3.0 GBq) and EtOH were added successively to a suspension of 5 mg succinic dihydrazide and 0.1 mg SnCl2 in physiol. saline soln. and kept at room temp. for 15 min. A soln. of 3.0 mg Ph2PCH2CH2NEtCH2CH2PPh2 in EtOH and a soln. of 5.0 mg N-cysteinyldesipramine in H2O were added and the resulting mixt. was heated at 100.degree. for 30 min to give the title compd. (I) (.ltoreq.90% radiochem. purity). When I was injected to rat, it showed considerable accumulation in heart, very high accumulation in adrenal gland, and specific accumulation in the cerebral cortex, indicating the it retained the specificity for serotonin receptor.

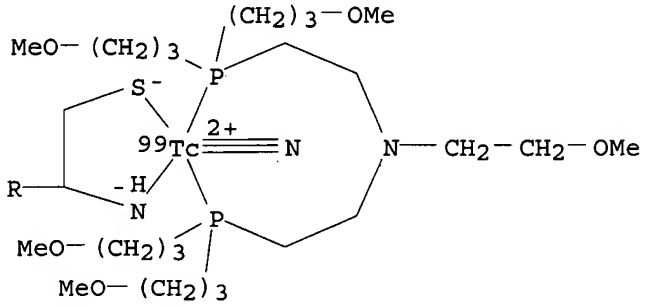
IT **209522-63-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of radioactive transition metal nitride hetero-complexes as diagnostic agents for radioimaging and as drugs for radiotherapy)

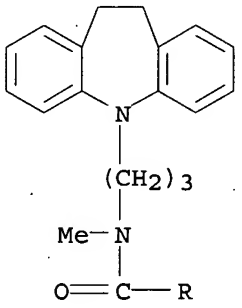
RN 209522-63-4 CAPLUS

CN Technetium-99Tc, [(2R)-2-(amino-.kappa.N)-N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-3-(mercapto-.kappa.S)-N-methylpropanamidato(2-)][N,N-bis[2-[bis(3-methoxypropyl)phosphino-.kappa.P]ethyl]-2-methoxyethanamine]nitrido-, (TB-5-22)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

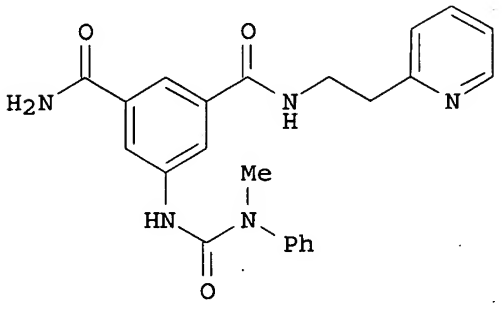
L7 ANSWER 151 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:394320 CAPLUS
DOCUMENT NUMBER: 129:54189
TITLE: Aminobenzenedicarboxylic acid-based combinatorial libraries for discovery of protease inhibitors
INVENTOR(S): Graybill, Todd L.; Wu, Zhengdong; Subasinghe, Nalin; Fedde, Cynthia L.; Salvino, Joseph M.
PATENT ASSIGNEE(S): Graybill, Todd L., USA; Wu, Zhengdong; Subasinghe, Nalin; Fedde, Cynthia L.; Salvino, Joseph M.
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9824760 A1 19980611 WO 1997-US21648 19971126
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9876242 A1 19980629 AU 1998-76242 19971126
US 6127191 A 20001003 US 1997-980062 19971126
PRIORITY APPLN. INFO.: US 1996-32284P P 19961203
WO 1997-US21648 W 19971126
OTHER SOURCE(S): CASREACT 129:54189; MARPAT 129:54189

GI



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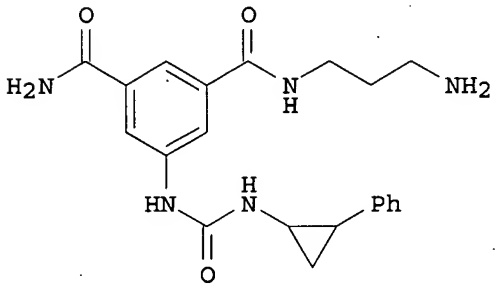


II

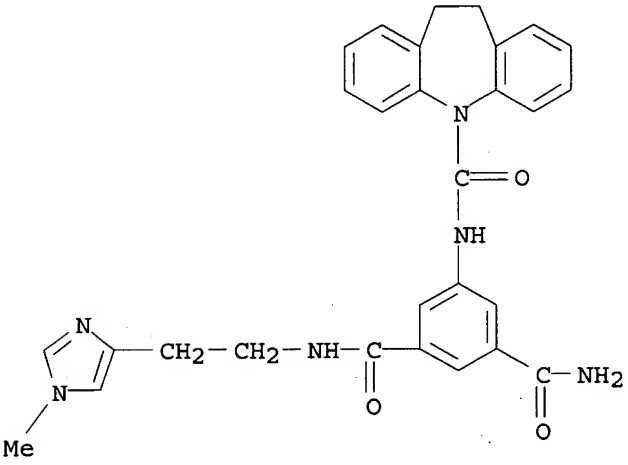
AB The invention provides a library of compds. contg. a common animobenzenedicarboxylic acid core structure (scaffold) which serves as a template for synthesizing approx. 101-106 compds. which are analogs of the scaffold. The library is employed to study ligand binding by biol. receptors, such as enzymes, G-protein coupled receptors and membrane channels. For example, certain individual compds. within the library selectively bind and inhibit the action of trypsin-like serine proteases (no data). The invention also provides combinatorial synthetic methods for making such libraries. Addnl., the invention relates to novel scaffold-modified solid supports, esp. resins, and methods for prepg. them. Further, the invention is directed to screening methods, which comprise use of the compds. in suitable pharmaceutical assays. For instance, an FMOC-protected Rink amide MBHA resin was deprotected, coupled with mono-Me 5-nitroisophthalate as a scaffold precursor, and reduced with SnCl2 to give an amino ester resin. This was submitted to a sequence of reaction with triphosgene, amination to give a urea, ester hydrolysis, acid activation, amidation, and CF3CO2H clip. One obtained sublibrary (14 compds.) included compds. I and II.

IT **208756-16-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminobenzenedicarboxylic acid-based combinatorial libraries for discovery of protease inhibitors)

RN 208756-16-5 CAPLUS

CN 1,3-Benzenedicarboxamide, 5-[[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]amino]-N-[2-(1-methyl-1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 152 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:392920 CAPLUS

DOCUMENT NUMBER: 129:122561

TITLE: Synthetic and mechanistic aspects of the course of the color reaction of iminodibenzyl with aryl or hetaryl aldehydes. An access to new hetaryl-/arylmethanes and 4,5-diaminocyclopentenones

AUTHOR(S): Schneider, G.; Schollmeyer, D.; Pindur, U.

CORPORATE SOURCE: Inst. Pharm., Fachbereich Chem. Pharm., Univ. Mainz, Mainz, D55099, Germany

SOURCE: Pharmazie (1998), 53(6), 361-368
CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER: Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:122561

AB The anal. color reaction of iminodibenzyl with hetaryl/aryl aldehydes was studied in detail to clarify the mechanism of the reaction path. Iminodibenzyl-aryl/hetaryl-carbenium ions were found to be responsible for the color reaction. To analyze the scope and limitations of this arom. electrophilic substitution reaction, related aniline derivs. with different nucleophilicity were studied by reaction with 2-furaldehyde. In this context, 4,5-diamino-2-cyclopenten-1-ones were formed and characterized which gave rise to structural information concerning the aniline/2-furaldehyde color reaction frequently used in the anal. chem. of aminoglycoside antibiotics.

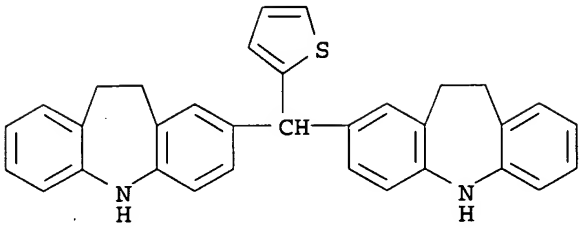
IT **210367-77-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arylmethanes and aminocyclopentenones by color reaction of iminodibenzyl with aryl or hetaryl aldehydes)

RN 210367-77-4 CAPLUS

CN 5H-Dibenz[b,f]azepine, 2,2'-(2-thienylmethylene)bis[10,11-dihydro- (9CI)

10/ 076,573

(CA INDEX NAME)

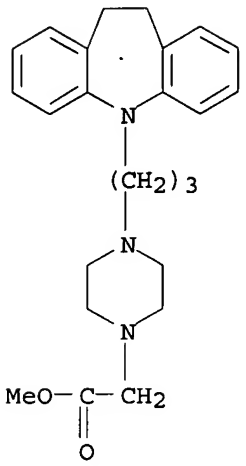


L7 ANSWER 153 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:320869 CAPLUS
DOCUMENT NUMBER: 129:75935
TITLE: Identification and determination of opipramol metabolites in plasma and urine
AUTHOR(S): Lappenberg-Pelzer, Marianne; Tenczer, Joachim
CORPORATE SOURCE: Department of Clinical Toxicology and Pharmacology, Berliner Betrieb fur Zentrale Gesundheitliche Aufgaben, Berlin, D-13437, Germany
SOURCE: Journal of Analytical Toxicology (1998), 22(3), 215-219
CODEN: JATOD3; ISSN: 0146-4760
PUBLISHER: Preston Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In six cases of suspected opipramol overdose, com. available immunoassays for tricyclic antidepressants (TCA) EMIT tox serum Assay and ADxR serum TCA Assay indicated arbitrarily high or toxic TCA concns. However, opipramol concns. detd. by high-performance liq. chromatog. (HPLC) anal. were in the high-normal or low-toxic range. This finding prompted us to study opipramol metab. by mass spectral techniques and to det. the cross-reactivity of opipramol and its metabolites in immunoassays. Three previously unknown metabolites (I, II, V) included an oxidn. product of the hydroxyethyl moiety to an acetic acid group at the piperazine side chain (I), a decarboxylation product of the latter metabolite (II), and opipramol-N-oxide (V). In addn., two previously reported metabolites were identified, which included a deshydroxyethyl metabolite (III) and dibenzazepine (IV). One of the major metabolites of opipramol is the acetic acid metabolite (I), which may exceed the opipramol plasma concn. immensely and contribute to an arbitrarily high concn. in com. available immunoassays. The cross-reactivities of the metabolite (I) were detd. to be 64 and 66% with EMIT and ADx, resp.

IT **209284-88-8**
RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)
(identification and detn. of opipramol metabolites in plasma and urine)

RN 209284-88-8 CAPLUS
CN 1-Piperazineacetic acid, 4-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 154 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:239220 CAPLUS
DOCUMENT NUMBER: 128:282792
TITLE: Preparation of N-substituted azaheterocyclic compounds for treatment of painful, hyperalgesic and/or inflammatory conditions
INVENTOR(S): Andersen, Henrik Sune; Jorgensen, Tine Krogh; Hohlweg, Rolf; Andersen, Knud Erik; Polivka, Zdenek; Miksik, Frantisek
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815549	A1	19980416	WO 1997-DK420	19971002
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9708792	A	19980406	ZA 1997-8792	19971001
AU 9743770	A1	19980505	AU 1997-43770	19971002
AU 740958	B2	20011115		
EP 934313	A1	19990811	EP 1997-941882	19971002
EP 934313	B1	20030514		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9712204	A	19990831	BR 1997-12204	19971002
CN 1235603	A	19991117	CN 1997-199197	19971002
CN 1084744	B	20020515		
JP 2001501937	T2	20010213	JP 1998-517091	19971002
RU 2186769	C2	20020810	RU 1999-109018	19971002
AT 240320	E	20030515	AT 1997-941882	19971002

US 6004983	A	19991221	US 1997-943501		19971003
TW 420675	B	20010201	TW 1997-86117257		19971119
NO 9901564	A	19990604	NO 1999-1564		19990330
KR 2000048901	A	20000725	KR 1999-702931		19990403
CN 1403454	A	20030319	CN 2001-139356		20011121
CN 1403445	A	20030319	CN 2001-139357		20011121
PRIORITY APPLN. INFO.:			DK 1996-1088	A	19961004
			WO 1997-DK420	W	19971002

OTHER SOURCE(S): MARPAT 128:282792
GI

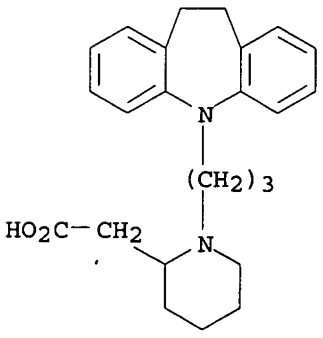
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1, R2 = H, halo, C1-6 alkyl; Y = NCH2, C:CH (only the first atom participates in the ring system); X = S, CH2CH2, OCH2, etc.; r = 1-2; Z = II-V (wherein R3 = (CH2)pCOOH; p = 0-1)] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role, e.g. neurogenic pain, inflammation, migraine, neuropathy, itching and rheumatoid arthritis, as well as useful for treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, were prepd. and formulated. Thus, reaction of 11-(2-bromoethylidene)-6,11-dihydrodibenzo[b,e]thiepine with 4-piperidinecarboxylic acid Et ester in the presence of K2CO3 and NaI in DMSO followed by hydrolysis of the resulting ester with 4N NaOH afforded the title compd. VI.HCl which showed 51% inhibition of histamine induced edema at 1 mg/kg.

IT **205808-28-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-substituted azaheterocyclic compds. for treatment of painful, hyperalgesic and/or inflammatory conditions)

RN 205808-28-2 CAPLUS

CN 2-Piperidineacetic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 155 OF 200 CAPLUS COPYRIGHT 2003 ACS

10/ 076,573

ACCESSION NUMBER: 1998:239219 CAPLUS
DOCUMENT NUMBER: 128:282847
TITLE: Preparation of 1,4-disubstituted piperazines for the treatment of painful, hyperalgesic and/or inflammatory conditions
INVENTOR(S): Hohlweg, Rolf; Madsen, Peter; Jorgensen, Tine Krogh; Andersen, Knud Erik; Watson, Brett; Polivka, Zdenek; Konigova, Otylie; Kovandova, Martina; Silhankova, Alexandra; Valenta, Vladimir
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9815548	A1	19980416	WO 1997-DK422	19971002
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9743772	A1	19980505	AU 1997-43772	19971002
AU 740662	B2	20011108		
EP 934312	A1	19990811	EP 1997-941884	19971002
EP 934312	B1	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9712196	A	19990831	BR 1997-12196	19971002
CN 1234799	A	19991110	CN 1997-199184	19971002
CN 1088459	B	20020731		
JP 2001502307	T2	20010220	JP 1998-517093	19971002
RU 2188197	C2	20020827	RU 1999-109024	19971002
AT 234831	E	20030415	AT 1997-941884	19971002
ZA 9708864	A	19980406	ZA 1997-8864	19971003
US 5916889	A	19990629	US 1997-943726	19971003
US 6004961	A	19991221	US 1999-271785	19990318
US 6040302	A	20000321	US 1999-271565	19990318
US 6133268	A	20001017	US 1999-271564	19990318
NO 9901565	A	19990604	NO 1999-1565	19990330
KR 2000048899	A	20000725	KR 1999-702928	19990403

PRIORITY APPLN. INFO.: DK 1996-1090 A 19961004
WO 1997-DK422 W 19971002
US 1997-943726 A3 19971003
OTHER SOURCE(S): MARPAT 128:282847
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

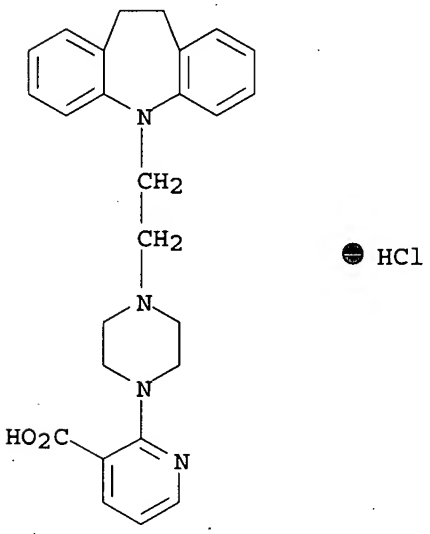
AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; X = o-phenylene, O, S, etc.; Y = N-CH2-, CH-CH2-, C:CH-, CH-O- (only the first atom participates in the ring system); r = 1-3; Z = II-V (M1, M2 = C, N; R5 = H, C1-6 alkyl, PhCH2, Ph; R3 = H, halo, CF3, NO2, CN; R4 = H, halo, CF3, etc.)] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or

inflammatory conditions in which C-fibers play a pathophysiol. role such as e.g. neurogenic pain, inflammation, migraine, neuropathy, itching and rheumatoid arthritis, as well as for the treatment of indications caused by or related to the secretion and circulation of insulin antagonizing peptides, e.g. non-insulin-dependent diabetes mellitus (NIDDM) and ageing-assocd. obesity, were prepd. and formulated. Thus, reaction of 6-(1-piperazinyl)-2-pyridinecarboxylic acid Et ester (prepn. described) with (10,11-dihydro-5H-dibenzo[b,f]acepin-5-yl)-1-Pr methanesulfonate in the presence of K2CO3 in Me2CO followed by hydrolysis of the resulting ester with NaOH in H2O/EtOH afforded the title compd. VI.HCl which showed 61% inhibition of histamine induced pain response at 1.0 mg/kg.

IT **205924-81-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,4-disubstituted piperazines for the treatment of painful, hyperalgesic and/or inflammatory conditions)

RN 205924-81-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-[4-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L7 ANSWER 156 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:239217 CAPLUS

DOCUMENT NUMBER: 128:294711

TITLE: Preparation of N-substituted azaheterocyclic compounds as analgesics and antiinflammatories

INVENTOR(S): Jorgensen, Tine Krogh; Hohlweg, Rolf; Madsen, Peter; Andersen, Knud Erik; Treppendahl, Svend; Olsen, Uffe Bang; Polivka, Zdenek; Silhankova, Alexandra; Sindelar, Karel; Valenta, Vladimir; Kalisz, Tomas

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

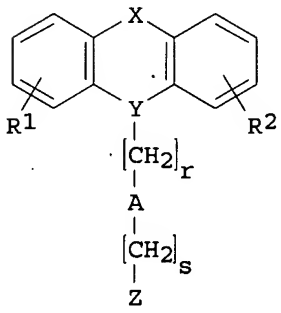
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9815546		A1	19980416	WO 1997-DK421	19971002
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9743771		A1	19980505	AU 1997-43771	19971002
AU 741839		B2	20011213		
EP 934306		A1	19990811	EP 1997-941883	19971002
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
BR 9712202		A	19990831	BR 1997-12202	19971002
CN 1234797		A	19991110	CN 1997-199183	19971002
JP 2001501629		T2	20010206	JP 1998-517092	19971002
US 6569849		B1	20030527	US 1997-943856	19971003
NO 9901563		A	19990603	NO 1999-1563	19990330
KR 2000048909		A	20000725	KR 1999-702939	19990403

PRIORITY APPLN. INFO.: DK 1996-1089 A 19961004
WO 1997-DK421 W 19971002

OTHER SOURCE(S): MARPAT 128:294711

GI



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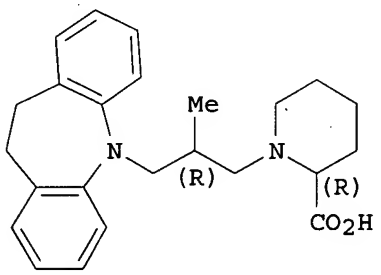


II

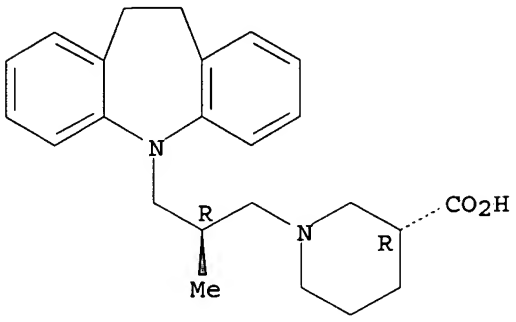
AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; X = o-phenylene, O, S, etc.; Y = N, CH, N(C:O), C:C(R8) (only first atom participates in the ring system and R8 = H, C1-6 alkyl); A = C.tplbond.C, C(O), C:CH, etc.; r, s = 0-4; Z = substituted piperidino, piperazino, pyrrolidino, etc.] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation as well as useful for treatment of indications caused by or related to secretion and circulation of insulin antagonizing peptides, were prepd. and formulated. Thus, reaction of iminodibenzyl with [3-bromo-2(R)-methylpropoxy]tetrahydropyran in the presence of NaNH2 in C6H6 followed by methanesulfonylation of the resulting 3-(10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)-(2S)-methyl-1-propanol, reaction of the methanesulfonate with (R)-2-piperidinecarboxylic acid Et ester hydrochloride in the presence of K2CO3 in DMF, and hydrolysis of the resulting ester with 5N NaOH afforded the title compd. II.HCl with showed 47% inhibition of histamine induced pain response at 1.0 mg/kg.

IT **205982-67-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-substituted azaheterocyclic compds. as analgesics and antiinflammatories)

10/ 076,573

RN 205982-67-8 CAPLUS
CN 3-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)-2-methylpropyl]-, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

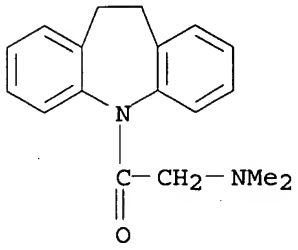


● HCl

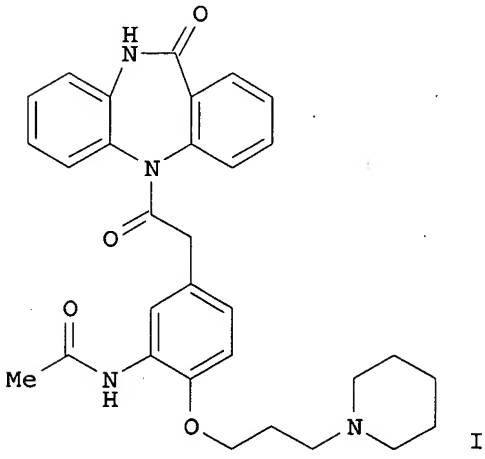
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 157 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:150135 CAPLUS
DOCUMENT NUMBER: 128:238900
TITLE: Synthetic strategies to lower affinity for CYP2D6
AUTHOR(S): Halliday, Rachel C.; Jones, B. C.; Park, B. K.; Smith, D. A.
CORPORATE SOURCE: Dep. Drug Metabolism, Pfizer Central Research, Sandwich, CT13 9NJ, UK
SOURCE: European Journal of Drug Metabolism and Pharmacokinetics (1997), 22(4), 291-294
CODEN: EJDPD2; ISSN: 0378-7966
PUBLISHER: Medecine et Hygiene
DOCUMENT TYPE: Journal
LANGUAGE: English
AB There are several models for the CYP2D6 active site with the characteristics of their substrates and inhibitors well defined. Imipramine possesses such characteristics and is both a substrate and an inhibitor of the CYP2D6 enzyme. Possible synthetic strategies to avoid interaction with the enzyme were investigated, including: attenuation of basicity; and alteration of rigidity and length of the alkyl chain. Imipramine inhibited the 1'-hydroxylation of bufuralol (10 .mu.M), an in vitro marker of CYP2D6 activity, in a CYP2D6 cell line (IC50=2.4 .mu.M). Inhibitory potency was attenuated by the removal of the basic center; imipramine N-oxide had no inhibitory effect on bufuralol 1'-hydroxylation. However, removal of this basic center, as a strategy to decrease CYP2D6 interaction, may well have a detrimental effect on pharmacol. efficacy. Both an increase and decrease in the N-N carbon chain length [2C,4C] caused a redn. in inhibitory potency. In addn., introduction of a carbonyl adjacent to the amino dibenzyl moiety into 2C, 3C, and 4C compds. brought about a further redn. in inhibitory potency. These data demonstrate that changes to the mol., distal to the basic center, can attenuate the affinity of the mol. for CYP2D6 and are in keeping with the known characteristics of the enzyme.
IT **204856-76-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); BIOL (Biological study)
(imipramine analogs. to lower affinity for CYP2D6)
RN 204856-76-8 CAPLUS
CN 5H-Dibenz[b,f]azepine, 5-[(dimethylamino)acetyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 158 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:75334 CAPLUS
DOCUMENT NUMBER: 128:180389
TITLE: Synthesis and biological evaluation of phenylacetyl derivatives having low central nervous system permeability as potent and selective M2 muscarinic receptor antagonists
AUTHOR(S): Watanabe, Toshihiro; Kakefuda, Akio; Tanaka, Akihiro; Takizawa, Kenji; Hirano, Seiko; Shibata, Hiroshi; Yamagiwa, Yoko; Yanagisawa, Isao
CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, 305, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1998), 46(1), 53-68
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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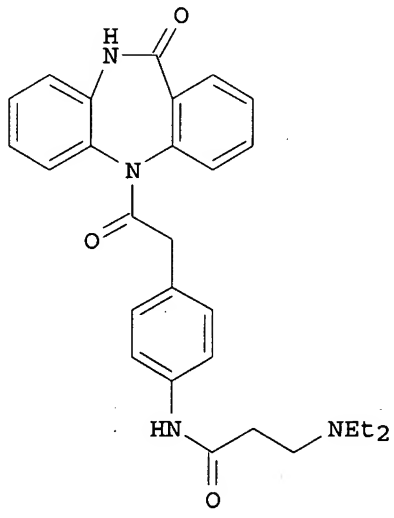


II

AB A series of phenylacetyl derivs. contg. the 5,10-dihydro-11H-dibenzo[b,e][1,4]diazepin-11-one or 5,11-dihydro-6H-pyrido[2,3-

b][1,4]benzodiazepin-6-one skeleton was prepd. and evaluated for their binding affinities to muscarinic receptors in vitro and for antagonism of bradycardia, salivation and tremor in vivo. Among them, dibenzodiazepinone compds. I and II had high affinity for M2 muscarinic receptors in the heart (pKi=8.7 and 8.9, resp.) with low affinity for M3 muscarinic receptors in the submandibular gland. A structure-activity relationship (SAR) study suggested that the high M2 selectivity over the M3 muscarinic receptors of I may be attributed to the direction of the carboxamide carbonyl group. In in vivo studies, I and II antagonized oxotremorine-induced bradycardia in rats on both i.v. and oral administration, and their heart rate increasing effect in dogs with nocturnal bradycardia was about 3-fold greater than that of AF-DX 116. Furthermore, they had almost no influence on oxotremorine-induced tremor in mice, presenting no evidence of central transfer.

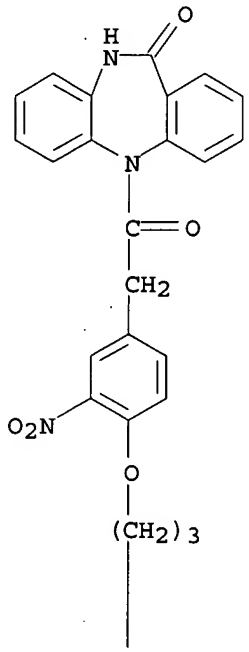
IT **185801-57-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn., muscarinic receptor antagonist activity, and structure activity relationship of phenylacetyl pyridobenzodiazepinones and dibenzodiazepinones)

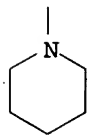
RN 185801-57-4 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,10-dihydro-5-[[3-nitro-4-[3-(1-piperidinyl)propoxy]phenyl]acetyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 159 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:31304 CAPLUS
DOCUMENT NUMBER: 128:88789
TITLE: Preparation of pyridyl alkene- and pyridyl alkyne-acid amides as cytostatics and immunosuppressives
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748696	A1	19971224	WO 1997-EP3245	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624659	A1	19980108	DE 1996-19624659	19960620
ZA 9705437	A	19980210	ZA 1997-5437	19970619
CA 2257448	AA	19971224	CA 1997-2257448	19970620
AU 9732625	A1	19980107	AU 1997-32625	19970620
AU 736206	B2	20010726		
EP 923570	A1	19990623	EP 1997-928261	19970620
EP 923570	B1	20020925		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9709823	A	19990810	BR 1997-9823	19970620
CN 1228777	A	19990915	CN 1997-197424	19970620
JP 2000516913	T2	20001219	JP 1998-502318	19970620
AT 224888	E	20021015	AT 1997-928261	19970620
ES 2179351	T3	20030116	ES 1997-928261	19970620
RU 2200734	C2	20030320	RU 1999-101069	19970620
KR 2000022333	A	20000425	KR 1998-710756	19981221

PRIORITY APPLN. INFO.: DE 1996-19624659 A 19960620
WO 1997-EP3245 W 19970620
OTHER SOURCE(S): MARPAT 128:88789
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, C1-6 alkyl, C3-6 alkenyl, etc.; R3 = H, halo, C1-6 alkyl, etc.; R4 = H, OH, PhCH2O, etc.; k = 0-1; A = (un)substituted C2-6 alkylene, C4-6 alkadienylene, etc.; D =

(un)substituted C1-10 alkylene, C2-10 alkenylene, etc.; E = II, III (wherein n, p = 0-3 with the proviso that n + p .ltoreq. 4; q = 2-3; R10 = H, C1-6 alkyl, OH, etc.; R11 = H, C1-6 alkyl, O; R10R11 = alkylene bridge with 1-5 carbon atoms, esp. a C1-3 alkylene bridge); G = H, SO2(CH2)rR12 (wherein R12 = H, C1-6 alkyl, C3-6 alkenyl, etc.; r = 0-3), COR15 (R15 = CF3, C1-6 alkoxy, PhCH2O, etc.), etc.], useful in the treatment of tumors or for immunosuppression, were prepd. and formulated. Thus, reaction of N-[4-(piperidin-4-yl)butyl]-3-(pyridin-3-yl)acrylamide with N,N-diphenylcarbamic acid chloride in the presence of Et3N in CH2Cl2 afforded 60% IV which showed IC50 of 0.001 .mu.M against HepG2 cells growth.

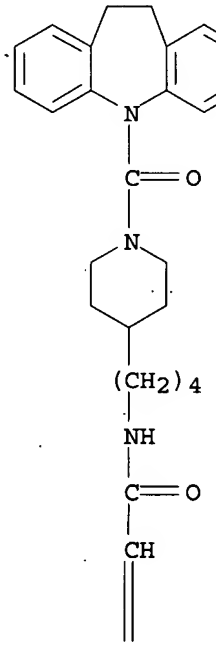
IT **201034-88-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridyl alkene- and pyridyl alkyne- acid amides as cytostatics and immunosuppressives)

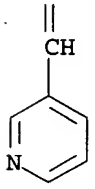
RN 201034-88-0 CAPLUS

CN 2-Propenamide, N-[4-[1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-4-piperidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L7 ANSWER 160 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:31303 CAPLUS
DOCUMENT NUMBER: 128:88788
TITLE: Preparation of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants
INVENTOR(S): Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
PATENT ASSIGNEE(S): Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
SOURCE: PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748695	A1	19971224	WO 1997-EP3243	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624704	A1	19980108	DE 1996-19624704	19960620
ZA 9705439	A	19980223	ZA 1997-5439	19970619
AU 9733420	A1	19980107	AU 1997-33420	19970620
EP 934309	A1	19990811	EP 1997-929240	19970620
EP 934309	B1	20020911		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000512651	T2	20000926	JP 1998-502316	19970620
AT 223912	E	20020915	AT 1997-929240	19970620
ES 2178779	T3	20030101	ES 1997-929240	19970620
US 6444823	B1	20020903	US 1998-216075	19981218

PRIORITY APPLN. INFO.: DE 1996-19624704 A 19960620
WO 1997-EP3243 W 19970620
OTHER SOURCE(S): MARPAT 128:88788

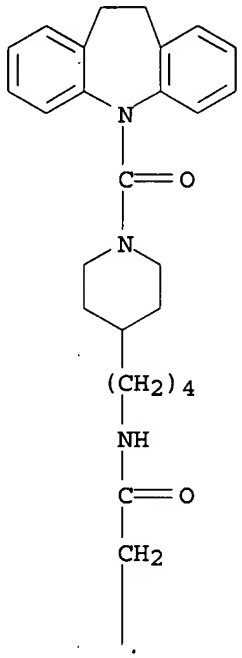
AB R1ZCONR4Z1Z2R2 [I; R1 = (1-oxido)(un)substituted 3-pyridyl; R2 = H, Z3(CH2)r(CR14R15)sR13, COR16, etc.; R4 = H, alkyl, alkoxy, etc.; R13,R14 = H, alkyl, (hetero)aryl, etc.; R15 = H, OH, Me, Ph, CH2Ph; R16 = CF3, alkoxy, OCH2Ph; Z = cyclopropylene, alkylene which may be interrupted by O, CO, NH, etc.; Z1 = (un)substituted alk(en)ylene, etc.; Z2 = N-attached (un)substituted (ox)azacycloalkylene; Z3 = bond or CO; r = 0-3; s = 0 or 1] were prepd. Thus, 4-piperidinebutanol was N-alkylated by Ph2CHBr and the product converted in 2 steps to H2N(CH2)4Z2CHPh2 (Z2 = piperidine-4,1-diyl) which was amidated by 3-pyridinepropionic acid to give R1CH2CH2CONH(CH2)4Z2CHPh2 (R1 = 3-pyridyl, Z2 = piperidine-4,1-diyl). Data for biol. activity of I were given.

IT **200868-28-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[(azacycloalkyl)alkyl]pyridinealkanamides as antitumor agents and immunosuppressants)

RN 200868-28-6 CAPLUS
CN 3-Pyridinepropanamide, N-[4-[1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-

yl)carbonyl]-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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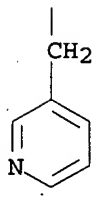


L7 ANSWER 161 OF 200 CAPLUS COPYRIGHT 2003 ACS

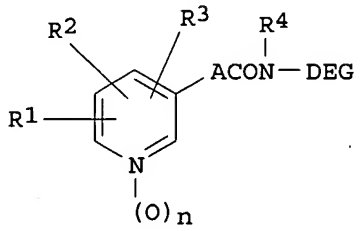
ACCESSION NUMBER:	1998:28656 CAPLUS
DOCUMENT NUMBER:	128:102008
TITLE:	Preparation and formulation of pyridine derivatives as antitumor agents and immunosuppressants
INVENTOR(S):	Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
PATENT ASSIGNEE(S):	Klinge Pharma G.m.b.H., Germany; Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus
SOURCE:	PCT Int. Appl., 267 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9748397	A1	19971224	WO 1997-EP3244	19970620
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19624668	A1	19980219	DE 1996-19624668	19960620
ZA 9705443	A	19980210	ZA 1997-5443	19970619
AU 9732624	A1	19980107	AU 1997-32624	19970620
EP 912176	A1	19990506	EP 1997-928260	19970620
EP 912176	B1	20020925		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000512652	T2	20000926	JP 1998-502317	19970620
AT 224713	E	20021015	AT 1997-928260	19970620
ES 2181006	T3	20030216	ES 1997-928260	19970620
US 6451816	B1	20020917	US 1998-216482	19981218

PRIORITY APPLN. INFO.: DE 1996-19624668 A 19960620
WO 1997-EP3244 W 19970620

OTHER SOURCE(S): MARPAT 128:102008

GI



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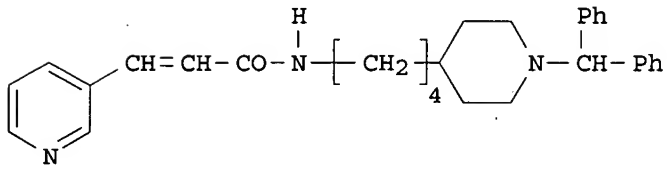


II

AB The title compd. I [R1 = H, halo, cyano, etc.; R2 = H, halo, hydroxy, alkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = H, hydroxy, benzyloxy, etc.; n = 0 or 1; A = alkylene, etc.; D = alkylene, etc.; E = piperidine ring (generic structure given), etc.; G = H, etc.] are prepd. The title compd. II in vitro showed IC50 of 0.008 .mu.M against the WERI-Rb-1 retinoblastoma cells.

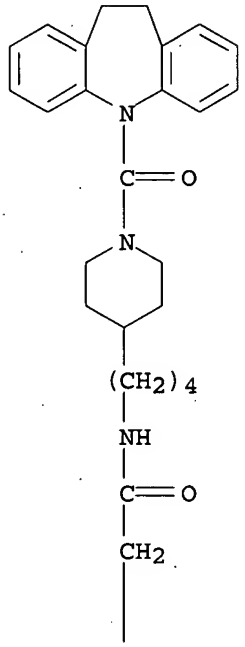
IT **200868-28-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine derivs. as antitumor agents and immunosuppressants)

RN 200868-28-6 CAPLUS

CN 3-Pyridinepropanamide, N-[4-[1-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-

yl)carbonyl]-4-piperidinyl]butyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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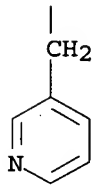


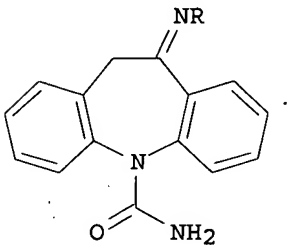
L7 ANSWER 162 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:805728 CAPLUS
DOCUMENT NUMBER: 128:48151
TITLE: Preparation of 10,11-dihydro-10-oximino-dibenz[b,f]azepine-5-carboxamides as nervous system agents
INVENTOR(S): Benes, Jan; Soares Da Silva, Patricio Manuel Vieira Araujo; Learmonth, David Alexander
PATENT ASSIGNEE(S): Portela & Ca. S.A., Port.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745416	A1	19971204	WO 1997-IB691	19970527

W: AU, CN, HU, KR, PL, RU, TR					
US 5866566	A	19990202	US 1997-862196	19970523	
EP 810216	A1	19971203	EP 1997-108465	19970526	
EP 810216	B1	20010321			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, IE, SI, FI					
AT 199901	E	20010415	AT 1997-108465	19970526	
ES 2156319	T3	20010616	ES 1997-108465	19970526	
CA 2206172	AA	19971127	CA 1997-2206172	19970527	
CA 2206172	C	20020716			
AU 9729740	A1	19980105	AU 1997-29740	19970527	
AU 713807	B2	19991209			
BR 9703403	A	19980915	BR 1997-3403	19970527	
CN 1226234	A	19990818	CN 1997-196803	19970527	
CN 1101382	B	20030212			
RU 2187503	C2	20020820	RU 1998-123571	19970527	
KR 2000016229	A	20000325	KR 1998-709799	19981127	
PRIORITY APPLN. INFO.:			PT 1996-101876	A 19960527	
			WO 1997-IB691	W 19970527	

OTHER SOURCE(S): MARPAT 128:48151

GI



I

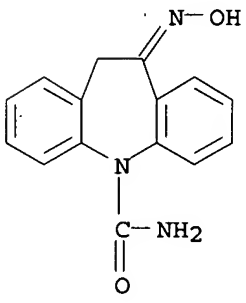
AB Title compds. [I; R = OH, alkyl(oxy), alkanoyloxy, (di)(alkyl)amino, etc.] were prepd. Thus, 10,11-dihydro-10-oxo-5H-dibenz[b,f]azepine-5-carboxamide was treated with NH2OH and the product O-methylated to give I (R = OMe). Data for biol. activity of I were given.

IT **199997-15-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 10,11-dihydro-10-oximino-dibenz[b,f]azepine-5-carboxamides as nervous system agents)

RN 199997-15-4 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-(hydroxyimino)-(9CI) (CA INDEX NAME)



L7 ANSWER 163 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:803807 CAPLUS
DOCUMENT NUMBER: 128:48490
TITLE: Preparation of amino acid derivatives as pharmaceuticals for treatment of neurological and neuropsychiatric disorders
INVENTOR(S): Ognyanov, Vassil Iliya; Borden, Laurence; Bell, Stanley Charles; Zhang, Jing
PATENT ASSIGNEE(S): Trophix Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745115	A1	19971204	WO 1997-US9450	19970529
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2254833	AA	19971204	CA 1997-2254833	19970529
AU 9731530	A1	19980105	AU 1997-31530	19970529
AU 730789	B2	20010315		
EP 1014966	A1	20000705	EP 1997-926871	19970529
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 332780	A	20000728	NZ 1997-332780	19970529
BR 9709501	A	20001107	BR 1997-9501	19970529
CN 1327383	A	20011219	CN 1997-196821	19970529
JP 2002515037	T2	20020521	JP 1997-543034	19970529
NO 9805711	A	19981207	NO 1998-5711	19981207

PRIORITY APPLN. INFO.:
US 1996-655912 A 19960531
US 1996-656063 A 19960531
US 1997-808754 A 19970227
US 1997-808755 A 19970227
US 1997-807682 A 19970227
WO 1997-US9450 W 19970529

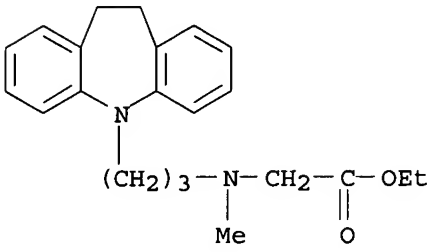
OTHER SOURCE(S): MARPAT 128:48490

AB Amino acid derivs. R2RxRyXR1NR3(R3*)nCR4R4*R5 [X = N, C (R2 not present when X = N); R2 = H, alkyl, alkoxy, cyano, alkanoyl, etc.; Rx, Ry = aryl, heteroaryl, adamantyl, or nonarom. ring linked to X via a single bond, alkylene, etc.; R1 = alkylene, iminooxyethylene, etc.; R3 = H, alkyl, (un)substituted Ph or phenylalkyl, etc.; R3* = alkyl, O; n = 0, 1; R4, R4* = H, alkyl, hydroxyalkyl; R5 = (un)substituted carbamoyl, carboxy, aminosulfonyl, phosphoryl, etc.] were prepd. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders. Thus, N-(4,4-diphenyl-3-butenyl)glycine Et ester was by alkylation of glycine Et ester hydrochloride with 4-bromo-1,1-diphenyl-1-butene. Binding assays to measure interaction of compds. with the glycine site on the NMDA receptor are illustrated.

IT **200005-20-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as pharmaceuticals for treatment of neurol. and neuropsychiatric disorders)

10/ 076,573

RN 200005-20-5 CAPLUS
CN Glycine, N-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-N-methyl-, ethyl ester (9CI) (CA INDEX NAME)

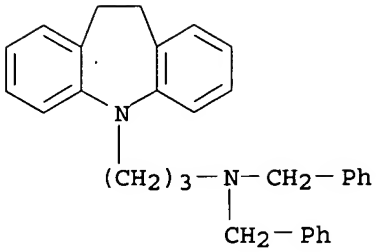


L7 ANSWER 164 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:738467 CAPLUS
DOCUMENT NUMBER: 128:34669
TITLE: Synthesis of 11C-labeled desipramine and its metabolite 2-hydroxydesipramine: potential radiotracers for PET studies of the norepinephrine transporter
AUTHOR(S): Van Dort, Marcian E.; Kim, Jae-Hoon; Tluczek, Louis; Wieland, Donald M.
CORPORATE SOURCE: DIVISION OF NUCLEAR MEDICINE DEPARTMENT OF INTERNAL MEDICINE, UNIVERSITY OF MICHIGAN MEDICAL SCHOOL, ANN ARBOR, MI, 48109-0552, USA
SOURCE: Nuclear Medicine and Biology (1997), 24(8), 707-711
CODEN: NMBIEO; ISSN: 0969-8051
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The antidepressant desipramine (DMI) and its principal metabolite 2-hydroxydesipramine (HDMI) have been radiolabeled with 11C for PET studies. The normethyl precursors of DMI and HDMI were synthesized from iminodibenzyl in 35% and 11% overall yield, resp. Direct methylation of the normethyl precursor with 11CH3I, followed by HPLC purifn., provided [11C]DMI and [11C]HDMI in 18-30% and 15-23% decay-cor. radiochem. yields, resp., in a 45 min synthesis time from end of bombardment. The specific activities of the two radiotracers were > 1459 Ci/mmol at the end of synthesis. [11C]DMI and [11C]HDMI have potential utility as PET radiotracers for the norepinephrine transporter.

IT **199734-18-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 11C-labeled desipramine and 2-hydroxydesipramine)

RN 199734-18-4 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

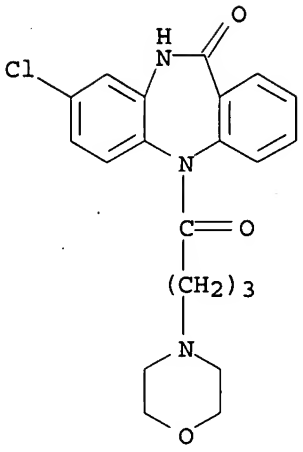


L7 ANSWER 165 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:730155 CAPLUS
DOCUMENT NUMBER: 128:30255
TITLE: New 5-aminoacyl-5,10-dihydro-11H-dibenzo[b,e][1,4]diazepin-11-ones with antiarrhythmic activity
AUTHOR(S): Poppe, H.; Kaverina, N. V.; Lyskovzev, V. V.; Egerland, U.; Sauer, W.; Lichoscherstow, A.; Ruger, Carla; Skoldinow, A.
CORPORATE SOURCE: Corporate Research Development, Arzneimittelwerk Dresden G.m.b.H., Radebeul, D-01445, Germany
SOURCE: Pharmazie (1997), 52(11), 821-830
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

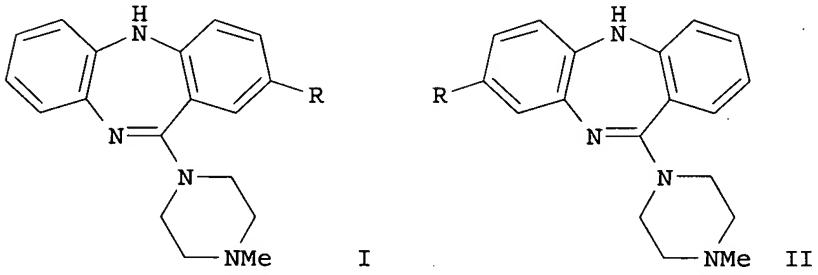
AB A series of new 5-substituted tricyclic 5,10-dihydro-11H-dibenzo[b,e][1,4]-diazepin-11-ones was identified as potential antiarrhythmic agents against bradyarrhythmias. The in vitro and in vivo interactions of the compds. with muscarinic receptors and the antiarrhythmic activity were examd. In receptor binding studies some derivs. showed a high affinity to the cardiac M2 receptor (Ki 10 nmol/L), an equal or smaller affinity to cortical M1 receptor and a lower affinity to the glandular M3 binding site. Functional expts. showed the derivs. as competitive antagonists with high affinity to the cardiac and smaller affinity to the intestinal muscarinic receptor. In vivo expts. correspond with the M2 selectivity. First the vagal or agonist-induced bradycardia was inhibited in rats and guinea pigs while the McNA-343 induced increase of blood pressure, methacholine-induced bronchi and bladder constriction as well as the salivation were inhibited only at higher doses. In conscious cats the tachycardia was examd. in comparison with pupillomotoricity. The effect duration and the therapeutical range were detd. in comparison to the M2 selective blocking agent AF-DX116. The antiarrhythmic activity was examd. compared to quinidine sulfate in CaCl2-arrhythmia of rats, in atrial fibrillation and atrial flutter in dogs and in elec. induced atrial fibrillation under vagal stimulation in cats. In the atrial arrhythmias the derivs. are clearly longer effective than quinidine sulfate. The antiischemic activity was examd. in the 2-stages coronary ligature in dogs. The long-running regularization of ectopies (about 2 h after i.v. injection) occurred without decrease of the heart rate, an effect particularly convenient to therapy of bradycardic dysrhythmias.

IT **199797-02-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aminoacyl dibenzodiazepinones with antiarrhythmic activity)

RN 199797-02-9 CAPLUS
CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 8-chloro-5,10-dihydro-5-[4-(4-morpholinyl)-1-oxobutyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 166 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:727380 CAPLUS
DOCUMENT NUMBER: 128:30304
TITLE: Synthesis and Pharmacological Evaluation of Triflate-Substituted Analogs of Clozapine: Identification of a Novel Atypical Neuroleptic
AUTHOR(S): Liao, Yi; DeBoer, Peter; Meier, Eddie; Wikstroem, Hkan
CORPORATE SOURCE: Department of Medicinal Chemistry, University of Groningen, Groningen, NL-9713 AV, Neth.
SOURCE: Journal of Medicinal Chemistry (1997), 40(25), 4146-4153
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I II

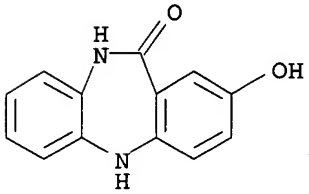
AB The trifluoromethanesulfonyloxy (TfO) analogs I and II (R = OSO2CF3) 8-chloro-11-(4-methyl-1-piperazinyl)-5H-dibenzo[b,e][1,4]diazepine (clozapine) (I; R = Cl) and its 2-chloro isomer (isoclozapine) (II; R = Cl) were prepd. via their OMe and OH analogs with the conventional synthetic method of the tricyclic dibenzodiazepines and evaluated pharmacol. along with their parent drugs. The binding profile of the 2-OTf analog II (R = OSO2CF3) is comparable to the binding profile of I (R = Cl), although the affinity for the dopamine (DA) D2 receptors is higher [IC50 = 31 nM and 330 nM for II (R = OSO2CF3) and I (R = Cl), resp.]. Interestingly, no notable affinity for muscarinic receptors could be detected in II (R = OSO2CF3). On the contrary, the 8-OTf analog I (R = OSO2CF3) only displayed affinity for muscarinic M1 receptors (IC50 = 35

nM) and no affinity (IC50 > 500 nM) for the other receptors tested. The 10 .mu.mol/kg s.c. dose, but not the 10 .mu.mol/kg po dose, of II (R = OSO2CF3) stimulated the output of DA. Increases of 80% and 35% in DOPAC output from the dorsal striatum were seen after s.c. and po administrations of 10 .mu.mol/kg of II (R = OSO2CF3) resp. Doses up to 100 .mu.mol/kg of I (R = OSO2CF3) had no effect on either parameter. Doses up to 100 .mu.mol/kg of II (R = OSO2CF3) were not cataleptogenic, but significantly decreased apomorphine-induced locomotor activity. In conclusion, II (R = OSO2CF3) (GMC1-169) is a new clozapine-like neuroleptic candidate, which is lacking anticholinergic properties and displays a higher potency, as compared to clozapine itself.

IT **183583-24-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and neuroleptic evaluation of clozapine triflate analogs)

RN 183583-24-6 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,10-dihydro-2-hydroxy- (9CI) (CA INDEX NAME)



L7 ANSWER 167 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:717811 CAPLUS
DOCUMENT NUMBER: 128:3348
TITLE: Preparation of acrylic acids as modulators of molecules with phosphotyrosine recognition units
INVENTOR(S): Andersen, Henrik Sune; Moller, Niels Peter Hundahl; Madsen, Peter
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9739748	A1	19971030	WO 1997-DK167	19970417
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6043247	A	20000328	US 1997-842800	19970416
AU 9723814	A1	19971112	AU 1997-23814	19970417
JP 2000509373	T2	20000725	JP 1997-537610	19970417

PRIORITY APPLN. INFO.:
DK 1996-463 A 19960419
DK 1996-1436 A 19961217
US 1996-23661P P 19960717
WO 1997-DK167 W 19970417

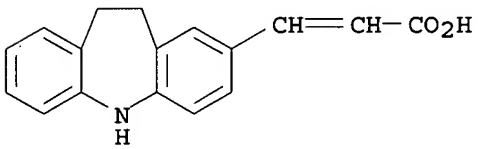
OTHER SOURCE(S): MARPAT 128:3348

AB (L)nAr1CH:CHCO2R1 [I; n = 1-5; (L)n represents up to five substituents independently chosen from H, alkyl, alkoxy, OH, halo, etc.; L = AY1(W1)X(W2)Y2 (X = bond, CO, CONR7, S, SO, etc.; Y1, Y2 = bond, O, S, NR7; R7 = H, alkyl, aralkyl, etc.; W1, W2 = bond, alkylene; A = aryl, heteroaryl, biaryl, etc.); Ar1 = aryl, heteroaryl; R1 = H, alkyl, aryl, aralkyl] were prepd. for modulation of the activity of mols. with phosphotyrosine recognition units, including protein tyrosine phosphatases (PTPases) and proteins with Src-homol.-2 domains, in in vitro systems, microorganisms, eukaryotic cells, whole animals, and human beings. E.g., reaction of 3-(indol-3-yl)acrylic acid Et ester and NaH, followed by addn. of 4-phenylbenzyl chloride and KI, gave 3-(1-biphenyl-4-ylmethyl-1H-indol-3-yl)acrylic acid Et ester. The ester was treated with NaOH in EtOH/H2O/THF to give the corresponding acid. I were PTPase inhibitors.

IT **198707-60-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of modulators of mols. with phosphotyrosine recognition units)

RN 198707-60-7 CAPLUS

CN 2-Propenoic acid, 3-(10,11-dihydro-5H-dibenz[b,f]azepin-2-yl)- (9CI) (CA INDEX NAME)



L7 ANSWER 168 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:714442 CAPLUS

DOCUMENT NUMBER: 128:99

TITLE: Enantioselective HPLC determination of R- and S-trimipramine in human serum [by] using an octyldecylsilane column with .beta.-cyclodextrin as mobile phase additive and solid-phase extraction

AUTHOR(S): Ameyibor, Emmanuel; Stewart, James T.

CORPORATE SOURCE: Department of Medicinal Chemistry, College of Pharmacy, University of Georgia, Athens, GA, 30602-2352, USA

SOURCE: Journal of Liquid Chromatography & Related Technologies (1997), 20(19), 3107-3119
CODEN: JLCTFC; ISSN: 1082-6076

PUBLISHER: Dekker

DOCUMENT TYPE: Journal

LANGUAGE: English

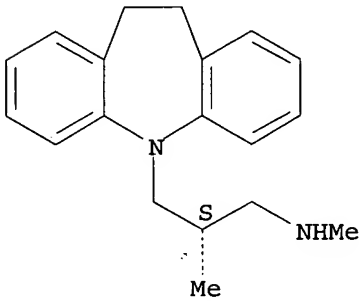
AB A stereospecific HPLC method was developed for the anal. of the enantiomers of trimipramine in human serum. The assay uses amitriptyline as the internal std. and a C18 solid-phase extn. column for serum sample clean-up. It is free of interference from demethyltrimipramine, 2-hydroxydemethyltrimipramine and 2-hydroxytrimipramine, the 3 major metabolites of trimipramine. Recoveries of 98.8% and 97.5% were obtained for the R and S enantiomers of trimipramine, resp. Resoln. of the enantiomers was obtained on an octyldecylsilane column with .beta.-cyclodextrin as the mobile-phase additive. The compn. of the mobile phase was 80:20 aq. 10 mM NH4OAc buffer pH 4 (adjusted with HOAc)-EtOH contg. 20 mM .beta.-cyclodextrin and used at a flow rate of 0.7 mL/min. Linear calibration curves were obtained in the 25-400-ng/mL range for each enantiomer in serum. The detection limit based on a signal/noise ration of 3 was 10 ng/mL for each enantiomer in serum with UV detection at

220 nm. The limit of quantitation for each enantiomer was 25 ng/mL. Precision calcd. as percentage relative std. deviation and accuracy calcd. as percentage error were 0.7-4.5% and 0.9-3.1%, resp., for the R enantiomer and 0.7-5.1% and 0.4-4.4%, resp., for the S enantiomer. Sepn. of the 3 major metabolites of trimipramine was also investigated.

IT **198817-90-2**
RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative)
(detn. and sepn. of trimipramine enantiomers in human blood serum by HPLC and sepn. of metabolites such as)

RN 198817-90-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,.beta.-dimethyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

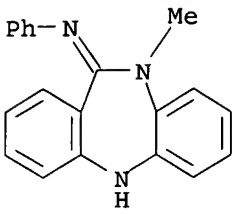


L7 ANSWER 169 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:707817 CAPLUS
DOCUMENT NUMBER: 128:13041
TITLE: Regiochemical assignment of methylated substituted dibenzodiazepines by 1H and 13C NMR
AUTHOR(S): Cortes, E.; Collera, O.; Munoz, P.; Diaz, E.
CORPORATE SOURCE: Instituto de Quimica, U. Nacional Autonoma de Mexico, C.E. C. Universitaria, Delegacion Coyoacan, 04510, Mex.
SOURCE: Spectrochimica Acta, Part A: Molecular and Biomolecular Spectroscopy (1997), 53A(11), 1825-1831
CODEN: SAMCAS; ISSN: 0584-8539
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 1H and 13C NMR anal. is discussed in order to establish the regiochem. assignment of methylated substituted dibenzodiazepines.

IT **187105-21-1**
RL: PRP (Properties)
(regiochem. assignment of methylated substituted dibenzodiazepines by 1H and 13C NMR)

RN 187105-21-1 CAPLUS
CN Benzenamine, N-(5,10-dihydro-10-methyl-11H-dibenzo[b,e][1,4]diazepin-11-ylidene)- (9CI) (CA INDEX NAME)

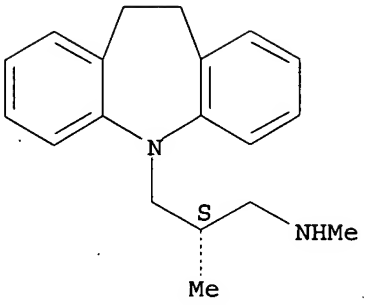


L7 ANSWER 170 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:707362 CAPLUS
DOCUMENT NUMBER: 128:43337
TITLE: Quantitation of trimipramine enantiomers in human serum by enantioselective high-performance liquid chromatography and mixed-mode disk solid-phase extraction
AUTHOR(S): Liu, Jingli; Stewart, James T.
CORPORATE SOURCE: Department of Medicinal Chemistry, College of Pharmacy, University of Georgia, Athens, GA, 30602-2352, USA
SOURCE: Journal of Chromatography, B: Biomedical Sciences and Applications (1997), 700(1 + 2), 175-182
CODEN: JCBBEP; ISSN: 0378-4347
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A sensitive and stereospecific method for the quantitation of trimipramine enantiomers in human serum was developed. The assay involves the use of a novel mixed-mode disk solid-phase extn. for serum sample clean-up prior to HPLC anal. and is also free of interference from the enantiomers of desmethyltrimipramine, 2-hydroxytrimipramine, and 2-hydroxydesmethyltrimipramine, the three major metabolites of trimipramine. Chromatog. resoln. of trimipramine enantiomers was performed on a reversed-phase cellulose-based chiral column (Chiralcel OD-R) under isocratic conditions using a mobile phase consisting of 0.3 M aq. sodium perchlorate-acetonitrile (58:42, vol./vol.) at a flow-rate of 0.5 mL/min. Recoveries for R- and S-trimipramine enantiomers were in the range of 93-96% at 25-185 ng/mL levels. Intra-day and inter-day precisions calcd. as R.S.D. were in the ranges of 0.30-8.00% and 1.60-10.20% for both enantiomers, resp. Intra-day and inter-day accuracies calcd. as percent error were in the 0.01-2.10% and 1.00-3.00% ranges for both enantiomers, resp. Linear calibration curves were in the concn. range 15-250 ng/mL for each enantiomer in serum. The limit of quantification of each enantiomer was 15 ng/mL. The detection limit for each enantiomer in serum using a UV detector set at 210 nm was 10 ng/mL. In addn., sepn. of the enantiomers of desmethyltrimipramine, 2-hydroxytrimipramine, and 2-hydroxydesmethyltrimipramine were investigated. The desmethyltrimipramine enantiomers could be resolved on the Chiralcel OD-R column under the same chromatog. conditions as the trimipramine enantiomers, but the other two metabolite enantiomers required different mobile phases on the Chiralcel OD-R column to achieve satisfactory resoln. with Rs values of 1.00.

IT **198817-90-2**
RL: ANT (Analyte); ANST (Analytical study)
(chromatog. resoln. of enantiomers of trimipramine metabolites and absence of interference with trimipramine detn. in human blood)
RN 198817-90-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-propanamine, 10,11-dihydro-N,.beta.-dimethyl-, (.beta.S)- (9CI) (CA INDEX NAME)

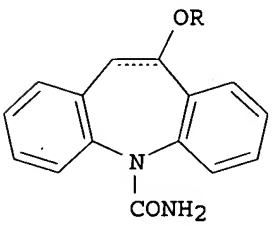
Absolute stereochemistry.



L7 ANSWER 171 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:696744 CAPLUS
DOCUMENT NUMBER: 127:358797
TITLE: Preparation of alkoxycarbamazepines and analogs as drugs
INVENTOR(S): Milanese, Alberto
PATENT ASSIGNEE(S): Trifarma S.R.L., Italy; Milanese, Alberto
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9738978	A1	19971023	WO 1997-EP1742	19970408
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9726942	A1	19971107	AU 1997-26942	19970408

PRIORITY APPLN. INFO.: IT 1996-MI709 19960412
WO 1997-EP1742 19970408
OTHER SOURCE(S): MARPAT 127:358797
GI

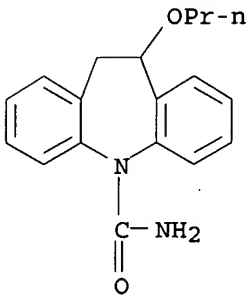


I

AB Title compds. [I; R = (cyclo)alkyl or aryl(alkyl); dashed line = optional addnl. bond] were prepd. as analgesics, antidepressants, and anticonvulsants (no data). Thus, N-acetyliminostilbene was brominated and the product treated with NaOEt to give 10-ethoxyiminostilbene which was treated with KOCN/Cl3CCO2H to give 10-ethoxycarbamazepine.

10/ 076,573

IT **198560-25-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of alkoxycarbamazepines and analogs as drugs)
RN 198560-25-7 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-10-propoxy- (9CI) (CA INDEX NAME)

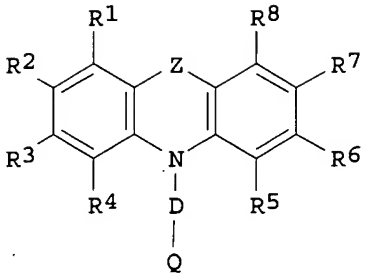


L7 ANSWER 172 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:623152 CAPLUS
DOCUMENT NUMBER: 127:262691
TITLE: Preparation of nitrogenous tricyclic compounds as allergy inhibitors
INVENTOR(S): Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto; Tanaka, Masayuki; Soejima, Motohiro; Moriya, Katsuhiro; Sakuma, Yoshinori; Yamada, Koji; Harada, Kokichi; Nishizawa, Yukio; Kobayashi, Seiichi; Okita, Makoto; Katayama, Koichi
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; Miyamoto, Mitsuaki; Yoshiuchi, Tatsuya; Sato, Keizo; Kaino, Makoto; Tanaka, Masayuki; Soejima, Motohiro; Moriya, Katsuhiro; Sakuma, Yoshinori; et al.
SOURCE: PCT Int. Appl., 175 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

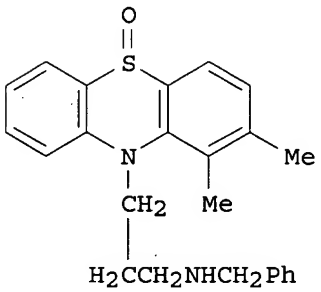
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9733871	A1	19970918	WO 1997-JP789	19970313
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2248820	AA	19970918	CA 1997-2248820	19970313
AU 9719399	A1	19971001	AU 1997-19399	19970313
EP 889037	A1	19990107	EP 1997-907297	19970313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1216982	A	19990519	CN 1997-194202	19970313
NO 9804217	A	19981112	NO 1998-4217	19980911
US 6333322	B1	20011225	US 1998-125451	19980921
US 2002103189	A1	20020801	US 2001-985416	20011102
US 6489336	B2	20021203		

PRIORITY APPLN. INFO.: JP 1996-55628 A 19960313
WO 1997-JP789 W 19970313
US 1998-125451 A3 19980921
OTHER SOURCE(S): MARPAT 127:262691

GI



I



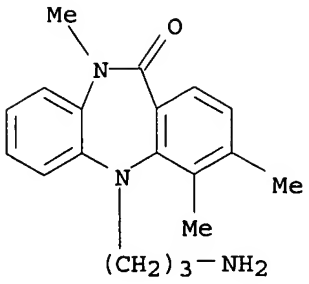
II

AB The title compds. I [D = alkylene; R1 - R8 = hydrogen, hydroxy, cyano, nitro, optionally substituted carbamoyl, halogeno, lower alkyl optionally substituted by halogeno, etc.; Z = S, SO, etc. ; and Q represents, for example, NR20R21 (where R20, R21 = hydrogen, lower alkyl optionally substituted by halogeno, optionally substituted aryl, optionally substituted arylalkyl, optionally substituted heteroaryl, or optionally substituted heteroarylalkyl, or NR20R21 = three- to eight-membered ring)] are prepd. I are effective in the prevention and treatment of diseases in which chem. transmitters such as histamine and leukotriene participate, for example, asthma, allergic rhinitis, atopic dermatitis, hives, hay fever, gastrointestinal allergy, and dietary allergy. In an in vitro test for inhibition of antigen-induced histamine release from basophils, the title compd. II showed IC50 of 10 - 30 .mu.M.

IT **196097-81-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nitrogenous tricyclic compds. as allergy inhibitors)

RN 196097-81-1 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5-(3-aminopropyl)-5,10-dihydro-3,4,10-trimethyl- (9CI) (CA INDEX NAME)



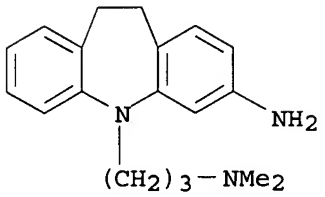
L7 ANSWER 173 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:597991 CAPLUS
DOCUMENT NUMBER: 127:257134
TITLE: Rational design of selective ligands for trypanothione reductase from Trypanosoma cruzi. Structural effects on the inhibition by dibenzazepines based on imipramine
AUTHOR(S): Garforth, Jacqueline; Yin, Hong; McKie, James H.; Douglas, Kenneth T.; Fairlamb, Alan H.
CORPORATE SOURCE: School Pharmacy Pharmaceutical Sciences, Univ. Manchester, Manchester, M13 9PL, UK
SOURCE: Journal of Enzyme Inhibition (1997), 12(3), 161-173
CODEN: ENINEG; ISSN: 8755-5093
PUBLISHER: Harwood
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Trypanothione reductase, the enzyme which in trypanosomal and leishmanial parasites catalyzes the redn. of trypanothione disulfide to the redox-protective dithiol and was identified as a potential target for rational antiparasite drug design, was found strongly inhibited by tricyclic compds. contg. the satd. dibenzazepine (imipramine) nucleus, with Ki values in the low micromolar range. This drug lead structure was designed by mol. graphics anal. of a 3-dimensional homol. model, focusing on the active-site. Inhibition studies were carried out to det. the effect of inhibitor structure on the inhibitory strength towards recombinant trypanothione reductase from Trypanosoma cruzi. Hansch anal. showed that inhibitory strength depended on terms in .pi., .pi.2, and .sigma.m indicating dependence on both lipophilicity and inductive effect for ring-substituted analogs of imipramine. The side-chain .omega.-aminoalkyl chain had to be longer than 2-C units for inhibition. The effect on inhibition strength of the substituent at the .omega.-amino position on the side-chain of the central ring N atom depended markedly on the detailed substitution pattern of the rest of the mol. This provides kinetic evidence studies of multiple binding modes within a single, blanket binding site for the inhibitor with the tricyclic ring system in the general region of the hydrophobic pocket lined by Trp21, Tyr110, Met113, and Phe114. This aspect of the structural sensitivity of the precise active-site triangulation adopted by the inhibitor is probably a function of the use of hydrophobic interactions of low directional specificity in this pocket combined with an electrostatic anchoring by the .omega.-N+HMe2 function of the inhibitor, presumably with a glutamate side-chain, such as Glu-1S, Glu-466' and/or Glu-467'.

IT **196392-45-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(dibenzazepine inhibitors as selective ligands for trypanothione reductase from Trypanosoma cruzi)

RN 196392-45-7 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-propanamine, 3-amino-10,11-dihydro-N,N-dimethyl-

(9CI) (CA INDEX NAME)



L7 ANSWER 174 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:568120 CAPLUS
DOCUMENT NUMBER: 127:234258
TITLE: Indolinyl- and tetrahydroquinolylcarboxamidines with anticonvulsant activity
INVENTOR(S): Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.
PATENT ASSIGNEE(S): Cambridge Neuroscience, Inc., USA; Reddy, N. Laxma; Maillard, Michael; Berlove, David; Magar, Sharad; Durant, Graham J.
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730054	A1	19970821	WO 1997-US2678	19970214
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9722780	A1	19970902	AU 1997-22780	19970214
AU 733475	B2	20010517		
EP 925300	A1	19990630	EP 1997-906923	19970214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000504730	T2	20000418	JP 1997-529602	19970214
US 6358993	B1	20020319	US 1999-425582	19991022
US 2002099084	A1	20020725	US 2001-38178	20011109
US 6514990	B2	20030204		

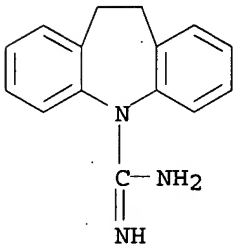
PRIORITY APPLN. INFO.:
US 1996-601992 A 19960215
WO 1997-US2678 W 19970214
US 1997-858399 A3 19970519
US 1999-425582 A1 19991022

OTHER SOURCE(S): MARPAT 127:234258

AB Title compds. (>250 compds.) were prepd. Thus, 1-aminonaphthalene was treated with BrCN to give 1-naphthylcyanamide which was treated with indolin mesylate to give N-(1-naphthyl)-1-indolinylcarboxamidine (I). I at 2 mg/kg i.p. caused 82% inhibition of audiogenic seizures in mice. The title compds. are particularly useful for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders.

IT **195437-36-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)
(prepn. of indolinyl- and tetrahydroquinolylcarboxamidines with anticonvulsant activity)
RN 195437-36-6 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboximidamide, 10,11-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 175 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:568093 CAPLUS
DOCUMENT NUMBER: 127:234329
TITLE: Preparation of diarylsultam derivatives as antipsychotic agents
INVENTOR(S): Rocher, Jean-Philippe
PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan; Rocher, Jean-Philippe
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9730038	A1	19970821	WO 1997-JP400	19970214
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 881220	A1	19981202	EP 1997-902691	19970214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: JP 1996-27745 19960215
WO 1997-JP400 19970214

GI For diagram(s), see printed CA Issue.
AB The title compds. represented by the following general formula: AC(X)(Y)C(R1)(R2)Z [I; Z = N(R3)(CH2)pB, etc.; R3 = alkyl, etc.; p = 3-8; B = a group represented by general formula: (II), (III), (IV) or (V); R7-R10 = H, halo, alkyl, etc.; X' = S, SO, SO2, O, etc.; W, W' = a benzene ring or 5- to 7-membered heterocycle; X = cycloalkyl, aryl, etc.; Y = H, alkyl, alkenyl, etc.; A = OR6, etc.; R6 = H, alkyl, cycloalkyl, etc.; R1, R2 = H, alkyl, etc.] are prepd. I, having high affinity and selectivity for a sigma-2 binding site, are useful as a selective sigma-2 ligand in treating and/or preventing various diseases or symptoms in which sigma-2 ligand participates. Thus, compd. (VI) (prepn. given) was refluxed with 1-(bromoacetyl)adamantane in the presence of K2CO3 in MeCN to give 78.2% the title compd. (VII), which showed Ki of 150 and 5 nM.+-.SEM for sigma-1 and sigma-2 resp.

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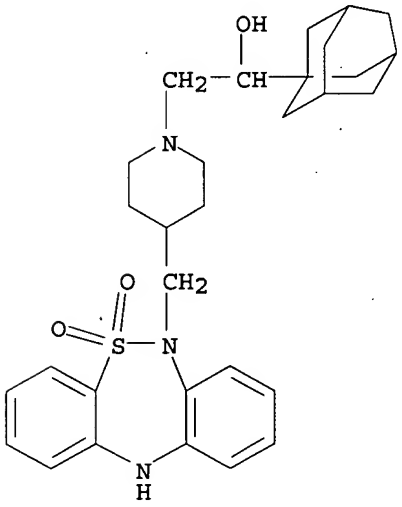
IT **194871-44-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylsultam derivs. as antipsychotic agents)
RN 194871-44-8 CAPLUS
CN 1-Piperidineethanol, 4-[(5,5-dioxidodibenzo[c,f][1,2,5]thiadiazepin-6(11H)-yl)methyl]-.alpha.-tricyclo[3.3.1.13,7]dec-1-yl- (9CI) (CA INDEX NAME)



L7 ANSWER 176 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:538019 CAPLUS
DOCUMENT NUMBER: 127:242796
TITLE: The discovery, characterization and crystallographically determined binding mode of an FMOC-containing inhibitor of HIV-1 protease
AUTHOR(S): Rutenber, Earl E.; De Voss, James J.; Hoffman, Lucas; Stroud, Robert M.; Lee, Kwan H.; Alvarez, Juan; McPhee, Fiona; Craik, Charles; Ortiz de Montellano, Paul R.
CORPORATE SOURCE: Dep. Biochem. Biophys., Univ. California, San Francisco, CA, 94143, USA
SOURCE: Bioorganic & Medicinal Chemistry (1997), 5(7), 1311-1320
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A pharmacophore derived from the structure of the dithiolane deriv. of haloperidol bound in the active site of the HIV-1 protease (HIV-1 PR) has been used to search a three-dimensional database for new inhibitory frameworks. This search identified an FMOC-protected N-tosyl arginine as a lead candidate. A deriv. in which the arginine carboxyl has been converted to an amide has been crystd. with HIV-1 PR and the structure has been detd. to a resoln. of 2.5 .ANG. with a final R factor of 18.5%. The inhibitor binds in an extended conformation that results in occupancy of the S2, S1', and S3' subsites of the active site. Initial structure-activity studies indicate that: (1) the FMOC fluorenyl moiety interacts closely with active site residues and is important for binding; (2) the NG-tosyl group is necessary to suppress protonation of the arginine guanidinyl terminus; and (3) the arginine carboxamide function is involved in interactions with the water coordinated to the catalytic

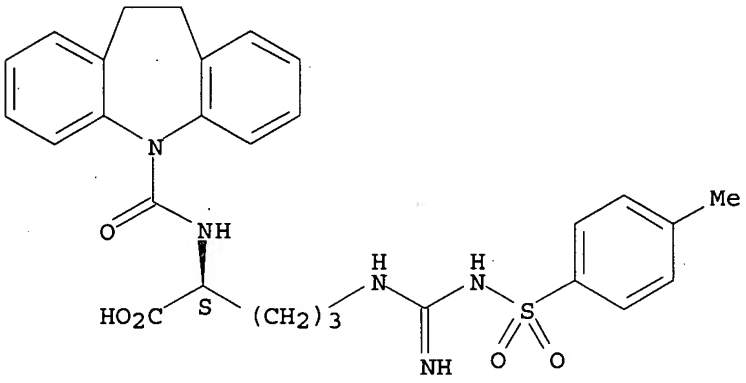
aspartyl groups. FMOC-protected arginine derivs., which appear to be relatively specific and nontoxic, offer promise for the development of useful HIV-1 protease inhibitors.

IT **195736-43-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(HIV-1 protease inhibition by FMOC tosylarginine derivs.)

RN 195736-43-7 CAPLUS

CN L-Ornithine, N2-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 177 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:537574 CAPLUS

DOCUMENT NUMBER: 127:161697

TITLE: 2-Amino heterocycles and their therapeutic uses as leukotriene biosynthesis inhibitors

INVENTOR(S): Es-Sayed, Mazen; Yamamoto, Masaru; Frobel, Klaus; Poll, Chris; Grix, Suzanna; Tudhope, Stephen

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany; Es-Sayed, Mazen; Yamamoto, Masaru; Frobel, Klaus; Poll, Chris; Grix, Suzanna; Tudhope, Stephen

SOURCE: PCT Int. Appl., 275 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724328	A1	19970710	WO 1996-EP5643	19961216
W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, IL, IS, JP, KE, KP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9713728	A1	19970728	AU 1997-13728	19961216

PRIORITY APPLN. INFO.: GB 1995-26560 19951227
WO 1996-EP5643 19961216

OTHER SOURCE(S): MARPAT 127:161697

GI

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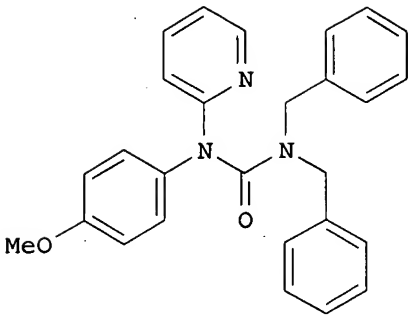


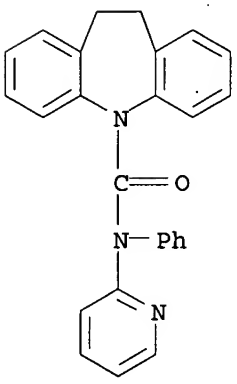
II

AB 2-Amino heterocycles R1R2NCOR3 [I; R1 = H, Me, (un)substituted 6-membered arom. heterocycle contg. .ltoreq.2 N atoms and optionally benzo-fused; R2 = (un)substituted adamantyl, cycloalkyl, pyridyl, Ph, CH2Ph, tetralin-5-yl, 2-norbornyl, 1-azabicyclo[2.2.2]oct-3-yl; or NR1R2 forms .alpha.-carboline residue; R3 = (un)substituted or cyclic amino groups linked via a bond, carbonyl, or alkylene group] are disclosed. I can be used for the prodn. of medicaments which inhibit leukotriene synthesis (in particular LTB4), and are esp. useful for the treatment and control of respiratory diseases and inflammatory processes (no data). For instance, condensation of 2-chloropyridine with 4-MeOC6H4NH2 at 150.degree. gave 2-(4-methoxyanilino)pyridine, which reacted with ClCO2CCl3 and then HN(CH2Ph)2 in dioxane at 60.degree. to give title compd. II plus a byproduct.

IT **193555-04-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-amino heterocycles as leukotriene biosynthesis inhibitors)

RN 193555-04-3 CAPLUS

CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10,11-dihydro-N-phenyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)



L7 ANSWER 178 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:534079 CAPLUS

DOCUMENT NUMBER: 127:220565

TITLE: An improved method for the preparation of 3-substituted 10,11-dihydro-5H-dibenz[b,f]azepine derivatives

AUTHOR(S): Csende, Ferenc; Hosztafi, Sandor

CORPORATE SOURCE: Medikament Pharmaceutical Trading Company Ltd., Szeged, H-7623, Hung.

10/ 076,573

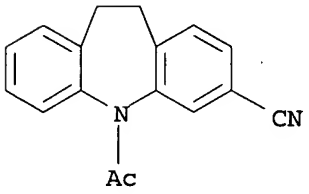
SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung (1997), 339(6), 587-589
CODEN: JPCCEM; ISSN: 0941-1216
PUBLISHER: Barth
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:220565

AB A simple and efficient method for the direct conversion of 3-amino-5-acetyl-10,11-dihydro-5H-dibenz[b,f]azepine by anhyd. Cu halides or alkyl nitrite to the corresponding 3-halo or 3-cyano compds., resp., is described.

IT **195143-90-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of hydrodibenzazepines)

RN 195143-90-9 CAPLUS

CN 5H-Dibenz[b,f]azepine-3-carbonitrile, 5-acetyl-10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 179 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:501445 CAPLUS
DOCUMENT NUMBER: 127:121640
TITLE: Piperidinecarboxylic acid derivatives for treatment of non-insulin-dependent diabetes mellitus
INVENTOR(S): Olsen, Uffe Bang
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Olsen, Uffe Bang
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722342	A1	19970626	WO 1996-DK520	19961210
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9711383	A1	19970714	AU 1997-11383	19961210

PRIORITY APPLN. INFO.: DK 1995-1425 19951215
WO 1996-DK520 19961210

OTHER SOURCE(S): MARPAT 127:121640
GI

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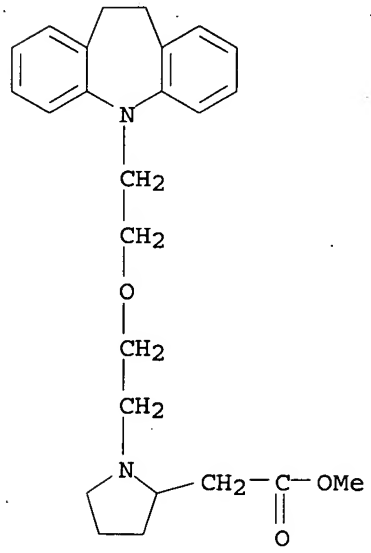
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2 = H, halogen, CF3, alkyl, alkoxy; R3 = OH, alkoxy; R4, R5 = H; R4R5 = bond; X = (CH2)s; X1 = (CH2)r; Y = NCH2, CHCH2, C:CH, CHCH:N, C:N; Z = O, S, CH2, CH2CH2, CH:CHCH2, CH2CH:CH, (CH2)3, CH:CH, OCH2; m = 1, n = 1; m = 2, n = 0; p, q = 0, 1; r = 2-4; s = 0-2] were prepd. for use in the treatment of insulin resistance related to NIDDM (non-insulin-dependent diabetes mellitus) or aging (no data). Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was treated with (ClCH2CH2)2O and Et (R)-3-piperidinecarboxylate, followed by ester hydrolysis to give the acid II.

IT **192764-72-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidinecarboxylic acid derivs. for treatment of non-insulin-dependent diabetes mellitus)

RN 192764-72-0 CAPLUS

CN 2-Pyrrolidineacetic acid, 1-[2-[2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxy]ethyl]-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 180 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:495857 CAPLUS
DOCUMENT NUMBER: 127:190800
TITLE: Dimesitylstibylamines
AUTHOR(S): Benmaarouf, Z.; Riviere-Baudet, M.; El Baz, F.
CORPORATE SOURCE: Laboratoire de Chimie Organique et Organometallique, Faculte des Sciences, Universite Ibnou Zohr, Agadir, BP28/S, Morocco
SOURCE: Main Group Metal Chemistry (1997), 20(6), 373-377
CODEN: MGMCE8; ISSN: 0792-1241
PUBLISHER: Freund
DOCUMENT TYPE: Journal
LANGUAGE: French
GI

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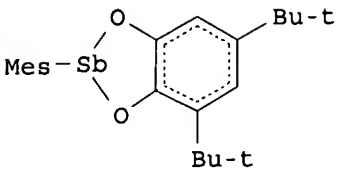


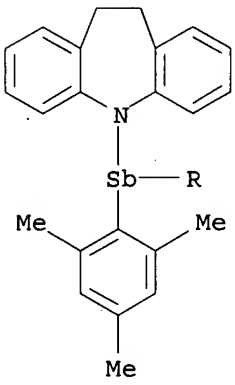
I

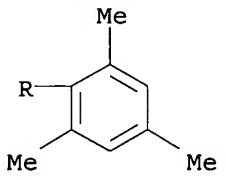
AB Various dimesitylstibylamines, Mes2SbNR2 (HNR2 = HNMe2, HNMePh, HNPh2, pyrrole, iminodibenzyl) were synthesized. Depending on the nucleophilic character of N, they do not present the same Sb-N sensitivity towards hydrolysis or alcoholysis. The fragmentation pathway in mass spectrometry is also related to the nucleophilic character of N in the stibylamine. A SET reaction was obsd. from the action of Ph2NSbMes2 with 3,5-di-t-butylorthobenzoquinone. The paramagnetic reaction intermediates, I and Mes2SbOC6H2tBu2-3,5-OH-2, were obsd. by ESR.

IT **194154-15-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reactions of)

RN 194154-15-9 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[bis(2,4,6-trimethylphenyl)stibino]-10,11-dihydro- (9CI) (CA INDEX NAME)





L7 ANSWER 181 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:285637 CAPLUS

DOCUMENT NUMBER: 126:343544

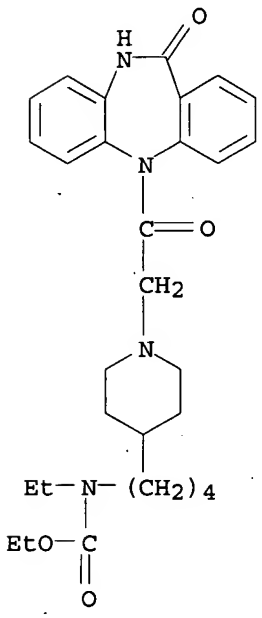
TITLE: Synthesis and evaluation of halogenated dibenzodiazepines as muscarinic receptor ligands

AUTHOR(S): Kassiou, Michael; Read, Roger W.; Shi, Xue-Qin

CORPORATE SOURCE: Radiopharmaceuticals Division, ANSTO, Menai, NSW 2234, Australia

SOURCE: Bioorganic & Medicinal Chemistry Letters (1997), 7(7), 799-804
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Syntheses of four novel amide analogs of the muscarinic M2 receptor antagonists, DIBA and BIBN 140, are described from a common intermediate. Pharmacol. evaluation through in vitro assays reveals high muscarinic receptor affinity in each of the compds., but variable subtype selectivity, primarily M2 but in one case M3.
IT **189938-90-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and muscarinic receptor binding of dibenzodiazepines)
RN 189938-90-7 CAPLUS
CN Carbamic acid, [4-[1-[2-(10,11-dihydro-11-oxo-5H-dibenzo[b,e][1,4]diazepin-5-yl)-2-oxoethyl]-4-piperidinyl]butyl]ethyl-, ethyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 182 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:278945 CAPLUS
DOCUMENT NUMBER: 126:264354
TITLE: Preparation of tricyclic antidepressant conjugates useful in immunoassays
INVENTOR(S): Buechler, Kenneth Francis; Noar, Joseph Barry
PATENT ASSIGNEE(S): Biosite Diagnostics Incorporated, USA
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9708192	A1	19970306	WO 1996-US13378	19960819

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,

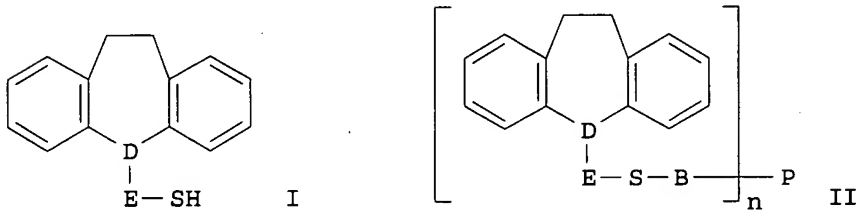
LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN

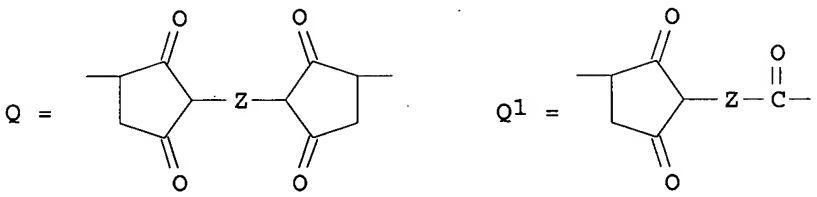
CA 2230052	AA	19970306	CA 1996-2230052	19960819
AU 9667806	A1	19970319	AU 1996-67806	19960819
EP 846126	A1	19980610	EP 1996-928229	19960819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11513030	T2	19991109	JP 1996-510365	19960819

PRIORITY APPLN. INFO.: US 1995-517949 19950822
WO 1996-US13378 19960819

OTHER SOURCE(S): MARPAT 126:264354

GI



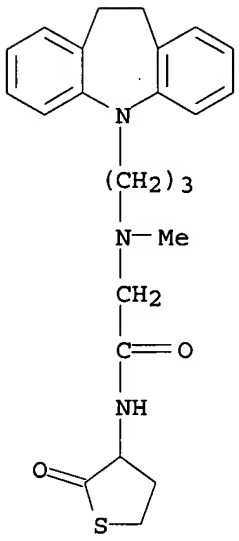


AB The present invention is directed to novel tricyclic antidepressant derivs. I [D = C, N; E = satd. or unsatd. linking group contg. 1-20 carbon atoms and 0-10 heteroatoms (NH, O, S), either branched or in a straight chain] which are synthesized for the covalent attachment to antigens (proteins or peptides) for the prepn. of antibodies or receptors to tricyclic antidepressant and tricyclic antidepressant metabolites. The resulting novel antigens II [P = antigenic protein or peptide or a protein, peptide, or label; n = 1-100; B = linking group Q, Q1, CH2CO-Z-CO, S, S-Z-CO; Z = linking group from 1-20 carbon atoms and 0-10 heteroatoms (NH, O, S) and may be branched or straight chain] may be used for the prodn. of antibodies or receptors using std. methods. Once generated, the antibodies or receptors and the novel derivs. which are covalently attached to proteins, polypeptides or labels my be used in the immunoassay process (no data). Thus, alkylation of desipramine hydrochloride with N-bromoacetyl-DL-homocysteine thiolactone, followed by base hydrolysis, gave conjugate I [D = N, E = (CH2)3NMeCH2CONHCH(CO2H)CH2CH2].

IT **188710-24-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tricyclic antidepressant homocysteine conjugates useful in immunoassays)

RN 188710-24-9 CAPLUS

CN Acetamide, 2-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]methylamino]-N-(tetrahydro-2-oxo-3-thienyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 183 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:276775 CAPLUS
DOCUMENT NUMBER: 126:293494
TITLE: 17- or 20-urea, thiourea, thiocarbamoyl and carbamyl derivatives of 4-azasteroids as 5-reductase inhibitors
INVENTOR(S): Witzel, Bruce E.; Tolman, Richard L.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 886,645, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5620986	A	19970415	US 1995-338574	19950301
WO 9323048	A1	19931125	WO 1993-US4634	19930517

W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1992-886645 B2 19920520
WO 1993-US4634 W 19930517
OTHER SOURCE(S): MARPAT 126:293494

AB Title compds. are effective inhibitors of testosterone 5.alpha.-reductase(s) and are thus useful in the treatment of a no. of hyperandrogenic conditions (no data). Thus, 4-methyl-3-oxo-4-aza-5.alpha.-androstane-17-carboxaldehyde was converted to the oxime, reduced to the aminomethyl deriv., and treated with Me3CNCO to give 17-tert-butylureidomethyl-4-methyl-4aza-5.alpha.-androstan-3-one.

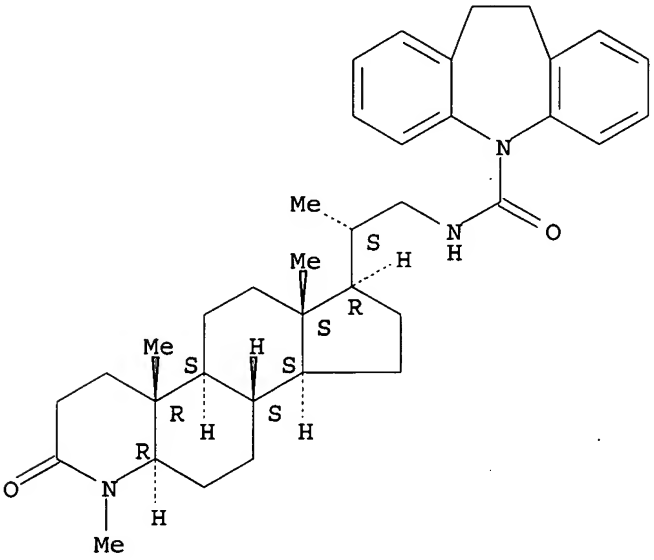
IT **189125-65-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 17-ureido and -carbamoyl derivs. of azaandrostanes as 5.alpha.-reductase inhibitors)

RN 189125-65-3 CAPLUS
CN 5H-Dibenz[b,f]azepine-5-carboxamide, N-[(2S)-2-[(4aR,4bS,6aS,7R,9aS,9bS,11aR)-hexadecahydro-1,4a,6a-trimethyl-2-oxo-1H-

10/ 076,573

indeno[5,4-f]quinolin-7-yl]propyl]-10,11-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 184 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:193424 CAPLUS
DOCUMENT NUMBER: 126:271750
TITLE: Characterization of the metabolites of carbamazepine in patient urine by liquid chromatography/mass spectrometry
AUTHOR(S): Maggs, J. L.; Pirmohamed, M.; Kitteringham, N. R.; Park, B. K.
CORPORATE SOURCE: Department of Pharmacology and Therapeutics, University of Liverpool, Liverpool, L69 3BX, UK
SOURCE: Drug Metabolism and Disposition (1997), 25(3), 275-280
CODEN: DMDSAI; ISSN: 0090-9556
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

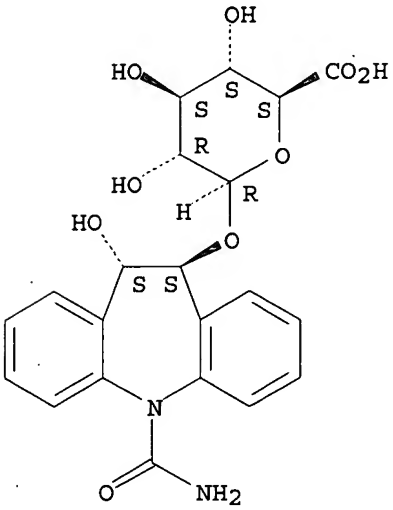
AB The urinary metabolites of carbamazepine (CBZ) in epileptic patients receiving long-term drug treatment have been characterized by LC/MS. CBZ-10,11-epoxide (9.6-15.0 .mu.g/mL), trans-10,11-dihydrodiol-CBZ (273.0-400.00 .mu.g/mL), and CBZ (2.4-3.8 .mu.g/mL) were measured by HPLC. The secondary N-glucuronide of CBZ, four phenolic O-glucuronides (including those of 2- and 3-OH-CBZ), two addnl. OH-CBZ O-glucuronides, and the N-glucuronide of CBZ-10,11-epoxide constituted the products of either direct conjugation or preliminary monoxygenation. Derivs. of these monoxygenated compds., which were characterized as O-glucuronides, were represented by dihydroxylated (catechol) CBZ and its putative O-Me metabolite and by 10,11-dihydrodiol-CBZ. 10,11-Dihydro-10-OH-CBZ O-glucuronide, a metabolite thought to be excreted only by uremic subjects, was not found. More complicated biotransformations of the 10,11-ene moiety were revealed by two carbinol products of azepine ring contraction: 9-OH-methyl-10-carbamoyl acridan and an hydroxylated deriv. thereof, which were excreted as O-glucuronides. No polar sulfur-contg. metabolites that might serve as indicators of reactive intermediate formation were found in human urine.

IT **189014-07-1**
RL: ANT (Analyte); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM

10/ 076,573

(Formation, nonpreparative)
(characterization of metabolites of carbamazepine in human urine by liq. chromatog./mass spectrometry)
RN 189014-07-1 CAPLUS
CN .beta.-D-Glucopyranosiduronic acid, (10S,11S)-5-(aminocarbonyl)-10,11-dihydro-11-hydroxy-5H-dibenz[b,f]azepin-10-yl (9CI) (CA INDEX NAME)

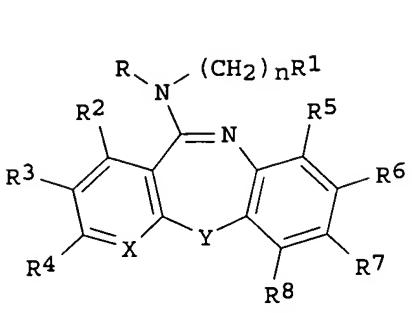
Absolute stereochemistry.



L7 ANSWER 185 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:145240 CAPLUS
DOCUMENT NUMBER: 126:157525
TITLE: Tricyclic inhibitors of protein farnesyltransferase
INVENTOR(S): Bolton, Gary Louis; Doherty, Annette Marian; Kaltenbronn, James Stanley; Quin, John, III; Scholten, Jeffrey D.; Sebolt-Leopold, Judith; Zinnes, Harold
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Bolton, Gary Louis; Doherty, Annette Marian; Kaltenbronn, James Stanley; Quin, John, III; Scholten, Jeffrey D.; Sebolt-Leopold, Judith; Zinnes, Harold
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9700252	A1	19970103	WO 1996-US8528	19960604
W: AU, BG, CA, CN, CZ, EE, GE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, UZ, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9660342	A1	19970115	AU 1996-60342	19960604
US 5919780	A	19990706	US 1997-981505	19971211

PRIORITY APPLN. INFO.: US 1995-913P P 19950616
WO 1996-US8528 W 19960604
OTHER SOURCE(S): MARPAT 126:157525
GI



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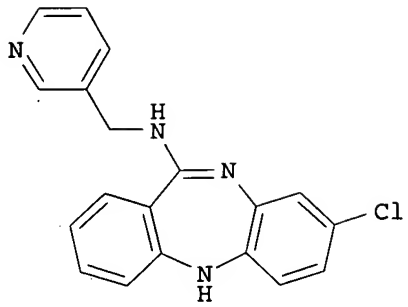


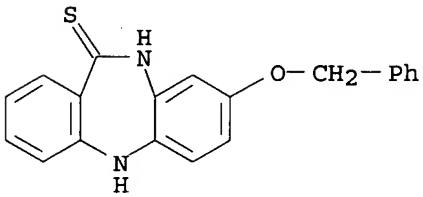
II

AB Title compds. I [wherein X = N or CR9; Y = NR10, CH2, O, S, SO, SO2, C:O, or CH(OH); R = H or alkyl; R1 = heteroaryl; n = 1-5; R2-R10 = H or various substituents] are useful as inhibitors of protein farnesyltransferase (PFT), and thus for the treatment of proliferative diseases including cancer, restenosis and psoriasis, and as antiviral agents. For example, condensation of 8-chloro-5,10-dihydrodibenzo[b,e][1,4]diazepine-11-one with 3-(aminomethyl)pyridine in refluxing EtOCH2CH2OH gave 80% title compd. II. Eighteen I were prepd. and tested for PFT inhibiting and anticancer activity. In two in vitro bioassays, II had IC50 values of 3.7 and 5.0 .mu.M against PFT.

IT **186765-23-1P**, 8-(Benzyloxy)-5,10-dihydrodibenzo[b,e][1,4]diazepin-11-thione
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of tricyclic inhibitors of protein farnesyltransferase)

RN 186765-23-1 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepine-11-thione, 5,10-dihydro-8-(phenylmethoxy)-(9CI) (CA INDEX NAME)



L7 ANSWER 186 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:145184 CAPLUS

DOCUMENT NUMBER: 126:144126

TITLE: Preparation of 10-acyloxy-10,11-dihydrodibenz[b,f]azepine-5-carboxamides as nervous system agents

INVENTOR(S): Benes, Jan; Soares Da Silva, Patricio Manuel Vieira Araujo

PATENT ASSIGNEE(S): Portela & Ca., S.A., Port.

SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

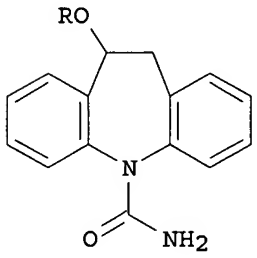
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 751129	A1	19970102	EP 1996-110490	19960628
EP 751129	B1	19981118		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, NL, SE				
WO 9702250	A1	19970123	WO 1996-GB1565	19960627
W: AU, CN, HU, KR, MW, PL, RU, TR				
AU 9663106	A1	19970205	AU 1996-63106	19960627
AU 705388	B2	19990520		
US 5753646	A	19980519	US 1996-673819	19960627
CN 1193965	A	19980923	CN 1996-196397	19960627
CN 1070853	B	20010912		
RU 2168502	C2	20010610	RU 1998-101463	19960627
BR 9602933	A	19980428	BR 1996-2933	19960628
AT 173468	E	19981215	AT 1996-110490	19960628
ES 2124612	T3	19990201	ES 1996-110490	19960628
JP 09110836	A2	19970428	JP 1996-171460	19960701
CA 2180301	AA	19961231	CA 1996-2180301	19960702

PRIORITY APPLN. INFO.: PT 1995-101732 A 19950630
WO 1996-GB1565 W 19960627

OTHER SOURCE(S): MARPAT 126:144126

GI

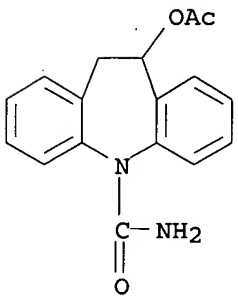


AB Title compds. [I; R = CHO, (amino)alkanoyl, Bz, pyridylcarbonyl, etc.] were prepd. as nervous system agents (no data). Thus, I (R = H) was acylated by (HCO)2O to give I (R = CHO).

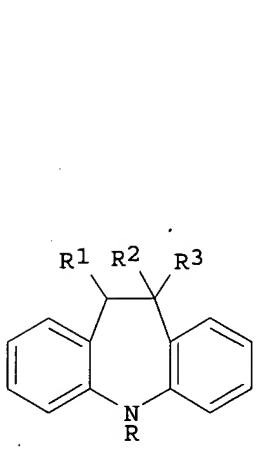
IT **186694-11-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 10-acyloxy-10,11-dihydrodibenz[b,f]azepine-5-carboxamides as nervous system agents)

RN 186694-11-1 CAPLUS

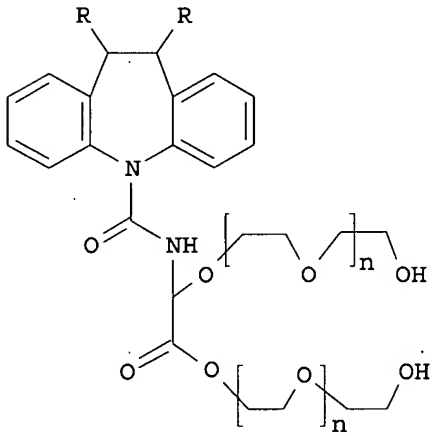
CN 5H-Dibenz[b,f]azepine-5-carboxamide, 10-(acetyloxy)-10,11-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 187 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:116098 CAPLUS
DOCUMENT NUMBER: 126:199441
TITLE: Dibenz[b,f]azepines. Part 7. Synthesis of new, potentially CNS active dibenz[b,f]azepine derivatives
AUTHOR(S): Haasz, Ferenc; Toth, Zoltan; Galamb, Vilmos
CORPORATE SOURCE: Alkaloida Chemical Company Ltd., Tiszavasvari, H-4440, Hung.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1996), 329(12), 551-553
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

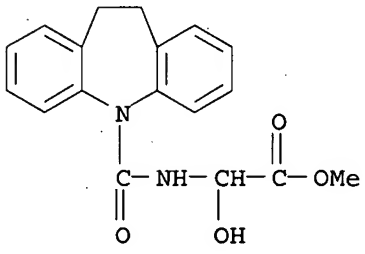


II

AB Reactions of carboxamidodibenzazepines I (R = CONH2 with R1R2 = bond, R3 = H; R1, R2, R3 = H; R1 = H, R2R3 = O; R1R2 = O, R3 = H) with MeO2CCH(OMe)OH led to corresponding dibenzazepines I (R = CONHCHOHCO2Me). The reactions with glycols yielded the oligoethylene glycol derivs. II (n = 0-3; R2 = H2, bond). Some of the compds. showed anticonvulsive and/or antidepressive activity in preliminary tests.

IT **187866-41-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of CNS-active dibenzazepines)

RN 187866-41-7 CAPLUS
CN Acetic acid, [[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]amino]hydroxy-, methyl ester (9CI) (CA INDEX NAME)



L7 ANSWER 188 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:94060 CAPLUS
DOCUMENT NUMBER: 126:104109
TITLE: Tricyclic diazepines useful as GnRH receptor antagonists.
INVENTOR(S): Ohkawa, Shigenori; Fujii, Nobuhiro; Kato, Koichi
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan; Ohkawa, Shigenori; Fujii, Nobuhiro; Kato, Koichi
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638438	A1	19961205	WO 1996-JP1463	19960530
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2213510	AA	19961205	CA 1996-2213510	19960530
AU 9658448	A1	19961218	AU 1996-58448	19960530
JP 09048777	A2	19970218	JP 1996-137181	19960530
EP 828731	A1	19980318	EP 1996-920006	19960530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1217723	A	19990526	CN 1996-194293	19960530
CN 1072219	B	20011003		
US 5866567	A	19990202	US 1996-666430	19960625

PRIORITY APPLN. INFO.: JP 1995-135376 A 19950601
WO 1996-JP1463 W 19960530
OTHER SOURCE(S): MARPAT 126:104109
GI

'* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = benzene ring; B = 6-membered hydrocarbon ring; X = alkylene, CO, SO; Y = bond, O, NR1; R1 = H or alkyl; R = H, arom., alkyl (un)substituted by arom.; m, n = 1-3] and salts thereof have potent GnRH receptor-antagonizing activity. For example, 2,3,9,10a-tetrahydrobenzo[b]cyclopenta[e][1,4]diazepin-10(1H)-one underwent a sequence of: (1) N9-alkylation by 4-nitrobenzyl bromide (71%); (2) redn. of the tetrahydro system to a hexahydro system with NaBH3CN (70%); (3) hydrogenation of the nitro group (71%); (4) acylation of the resulting amine with PhCH2OCOCl (79%); (5) N4-acylation with BrCH2COBr (66%); and (6) reaction of the bromide with 3,4,5,6-tetrahydrophthalimide (86%), to give title compd. II. In an assay for inhibition of 125I-leuprolerin binding to human GnRH receptor in vitro, II had an IC50 of 0.07 .mu.M.

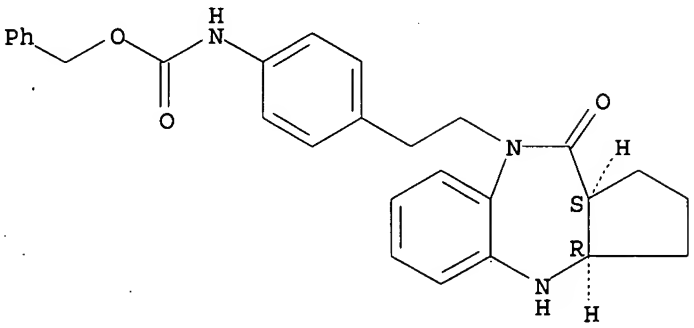
IT **185953-79-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of tricyclic diazepines useful as GnRH receptor antagonists)

RN 185953-79-1 CAPLUS
CN Carbamic acid, [4-[2-(2,3,3a,4,10,10a-hexahydro-10-oxobenzo[b]cyclopenta[e][1,4]diazepin-9(1H)-yl)ethyl]phenyl]-,

10/ 076,573

phenylmethyl ester, cis- (9CI) (CA INDEX NAME)

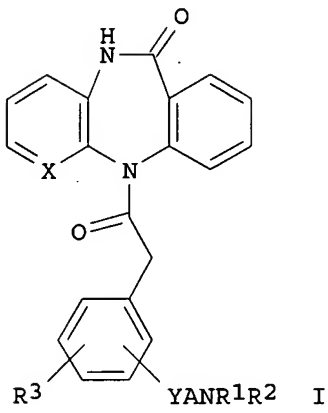
Relative stereochemistry.



L7 ANSWER 189 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:85185 CAPLUS
DOCUMENT NUMBER: 126:104108
TITLE: Preparation of fused benzodiazepinone derivatives for the treatment of heart diseases
INVENTOR(S): Watanabe, Toshihiro; Kakefuda, Akio; Tanaka, Akihiro
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Watanabe, Toshihiro; Kakefuda, Akio; Tanaka, Akihiro
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638422	A1	19961205	WO 1996-JP1462	19960530
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9658447	A1	19961218	AU 1996-58447	19960530
CN 1180350	A	19980429	CN 1996-193058	19960530

PRIORITY APPLN. INFO.: JP 1995-133609 19950531
WO 1996-JP1462 19960530
OTHER SOURCE(S): MARPAT 126:104108
GI



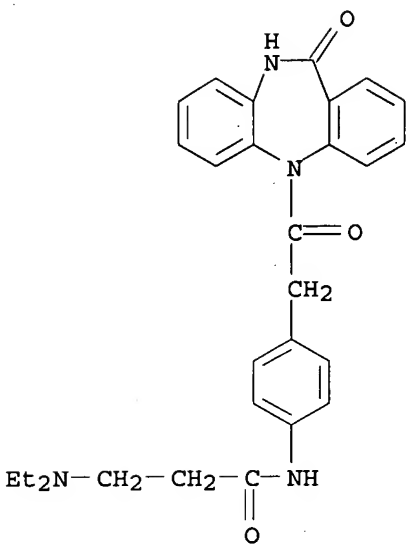
I

AB Fused benzodiazepinone derivs. represented by general formula I [X represents CH or N; Y represents oxygen, NR4, S(O)n or NR5CO, wherein R4 and R5 are the same or different and each represents hydrogen or lower alkyl; and n is an integer of from 0 to 2; A represents lower alkylene; R1 and R2 are the same or different and each represents hydrogen, lower alkyl, cycloalkyl, optionally substituted aryl or optionally substituted aralkyl, or R1 and R2 together with the nitrogen atom to which they are bonded may form a 4- to 9-membered nitrogen-contg. satd. heterocycle optionally further contg. one of oxygen, sulfur and nitrogen atoms and optionally having substituent(s); and R3 represents hydrogen, optionally substituted lower alkyl, hydroxy, lower alkoxy, nitro, halogeno, lower acyl or optionally substituted amino] are prepd. I have medicinal effects, in particular, preventive or therapeutic effects on heart diseases in which muscarinic M2 receptors participate. I show high affinity for the muscarinic M2 receptors.

IT **185801-55-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused benzodiazepinone derivs. for the treatment of heart diseases)

RN 185801-55-2 CAPLUS

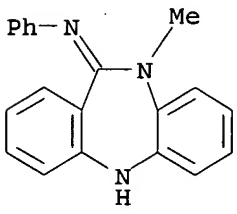
CN Propanamide, 3-(diethylamino)-N-[4-[2-(10,11-dihydro-11-oxo-5H-dibenzo[b,e][1,4]diazepin-5-yl)-2-oxoethyl]phenyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 190 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:81454 CAPLUS
DOCUMENT NUMBER: 126:171571
TITLE: Synthesis and spectral properties of isomeric [(12-N-methyl)- and (10-N-methyl)]-11-(o-, and p-substituted-anilino)-5H-dibenzo[b,e][1,4]diazepines
AUTHOR(S): Cortes, Eduardo Cortes; Islas, Pedro Munoz; Garcia, Marcos Martinez; Romero, Mayra O. Zepeda
CORPORATE SOURCE: Inst. Quim., Univ. Nacional Auton. Mexico, Mexico, 04510, Mex.
SOURCE: Journal of Heterocyclic Chemistry (1996), 33(6), 1723-1726
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The prepn. of sixteen novel substituted [(10-N-methyl)- and (12-N-methyl)]-11-(o-, and p-substituted-anilino)-5H-dibenzo[b,e][1,4]diazepines which have potentially useful pharmacol. properties is described. The structure and the isomeric differences in all products was corroborated by ir, 1H-nmr, 13C-nmr, and mass spectra.

IT **187105-21-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 187105-21-1 CAPLUS
CN Benzenamine, N-(5,10-dihydro-10-methyl-11H-dibenzo[b,e][1,4]diazepin-11-ylidene)- (9CI) (CA INDEX NAME)

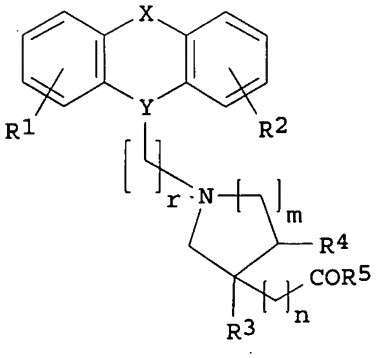


L7 ANSWER 191 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:728964 CAPLUS
DOCUMENT NUMBER: 126:7999
TITLE: Preparation of N-substituted 3-piperidinecarboxylic acids for treatment of neurogenic inflammation and insulin resistance in NIDDM or aging
INVENTOR(S): Andersen, Henrik Sune; Andersen, Knud Erik; Hohlweg, Rolf; Madsen, Peter; Joergensen, Tine Krogh; Olsen, Uffe Bang
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631499	A1	19961010	WO 1996-DK140	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5716949	A	19980210	US 1996-625562	19960328
CA 2217130	AA	19961010	CA 1996-2217130	19960401
AU 9651004	A1	19961023	AU 1996-51004	19960401
EP 869954	A1	19981014	EP 1996-907328	19960401
EP 869954	B1	20010919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503128	T2	19990323	JP 1996-529869	19960401
AT 205843	E	20011015	AT 1996-907328	19960401
ZA 9602736	A	19961016	ZA 1996-2736	19960404
US 5753643	A	19980519	US 1997-862169	19970522

PRIORITY APPLN. INFO.: DK 1995-406 A 19950407
DK 1995-1003 A 19950911
US 1996-625562 A3 19960328
WO 1996-DK140 W 19960401

OTHER SOURCE(S): MARPAT 126:7999
GI



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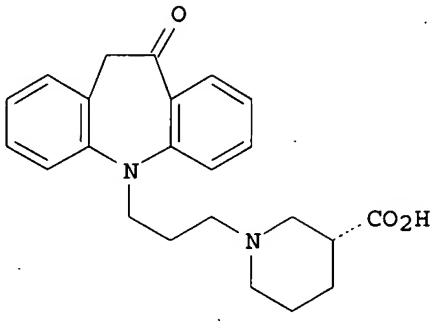


II

AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; Y = N(CH2), CH(CH2), C(:CH) (group in brackets does not participate in the ring system); X =

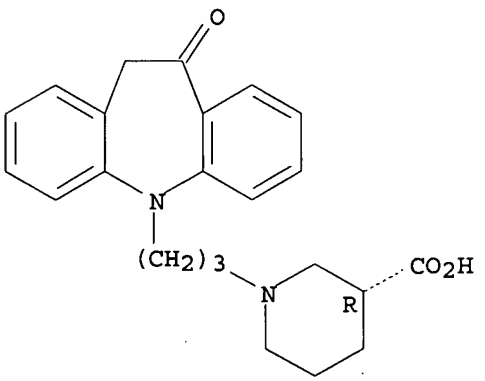
CH2C(O), C(O)CH2, CH2S, etc.; r = 1-3; m = 1-2; n = 1 when m = 1; n = 0 when m = 2; R3, R4 = H, bond (when m = 2); R5 = OH, C1-6 alkoxy] and their salts, useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation, were prepd. and formulated. Thus, treatment of 10-methoxy-5H-dibenz[b,f]azepine/THF with BuLi/hexanes followed by addn. of Br(CH2)3Cl/THF, reaction of the resulting 1-chloro-3-(10-methoxy-10,11-dihydro-5H-dibenzo[b,f]azepin-5-yl)propane with Et (R)-3-piperidinecarboxylate tartrate in the presence of K2CO3, KI in MeC(O)Et and hydrolysis of the ester group afforded (R)-II.HCl which showed 21% inhibition of formalin induced pain response at 0.1 mg/kg.

IT **183787-41-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-substituted 3-piperidinecarboxylic acids for treatment of neurogenic inflammation and insulin resistance in NIDDM or aging)

RN 183787-41-9 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-10-oxo-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L7 ANSWER 192 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:721735 CAPLUS
DOCUMENT NUMBER: 126:8010
TITLE: Preparation of N-(3-dibenzazepinopropyl)piperidinecarboxylates and analogs as drugs
INVENTOR(S): Doerwald, Florenzio Zaragossa; Andersen, Knud Erik; Madsen, Peter; Joergensen, Tine Krogh; Hohlweg, Rolf; Andersen, Henrik Sune; Treppendahl, Svend; Olsen, Uffe Bang; Zdenek, Polivka; et al.
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

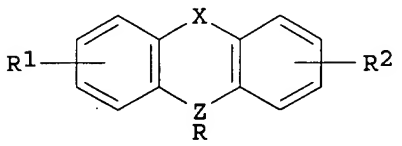
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9631498		A1	19961010	WO 1996-DK139	19960401
	W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML			
CA 2217197		AA	19961010	CA 1996-2217197	19960401
AU 9651003		A1	19961023	AU 1996-51003	19960401
AU 708010		B2	19990729		
EP 820451		A1	19980128	EP 1996-907327	19960401
EP 820451		B1	20030115		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI			
BR 9604864		A	19980526	BR 1996-4864	19960401
CN 1183781		A	19980603	CN 1996-193779	19960401
JP 11503127		T2	19990323	JP 1996-529868	19960401
CZ 291294		B6	20030115	CZ 1997-3164	19960401
AT 231144		E	20030215	AT 1996-907327	19960401
IL 117810		A1	20010913	IL 1996-117810	19960403
ZA 9602732		A	19961024	ZA 1996-2732	19960404
TW 419463		B	20010121	TW 1996-85104810	19960514
NO 9704605		A	19971204	NO 1997-4605	19971006

PRIORITY APPLN. INFO.: DK 1995-405 A 19950407
DK 1995-1005 A 19950911
WO 1996-DK139 W 19960401

OTHER SOURCE(S): MARPAT 126:8010

GI



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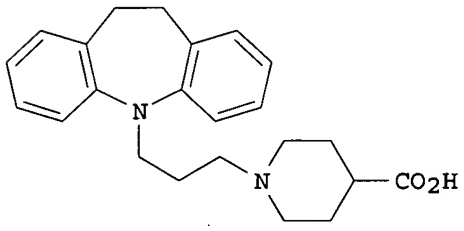


II

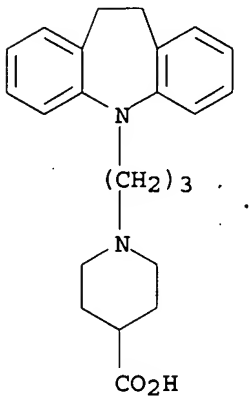
AB Title compds. [I; R = N-attached carboxyheterocyclyl, etc.; R1,R2 = H, halo, alkyl, alkoxy, etc.; X = O, CH2CH2, CH2CO, etc.; Z = N(CH2)2-4, CH(CH2)2-4, CH:CH(CH2)1-3] were prepd. for treatment of neurogenic inflammation and non-insulin-dependant diabetes (no data). Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was acylated by Cl(CH2)3COCl and the reduced product aminated by Et 4-piperidinecarboxylate to give, after sapon., title compd. II.HCl.

IT **183785-31-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-(3-dibenzazepinopropyl)piperidinecarboxylates and analogs as drugs)

RN 183785-31-1 CAPLUS

10/ 076,573

CN 4-Piperidinecarboxylic acid, 1-[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

L7 ANSWER 193 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:718301 CAPLUS
DOCUMENT NUMBER: 126:19327
TITLE: Preparation of peptide compounds as cysteine protease inhibitors
INVENTOR(S): Fukuda, Tsunehiko; Fujisawa, Yukio; Watanabe, Hiroyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630395	A2	19961003	WO 1996-JP840	19960329
WO 9630395	A3	19961227		
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
JP 09165360	A2	19970624	JP 1996-73861	19960328
CA 2215211	AA	19961003	CA 1996-2215211	19960329
AU 9651221	A1	19961016	AU 1996-51221	19960329
EP 820464	A2	19980128	EP 1996-907705	19960329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 6162828	A	20001219	US 1996-648145	19960520

PRIORITY APPLN. INFO.: JP 1995-75593 A 19950331
JP 1995-75594 A 19950331
JP 1995-265723 A 19951013
WO 1996-JP840 W 19960329
OTHER SOURCE(S): MARPAT 126:19327
AB Peptide derivs. R1-R2-R3-R4-NHA(Z)(CH2)nCO2H [I; R1 = H, acyl; R2 - R4 = a bond, an amino acid residue, a group of the formula Y-R5, in which R5 is a

group resulting from imino group removal from an amino acid residue; Y = O, S, NR6, in which R6 = H or lower alkyl; A = CH, N; Z = H, an acyl group, an optionally substituted hydrocarbon group; n = 1 or 2; provided that when n = 1, then A = CH and Y = S or NR6, and, at least one of R2, R3 and R4 = the formula Y-R5, provided that when further all Y = NR6, at least one of the amino acid residues is not bound to an hydrogen atom at the .alpha.-carbon thereof but substituted via carbon; provided that when n = 2 and Z = an aldehyde group, then R1 = an acyl group having 6 or more carbon atoms; provided that when n = 2 and A is CH, then at least one of R2, R3 and R4 is the formula Y-R5] or esters or salts thereof are prepd. A pharmaceutical compn. contg. I is useful for inhibiting interleukin-1.beta. converting enzyme or cysteine protease and for treating or preventing rheumatic arthritis or septic shock. Thus, Fmoc-Val-Aib-OH (Aib = .alpha.-aminoisobutyric acid residue) was condensed with H2NCH[CH(OMe)2]CH2CO2CMe3 using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBt in DMF at 0.degree. for 1 h and at 28.degree. for 14 h to give Fmoc-Val-Aib-NHCH[CH(OMe)2]CH2CO2CMe3, which was treated with aq. CF3CO2H at 28.degree. for 4 h to give Fmoc-Val-Aib-NHCH(CHO)CH2CO2H. The latter compd. in vitro showed IC50 of 1.9 .times. 10-8 M against recombinant interleukin-1.beta. converting enzyme.

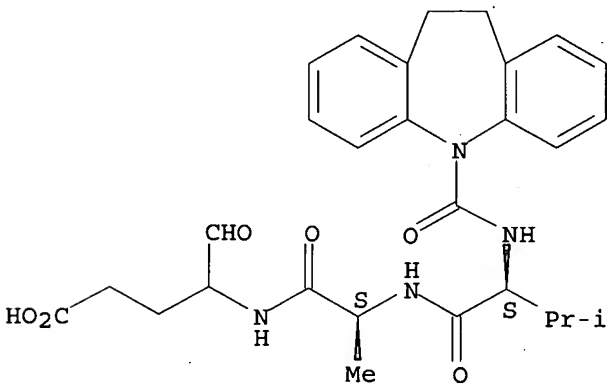
IT **183438-83-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptide compds. as inhibitors of cysteine protease and interleukin-1.beta. converting enzyme for treating septic shock and rheumatic arthritis)

RN 183438-83-7 CAPLUS

CN L-Alaninamide, N-[(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)carbonyl]-L-valyl-N-(3-carboxy-1-formylpropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 194 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:713039 CAPLUS

DOCUMENT NUMBER: 126:8143

TITLE: Preparation of sulfonyloxyisoclozapine derivatives as atypical neuroleptics.

PATENT ASSIGNEE(S): Wikstroem, Haakan, Neth.; De Boer, Peter; Liao, Yi

SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

10/ 076,573

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629316	A1	19960926	WO 1996-SE344	19960319
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9651305	A1	19961008	AU 1996-51305	19960319

PRIORITY APPLN. INFO.: SE 1995-998 19950319
WO 1996-SE344 19960319

OTHER SOURCE(S): MARPAT 126:8143

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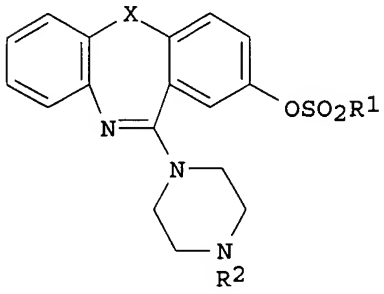


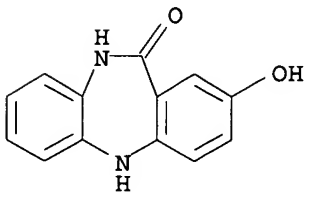
I

AB Title compds. [I; R1 = H, alkyl, haloalkyl, hydroxyalkyl, alkenyl, alkynyl, cyclopropylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl; R2 = H, alkyl, alkenyl, alkynyl, cyclopropylalkyl, haloalkyl, hydroxylalkyl, hydroxyalkyloxyalkyl, 1-(alkyl-2-imidazolidinonyl); X = NH, NR1, O, S, SO, SO2], were prepd. The compds. of this invention possess affinity to one or several receptor systems, e.g. DA (D1-D4), .alpha.1, muscarinic (M1-M4) and 5-HT (5-HT2A, 5-HT2C and 5-HT7). Thus, (I; X = NH; R1 = CF3; R2 = Me), prepd. starting from 5-methoxy-2-aminobenzoic acid and 2-bromonitrobenzene via cyclization of 2-(2-aminophenyl)amino-5-methoxybenzoic acid, s.c. in rats gave a 94% increase in dopamine.

IT **183583-24-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfonyloxyisoclozapine derivs. as atypical neuroleptics)

RN 183583-24-6 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 5,10-dihydro-2-hydroxy- (9CI) (CA INDEX NAME)



L7 ANSWER 195 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:713004 CAPLUS
DOCUMENT NUMBER: 126:8146
TITLE: Novel heterocyclic compounds for treatment of pain

and/or inflammation

INVENTOR(S): Joergensen, Tine Krogh; Andersen, Knud Erik; Andersen, Henrik Sune; Hohlweg, Rolf; Madsen, Peter; Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.

SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631497	A1	19961010	WO 1996-DK138	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5698551	A	19971216	US 1996-623807	19960329
CA 2217206	AA	19961010	CA 1996-2217206	19960401
AU 9651002	A1	19961023	AU 1996-51002	19960401
EP 820450	A1	19980128	EP 1996-907326	19960401
EP 820450	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503126	T2	19990323	JP 1996-529867	19960401
AT 205489	E	20010915	AT 1996-907326	19960401
ZA 9602738	A	19961024	ZA 1996-2738	19960404
US 5747481	A	19980505	US 1997-863749	19970527
US 5750518	A	19980512	US 1997-863751	19970527
US 5780486	A	19980714	US 1997-863257	19970527
US 5846968	A	19981208	US 1997-863746	19970527

PRIORITY APPLN. INFO.:

DK 1995-403	A	19950407
DK 1995-1006	A	19950911
US 1996-623807	A3	19960329
WO 1996-DK138	W	19960401

OTHER SOURCE(S): MARPAT 126:8146

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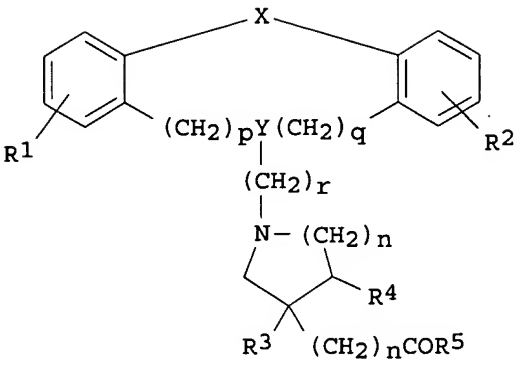
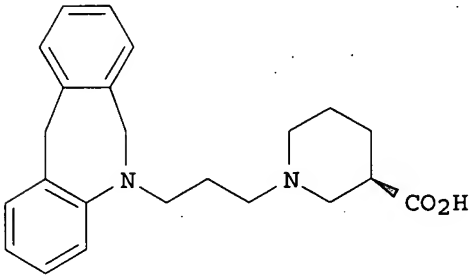


I



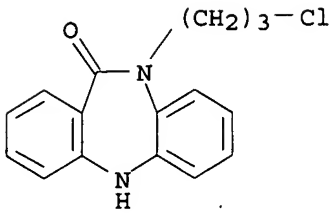
II

AB Compds. I [R1, R2 = H, halo, CF3, OH, alkyl, alkoxy; Y = various trivalent branched radicals: CH2N(CH2), CON(CH2), (CH2)NCO, CH:C(CH2), OCH(CH2), (CH2)CHO, SCH(CH2), etc. (fragments in parentheses not in ring); X = O, S, CR6R7, CH2CH2, CH:CHCH2, COCH2, OCH2, CH2O, SCH2, NR8, NR9, etc.; q, p = 0, 1; r = 1-3; m = 1, 2; n = 1 when m = 1; n = 0 when m = 2; R3, R4 = H, or R3R4 = bond when m = 2; R5 = OH, alkoxy; R6-R9 = H, alkyl] and their pharmaceutically acceptable salts are disclosed. The invention also relates to esters of I, methods of prepn. of I, compns. contg. the compds., and their use for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation. For example, 6,11-dihydro-5H-dibenz[b,e]azepine was subjected to a sequence of: N-acylation with ClCH2CH2COCl (100%), redn. of carbonyl with LiAlH4, amination of the chloride with (R)-3-piperidinecarboxylic acid Et ester tartrate (42%), and alk. hydrolysis and acidification of the ester (74%), to give title compd. II.HCl. At 0.1 mg/kg in mice, II.HCl gave 36% inhibition of formalin-induced paw pain response.

IT **183614-91-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of tricyclic azaheterocyclic carboxylic acids as analgesics and antiinflammatories)

RN 183614-91-7 CAPLUS

CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 10-(3-chloropropyl)-5,10-dihydro- (9CI) (CA INDEX NAME)



L7 ANSWER 196 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:710568 CAPLUS
DOCUMENT NUMBER: 125:328519
TITLE: Novel N-substituted 3-pyrrolidine- or 3-piperidinecarboxylic acids and esters for the treatment of neurogenic inflammation
INVENTOR(S): Andersen, Knud Erik; Olsen, Uffe Bang; Andersen, Henrik Sune; Hohlweg, Rolf; Joergensen, Tine Krogh; Madsen, Peter
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631472	A1	19961010	WO 1996-DK150	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9652715	A1	19961023	AU 1996-52715	19960401

PRIORITY APPLN. INFO.: DK 1995-416 19950407
WO 1996-DK150 19960401
OTHER SOURCE(S): MARPAT 125:328519
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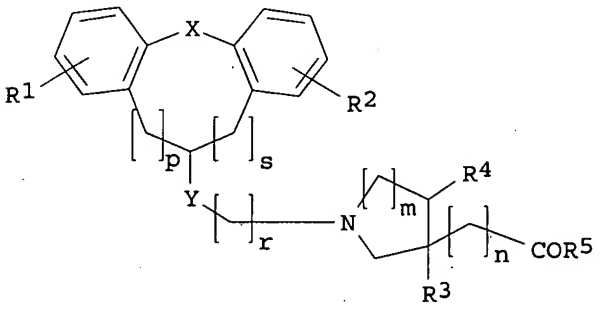


I

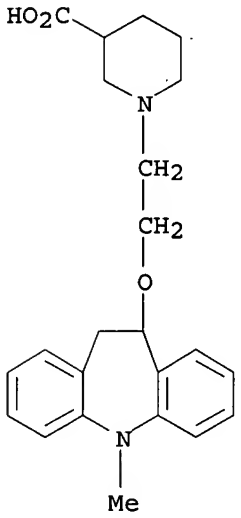
AB The title compds. [I; R1, R2 = H, halo, CF3, etc.; X = OCH2, CH2O, CH:CHCH2, etc.; Y = O, S(O)q (wherein q = 0-2), (un)substituted NH; R3 = H; R4 = OH, R3R4 = bond; R5 = OH, C1-6 alkoxy, (un)substituted NH2; p = 0-1; s = 0-1 (s and p must not be 0 at the same time); r = 1-4; m = 1-2; n

= 0-1], useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation, were claimed. In general, compds. I are effective at 1-500 mg. Tablet formulation contg. compd. I is given.

IT **183551-90-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel N-substituted 3-pyrrolidine- or 3-piperidinecarboxylic acids and esters for the treatment of neurogenic inflammation)

RN 183551-90-8 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[(10,11-dihydro-5-methyl-5H-dibenz[b,f]azepin-10-yl)oxy]ethyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 197 OF 200 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:708300 CAPLUS

DOCUMENT NUMBER: 125:328528

TITLE: Preparation of heterocyclic tricyclic analgesics, antidiabetics and antiinflammatory agents

INVENTOR(S): Madsen, Peter; Andersen, Knud Erik; Doerwald, Florenzio Zaragossa; Joergensen, Tine Krogh; Andersen, Henrik Sune; Hohlweg, Rolf; Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.

SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

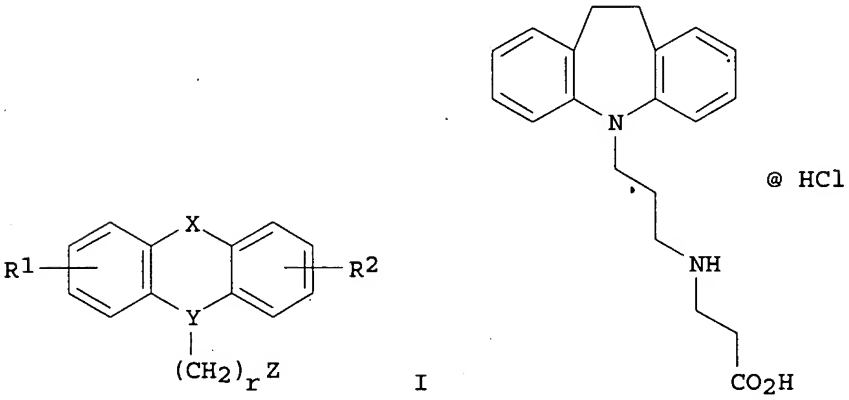
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631481	A1	19961010	WO 1996-DK141	19960401
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
US 5962449	A	19991005	US 1996-623447	19960328

CA 2217198	AA	19961010	CA 1996-2217198	19960401
AU 9652706	A1	19961023	AU 1996-52706	19960401
EP 820443	A1	19980128	EP 1996-909078	19960401
EP 820443	B1	20010919		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503129	T2	19990323	JP 1996-529870	19960401
AT 205833	E	20011015	AT 1996-909078	19960401
ZA 9602733	A	19961024	ZA 1996-2733	19960404

PRIORITY APPLN. INFO.: DK 1995-407 A 19950407
DK 1995-1002 A 19950911
WO 1996-DK141 W 19960401

OTHER SOURCE(S): MARPAT 125:328528

GI

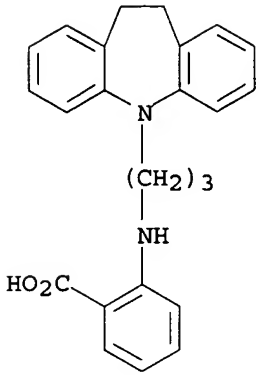


AB The title compds. [I; R1, R2 = H, halogen, CF3, OH, alkyl, alkoxy; X = O, S, CH2CH2, (un)substituted NH, CH2O, OCH2, S(:O), etc.; Y = NCH2, CHCH2, C:CH; Z = (un)substituted 2-pyridylamino, (un)substituted cyclohexylamino, etc.; r = 1-3], useful for the clin. treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation, and for the treatment of noninsulin-dependent diabetes mellitus (no data), are prepd. and a I-contg. formulation presented. Thus, dihydrodibenz[b,f]azepine II (m.p. 114-117.degree.) was prepd. in 4 steps from 10,11-dihydro-5H-dibenz[b,f]azepine and demonstrated a 36% inhibition of pain in a mouse formalin-induced pain model at 0.1 mg/kg.

IT **183476-83-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic tricyclic analgesics, antidiabetics and antiinflammatory agents)

RN 183476-83-7 CAPLUS

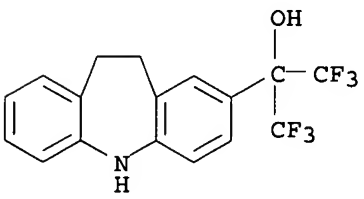
CN Benzoic acid, 2-[[3-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)propyl]amino]- (9CI) (CA INDEX NAME)



L7 ANSWER 198 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:609542 CAPLUS
DOCUMENT NUMBER: 126:8053
TITLE: Reactions of polyfluorocarbonyl compounds and their (trifluoroacetyl)imines with fused heterocycles
AUTHOR(S): Fokin, A. V.; Dyachenko, V. I.; Sviridov, V. I.; Sizov, A. Yu.; Chkanikov, N. D.
CORPORATE SOURCE: Nesmeyanov, A.N., Institut Elementoorganicheskikh Soedinenii, Moscow, 117813, Russia
SOURCE: Izvestiya Akademii Nauk, Seriya Khimicheskaya (1996), (5), 1239-1242
CODEN: IASKEA
PUBLISHER: Institut Organicheskoi Khimii im. N. D. Zelinskogo Rossiiskoi Akademii Nauk
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB C-hydroxy- and C-aminoalkylation of iminodibenzyl, iminostilbene, phenoxazine, and phenothiazine by hexafluoroacetone, Me trifluoropyruvate (I), and their (trifluoroacetyl)imines were studied. Substitution occurred at one or more para and ortho positions relative to the N atom of the heterocycles. In the case of I and its deriv., substitution in the ortho position was accompanied by lactam formation.

IT **183944-49-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of fluorocarbonyl compds. and their (trifluoroacetyl)imines with fused heterocycles)
RN 183944-49-2 CAPLUS
CN 5H-Dibenz[b,f]azepine-2-methanol, 10,11-dihydro-.alpha.,.alpha.-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 199 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:601363 CAPLUS
DOCUMENT NUMBER: 126:851
TITLE: Interaction of dialkylaminoacyl derivatives of phenothiazine, dibenzazepine, and dibenzodiazepine

with opiate receptors

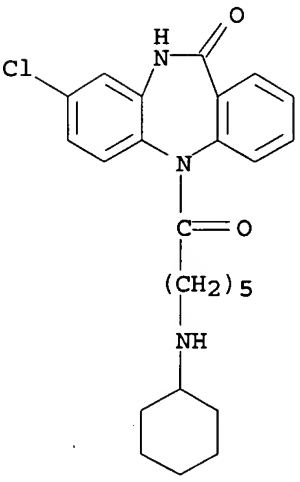
AUTHOR(S): Brusova, E. G.; Likhosherstov, A. M.; Gritsenko, A. N.
CORPORATE SOURCE: Laboratoriya Farmakologii i Krovoobrashcheniya, NII Farmakologii, Moscow, 125315, Russia

SOURCE: Eksperimental'naya i Klinicheskaya Farmakologiya (1996), 59(2), 20-23
CODEN: EKFAE9; ISSN: 0869-2092
PUBLISHER: Meditsina
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Specific binding of dialkylaminoacyl (DAC) derivs. of phenothiazine, dibenzazepine, and dibenzodiazepine to opiate receptors (OR) of .mu.- and .delta.-subtypes was studied. Some of the compds. studied exhibited moderate affinity to .mu.-OR in .mu.M range. Binding to .delta.-OR was less pronounced. Dibenzodiazepine deriv. AL-234 was the most potent compd. with respect to OR of both .mu.- and .delta.-subtypes (IC50 values were 11 and 60.mu.M, resp.). The ability of DAC- derivs. for specific binding to OR might play a decisive role in the realization of their antinociceptive and antiarrhythmic properties.

IT **183850-02-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(interaction of dialkylaminoacyl derivs. of phenothiazine, dibenzazepine, and dibenzodiazepine with opiate receptors)

RN 183850-02-4 CAPLUS
CN 11H-Dibenzo[b,e][1,4]diazepin-11-one, 8-chloro-5-[6-(cyclohexylamino)-1-oxohexyl]-5,10-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L7 ANSWER 200 OF 200 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1964:75432 CAPLUS
DOCUMENT NUMBER: 60:75432
ORIGINAL REFERENCE NO.: 60:13258b-e
TITLE: Homopiperazine derivatives of iminostilbene
INVENTOR(S): Schuler, William A.; Beschke, Helmut
PATENT ASSIGNEE(S): Deutsche Gold- und Silber-Scheideanstalt vorm. Roessler

10/ 076,573

SOURCE: 12 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 629616		19630701	BE	
GB 1015617			GB	

PRIORITY APPLN. INFO.: DE 19620315

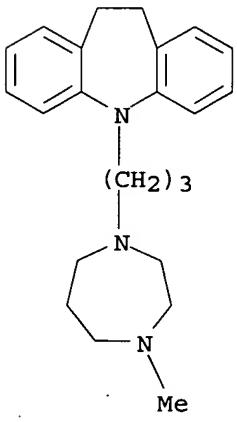
GI For diagram(s), see printed CA Issue.

AB The prepn. of psychotropic agents is described. To a refluxing soln. of 3.9 parts NaNH2 and 19.3 parts iminostilbene (I) (X = CH:CH, R = H) in 100 parts PhMe was added dropwise over 20 min. a soln. of 22.1 parts .gamma.-bromopropylhomopiperazine (II) in PhMe, and the mixt. refluxed 5 hrs. to give 25 parts I (X = CH:CH, R = .gamma.-homopiperazinopropyl) (III), b0.5 216-22.degree.. Refluxing 25 parts III in 200 parts BuOH 6 hrs. with 12 parts K2CO3 and 7 parts ClCH2CH2OH gave I [X = CH:CH, R = .gamma.-[N'-(.beta.-hydroxyethyl)homopiperazino]propyl] (IIIa), b0.3 219-24.degree.; fumarate m. 136-7.degree.. Similarly, 19.5 parts I [X = (CH2)2, R = H] gave 22 parts I [X = (CH2)2, R = .gamma.-homopiperazinopropyl], b1 215-20.degree., converted by treatment with ClCH2CH2OH into the N'-(.beta.-hydroxyethyl) analog, b1 225-30.degree.; difumarate m. 119-21.degree.. From 30 parts I (X = CH:CH, R = H), 6 parts NaNH2, 300 parts PhMe, and 33 parts of the N-Me deriv. of II was obtained I [X = CH:CH, R = .gamma.-(N'-methylhomopiperazino)propyl] (IV), b2 226-35.degree.; difumarate m. 173-4.degree.. Similarly was prepd. the dihydro analog (V) of IV, b2 231-40.degree.; difumarate m. 188-91.degree.. A mixt. of 5 g. V and 2 g. 50% Pd-C was heated in vacuo 3 hrs. at 190.degree. to give 2.1 g. IV. Similarly, IIIa was prepd. from its dihydro analog.

IT **369391-53-7**, 5H-Dibenz[b,f]azepine, 5-[3-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)propyl]-10,11-dihydro-
(prepn. of)

RN 369391-53-7 CAPLUS

CN 5H-Dibenz[b,f]azepine, 5-[3-(hexahydro-4-methyl-1H-1,4-diazepin-1-yl)propyl]-10,11-dihydro- (9CI) (CA INDEX NAME)



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10/ 076,573

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L2          1669 S L1 FUL
L3            12 S 'BENZO[B,F]AZEPIN'
L4            31 S 'BENZO[B,F]AZEPINE'
L5            43 S L3 OR L4
L6          1664 S L2 NOT L5

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L7           200 S L6

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FULL ESTIMATED COST                                   911.79    1086.67

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                  -130.20    -130.20

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